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SEARCH REQUEST FORM

Requester's Full Name: MARCELA M GORDERO GARCIA Examiner #: 80381 Date: 6/13/05  
Art Unit: 1654 Phone Number: 2-2939 Serial Number: 10/723,144  
Location (Bldg/Room#): REM348 (Mailbox #): 2C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*3C35\*\*\*\*\* MG

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: PEPTIDES WHICH INHIBIT ANGIOGENESIS, CELL MIGRATION...

Inventors (please provide full names): SEE ATTACHED BIB. P. S.

Earliest Priority Date: 11/25/02

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

PLEASE SRCH SPECIES. IF ONLY APPLICANT'S OWN WORK  
FOUND PLEASE SRCH BROADLY. PLEASE ALSO SRCH INVENTOR'S.

THANKS,

Marcela Gordero Garcia

STAFF USE ONLY

Searcher: Noble

Searcher Phone #: \_\_\_\_\_

Searcher Location: \_\_\_\_\_

Date Searcher Picked Up: \_\_\_\_\_

Date Completed: 6/15/05

Searcher Prep & Review Time: 15

Online Time: 23

Type of Search

\_\_\_\_ NA Sequence (#)

\_\_\_\_ AA Sequence (#)

3 Structure (#)

✓ Bibliographic

\_\_\_\_ Litigation

\_\_\_\_ Fulltext

\_\_\_\_ Other

Vendors and cost where applicable

\_\_\_\_ STN \_\_\_\_\_ Dialog

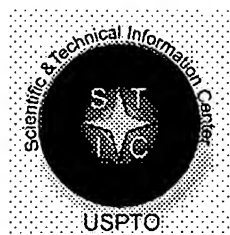
\_\_\_\_ Questel/Orbit \_\_\_\_\_ Lexis/Nexis

\_\_\_\_ Westlaw \_\_\_\_\_ WWW/Internet

\_\_\_\_ In-house sequence systems

\_\_\_\_ Commercial \_\_\_\_\_ Oligomer \_\_\_\_\_ Score/Length  
\_\_\_\_ Interference \_\_\_\_\_ SPDI \_\_\_\_\_ Encode/Transl  
\_\_\_\_ Other (specify)

STN  
6/13/05  
6/13/05



# **STIC Search Report**

## **Biotech-Chem Library**

**STIC Database Tracking Number: 156213**

**TO: Marcela Cordero Garcia**

**Location: rem/3C35/3C18**

**Art Unit: 1654**

**Wednesday, June 15, 2005**

**Case Serial Number: 10/723144**

**From: Noble Jarrell**

**Location: Biotech-Chem Library**

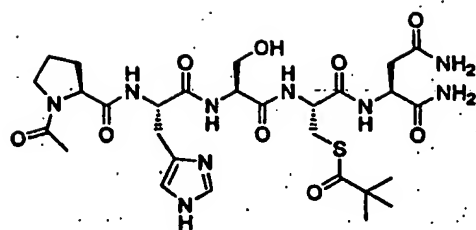
**Rem 1B71**

**Phone: 272-2556**

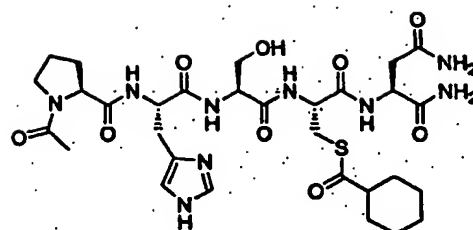
**Noble.jarrell@uspto.gov**

### **Search Notes**

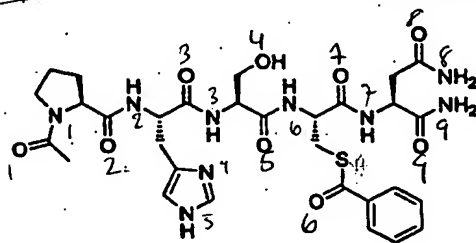
Figure 3



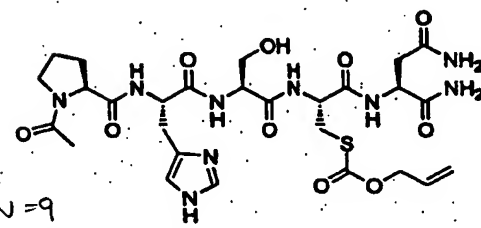
13



14

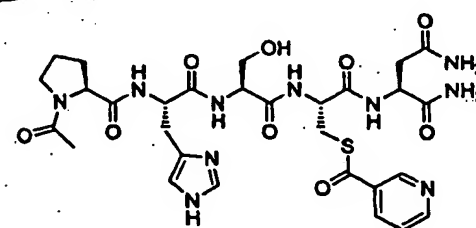


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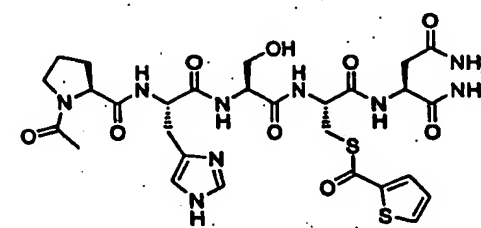


16

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S=1



17

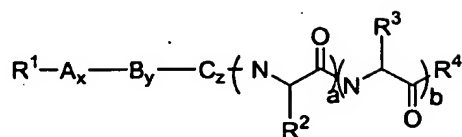


18

## CLAIMS

What is claimed is:

1. A compound of structural Formula (I):



or a pharmaceutically available salt, solvate, hydrate or N-oxide thereof wherein:

a, b, x, y and z are 0 or 1;

A is a cyclic amino acid;

B is a basic amino acid;

C is a small amino acid;

$R^1$  is alkyl, substituted alkyl, acyl, substituted acyl, alkylsulfonyl, substituted alkylsulfonyl, arylalkyl, substituted arylalkyl, arylsulfonyl, substituted arylsulfonyl, heteroalkyl, substituted heteroalkyl, heteroarylsulfonyl, substituted heteroarylsulfonyl, heteroarylalkyl, substituted heteroarylalkyl, oxycarbonyl or substituted oxycarbonyl;

$R^2$  is alkyl,  $-(CH_2)_mS(O)_nR^5$ ,  $-(CH_2)_mS(O)_n-S(O)_oR^5$  or  $-(CMe)_mS(O)_nR^5$

m is 1, 2, 3 or 4;

n and o are independently 0, 1 or 2;

$R^3$  is  $-CH_2CONH_2$  or  $-CH_2CH_2CONH_2$ ;

$R^4$  is alkyl,  $-NR^6R^7$  or  $-OR^8$ ;



R<sup>5</sup> is alkyl, substituted alkyl, acyl, substituted acyl, aryl, substituted aryl, arylalkyl, substituted arylalkyl, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl, substituted heteroarylalkyl, oxycarbonyl or substituted oxycarbonyl;

R<sup>6</sup> and R<sup>7</sup> are independently hydrogen or alkyl; and

R<sup>8</sup> is alkyl, substituted alkyl, aryl substituted aryl, arylalkyl, substituted arylalkyl, heteroalkyl, substituted heteroalkyl, heteroaryl, substituted heteroaryl, heteroarylalkyl or substituted heteroarylalkyl;

with the provisos that:

R<sup>5</sup> is not methyl when m is 1;

a is 1 unless A is proline, B is histidine, C is serine and b is 0 when a is 0; and

R<sup>2</sup> is  $-(CH_2)_mS(O)_nR^5$  or  $-(CH_2)_mS(O)_n-S(O)_oR^5$  unless b, x, y and z are 1.

2. The compound of Claim 1, wherein A is proline, B is histidine, C is serine and R<sup>3</sup> is  $-CH_2CONH_2$ .

3. The compound of Claim 1 or Claim 2, wherein R<sup>1</sup> is acyl, substituted acyl, arylalkyl, substituted arylalkyl, oxycarbonyl and substituted oxycarbonyl.

4. The compound of Claim 1 or Claim 2, wherein R<sup>1</sup> is acyl, substituted acyl, oxycarbonyl and substituted oxycarbonyl.

5. The compound of Claim 1 or Claim 2, wherein R<sup>2</sup> is  $-(CH_2)_mS(O)_nR^5$  or  $-(CH_2)_mS(O)_n-S(O)_oR^5$  and m is 1 or 2.

6. The compound of Claim 1 or Claim 2, wherein R<sup>4</sup> is NR<sup>7</sup>R<sup>8</sup> and R<sup>7</sup> and R<sup>8</sup> are hydrogen.

=> d his

(FILE 'HOME' ENTERED AT 11:25:08 ON 15 JUN 2005)

L1 FILE 'HCAPLUS' ENTERED AT 11:26:57 ON 15 JUN 2005  
3 US20040162239/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 11:27:07 ON 15 JUN 2005

L2 FILE 'HCAPLUS' ENTERED AT 11:27:08 ON 15 JUN 2005  
TRA L1 1- RN : 209 TERMS

L3 FILE 'REGISTRY' ENTERED AT 11:27:09 ON 15 JUN 2005  
209 SEA L2

L4 FILE 'WPIX' ENTERED AT 11:27:13 ON 15 JUN 2005  
3 US20040162239/PN OR (US2002-429174# OR US2003-475539#)/AP,PRN

=> b hcap

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FILE LAST UPDATED: 14 Jun 2005 (20050614/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:610128 HCAPLUS  
DN 141:157478  
ED Entered STN: 30 Jul 2004  
TI Peptides which target tumor and endothelial cells, compositions and uses thereof  
IN Allan, Amy L.; Yoon, Won Hyung; Gladstone, Patricia L.; Ternansky, Robert J.; Parry, Graham; Donate, Fernando; Mazar, Andrew  
PA Attenuon, Llc, USA  
SO PCT Int. Appl., 117 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
IC ICM C07K  
CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 63  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004063213	A2	20040729	WO 2003-US37895	20031125 <--
	WO 2004063213	A3	20050303		

Search done by Noble Jarrell

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004162239 A1 20040819 US 2003-723144 20031125 <--  
 US 2005020810 A1 20050127 US 2003-722843 20031125 <--  
 PRAI US 2002-429174P P 20021125 <--  
 US 2003-475539P P 20030602 <--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004063213	ICM	C07K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000 <--
US 2005020810	NCL	530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000 <--

OS MARPAT 141:157478

AB The invention relates generally to peptide analogs of Ac-PHSCN-NH<sub>2</sub> which target tumor and endothelial cells and have antitumor, antiangiogenic and antimetastatic activity and to methods for their synthesis and use in pharmaceutical compns. for treating, preventing and detecting diseases characterized by tumor growth, metastasis and angiogenesis. The peptide analogs may serve, inter alia, as carriers of radioactivity, PET-active compds., toxins, fluorescent mols. and PEG mols. Peptides R1[(NHCHR<sub>2</sub>CO)0-1(X<sub>1</sub>)0-100]m-X<sub>2</sub>-X<sub>3</sub>-X<sub>4</sub>-X<sub>5</sub>-X<sub>6</sub>-(X<sub>7</sub>)0-1(NHCHR<sub>3</sub>CO)0-1]nNR<sub>4</sub>R<sub>5</sub> [R<sub>1</sub> is (un)substituted acyl, alkyl, cycloalkyl or imino, or acyl chelate; R<sub>2</sub> is substituted alkyl; R<sub>4</sub>, R<sub>5</sub> are (un)substituted alkyl; X<sub>1</sub>, X<sub>7</sub> are NH(CH:CH)1-6CO, NH(CH<sub>2</sub>)1-6CO, NHCHMeCO; X<sub>2</sub>-X<sub>6</sub> are α-amino acids which are defined; m, n are 0 or 1, with the proviso that R<sub>1</sub> is not acetyl when R<sub>4</sub> and R<sub>5</sub> are H and m and n are 0] are claimed. Thus, Ac-Pro-His-Ser-Cys(Ac)-Asn-OH was prepared by the solid-phase method and coupled to doxorubicin hydrochloride to afford the conjugate.

ST peptide prolylhistidylserylcysteiny laspartamide analog prepn antitumor  
 IT Angiogenesis  
 Angiogenesis inhibitors  
 Antitumor agents  
 Neoplasm

(preparation of peptides which target tumor and endothelial cells)

IT Peptides, preparation

RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides which target tumor and endothelial cells)

IT Polyoxyalkylenes, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptides which target tumor and endothelial cells)

IT 729594-60-9P

RL: DGN (Diagnostic use); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of peptides which target tumor and endothelial cells)

IT 7440-74-6DP, Indium, complexes with DPTA peptide conjugate

262438-43-7DP, analogs	729594-61-0P	729594-62-1P	729594-63-2P
729594-64-3P	729594-65-4P	729594-66-5P	729594-67-6P
729594-69-8P	729594-70-1P	729594-71-2P	729594-72-3P
729594-74-5P	729594-75-6P	729594-76-7P	729594-77-8P
729594-79-0P	729594-80-3P	729594-81-4P	729594-82-5P
729594-84-7P	729594-85-8P	729594-86-9P	729594-87-0P
729594-89-2P	729594-90-5P	729594-91-6P	729594-92-7P
			729594-93-8P

729594-94-9P 729594-95-0P 729594-96-1P 729594-97-2P 729594-98-3P  
 729594-99-4P 729595-00-0P 729595-01-1P 729595-02-2P 729595-03-3DP,  
 polyethylene glycol derivative 729595-04-4P 729595-05-5P 729595-06-6P  
 729595-07-7P 729595-08-8P 729595-09-9P 729595-14-6P 730960-54-0P  
 731003-01-3DP, Indium complexes 731003-01-3P 731003-02-4P  
 RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic  
 preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
 (Preparation); USES (Uses)

(preparation of peptides which target tumor and endothelial cells)

IT 456-22-4, 4 Fluorobenzoic acid 501-97-3 553-12-8 3301-79-9, 6  
 Carboxyfluorescein 13811-11-5 25316-40-9, Doxorubicin hydrochloride  
 34071-95-9 66134-67-6 76823-03-5, 5 Carboxyfluorescein 106966-58-1  
 137076-54-1, 1,4,7,10-Tetraazacyclododecane-1,4,7,10-tetraacetic acid,  
 tris 1 1 dimethylethyl ester 517913-89-2 622405-78-1 729595-15-7  
 729595-16-8D, resin-bound 729595-17-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptides which target tumor and endothelial cells)

IT 729595-10-2DP, resin-bound 729595-11-3DP, resin-bound 729595-12-4DP,  
 resin-bound 729595-13-5DP, resin-bound

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of peptides which target tumor and endothelial cells)

L1 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:467702 HCAPLUS

DN 141:33798

ED Entered STN: 10 Jun 2004

TI Peptides which inhibit angiogenesis, cell migration, cell invasion and  
 cell proliferation, their preparation, and compositions and therapeutic  
 uses thereof

IN Allan, Amy L.; Donate, Fernando; Hopkins, Stephanie A.; Gladstone,  
 Patricia L.; Mazar, Andrew; O'Hare, Sean M.; Parry, Graham; Plunkett,  
 Marian L.; Ternansky, Robert J.; Yoon, Won Hyung

PA Attenuon, LLC, USA

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM A61K

CC 1-8 (Pharmacology)

Section cross-reference(s): 34, 63

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004047771	A2	20040610	WO 2003-US38175	20031125 <--
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,				
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				
TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,				
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,				
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,				
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004162239	A1	20040819	US 2003-723144	20031125 <--
US 2005020810	A1	20050127	US 2003-722843	20031125 <--
PRAI US 2002-429174P	P	20021125	<--	
US 2003-475539P	P	20030602	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004047771	ICM	A61K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000 <--

US 2005020810 NCL 530/324.000; 530/325.000; 530/326.000; 530/327.000;  
530/328.000; 530/329.000 <--

OS MARPAT 141:33798

AB The invention discloses peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, as well as methods of making the peptides, pharmaceutical compns. containing the peptides, and methods of using the peptides and pharmaceutical compns. to treat diseases associated with aberrant vascularization, e.g. cancer.

ST peptide cell invasion migration proliferation inhibition; antitumor aberrant vascularization disease peptide prepn

IT Sarcoma  
(cartilage chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Cartilage, neoplasm  
(chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Intestine, neoplasm  
(colon; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel  
(endothelium; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Blood vessel, neoplasm  
Sarcoma  
(hemangiosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Angiogenesis  
Angiogenesis inhibitors  
Antitumor agents  
Brain, neoplasm  
Drug delivery systems  
Kidney, neoplasm  
Mammary gland, neoplasm  
Neoplasm  
Prostate gland, neoplasm  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Endothelium  
(vascular; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-26-5D, biotinylated  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701200-82-0P 701201-01-6P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 81658-55-1P 701200-81-9P 701200-83-1P 701200-84-2P 701200-85-3P  
701200-86-4P 701200-87-5P 701200-88-6P 701200-89-7P 701200-90-0P  
701200-91-1P 701200-92-2P 701200-93-3P 701200-94-4P 701200-95-5P  
701200-96-6P 701200-97-7P 701200-98-8P 701200-99-9P 701201-00-5P  
701201-02-7P 701201-03-8P 701201-04-9P 701201-05-0P 701201-06-1P  
701201-07-2P 701201-08-3P 701201-09-4P 701201-10-7P 701201-11-8P  
701201-12-9P 701201-13-0P 701201-14-1P 701201-15-2P 701201-16-3P  
701201-17-4P 701201-18-5P 701201-19-6P 701201-20-9P 701201-21-0P  
701201-22-1P 701201-23-2P 701201-24-3P 701201-25-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-28-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 930-69-8 1212-08-4, S-Phenyl benzenethiosulfonate 2719-27-9, Cyclohexanoyl chloride 2937-50-0, Allyl chloroformate 2949-92-0, S-Methyl methanethiosulfonate 3282-30-2, Pivaloyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride 6482-24-2, 2-Bromoethyl methylether 7031-27-8, (Phenylthio)acetyl chloride 10400-19-8, Nicotinoyl chloride 25644-88-6, S-Benzyl-L-cysteine sulfone 82911-69-1 262438-43-7 475150-36-8 701201-27-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

L1 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:849621 HCAPLUS

DN 137:353056

ED Entered STN: 08 Nov 2002

TI Preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors.

IN Chung, Yong-Jun; Lee, Keyong-Ho; Kim, Youn-Chul; Park, Ho-Jin

PA Kolon Ind. Inc., S. Korea

SO PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07D403-12

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))

Section cross-reference(s): 1

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002088115	A1	20021107	WO 2002-KR759	20020424
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
	KR 2002083084	A	20021101	KR 2001-22767	20010426
	KR 2003047127	A	20030618	KR 2001-77522	20011207
	KR 2003075322	A	20030926	KR 2002-14481	20020318
	EP 1389204	A1	20040218	EP 2002-720668	20020424
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR	
	JP 2004533435	T2	20041104	JP 2002-585415	20020424
	US 2004138206	A1	20040715	US 2003-475539	20031211 <--
PRAI	KR 2001-22767	A	20010426		
	KR 2001-77522	A	20011207		
	KR 2002-14481	A	20020318		
	WO 2002-KR759	W	20020424		

CLASS

PATENT NO.. CLASS PATENT FAMILY CLASSIFICATION CODES

WO 2002088115 ICM C07D403-12

WO 2002088115 ECLA C07C311/19; C07C311/29; C07D241/04; C07D241/08;  
C07D243/08; C07D245/02; C07D403/12+241B+207

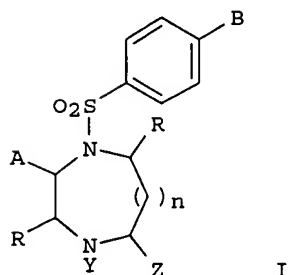
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4C063/DD04; 4C063/DD12; 4C063/EE01; 4C086/AA01;  
4C086/AA02; 4C086/AA03; 4C086/AA04; 4C086/BC49;  
4C086/BC73; 4C086/GA07; 4C086/GA08; 4C086/GA09;  
4C086/GA12; 4C086/MA01; 4C086/MA04; 4C086/NA14;  
4C086/ZA33; 4C086/ZA44; 4C086/ZA45; 4C086/ZA67;  
4C086/ZA68; 4C086/ZA89; 4C086/ZA96; 4C086/ZA97;  
4C086/ZB11; 4C086/ZB15; 4C086/ZB26; 4C086/ZC06;  
4C086/ZC35; 4C086/ZC55; 4H006/AA01; 4H006/AA02;  
4H006/AB84

US 2004138206 NCL 514/218.000; 514/254.010; 514/255.020; 514/183.000;  
540/575.000; 540/474.000; 544/372.000; 544/383.000

ECLA C07C311/19; C07C311/29; C07D241/04; C07D241/08;  
C07D243/08; C07D245/02; C07D403/12+241B+207 <--

OS MARPAT 137:353056

GI



AB Title compds. [I; n = 0-3; A = CO<sub>2</sub>H, CONHOH, CH<sub>2</sub>SH, CH<sub>2</sub>OH; B = H, alkyl, NO<sub>2</sub>, aryl, heteroaryl, pyrrolyl, halo, alkoxy, aryloxy, alkylamino, alkylthio, CONHR, NHCOR, NHCO<sub>2</sub>R, NHCONHR, etc.; R = H, alkyl, aryl, heteroaryl, tetragonal to octagonal cyclic compound, alkyl substituted by a tetragonal to octagonal (hetero)cyclic compound; Z = H, O, S, provided that when Z = O, S it takes a double bond; Y = H, alkyl, aryl, heteroaryl, alkyl substituted by a tetragonal to octagonal cyclic compound, alkyl substituted by a tetragonal to octagonal heterocyclyl, CONHR, NHCOR, NHCO<sub>2</sub>R, NHCONHR, alkyl having a double or triple bond], were prepared. Thus, Me 1-(4-methoxybenzenesulfonyl)-5-oxopiperazine-2-carboxylate (preparation given) was stirred 5 h with aqueous NH<sub>2</sub>OH to give 45% 1-(4-methoxybenzenesulfonyl)-5-oxopiperazine-2-hydroxamic acid. This inhibited MMP-2 with IC<sub>50</sub> = 0.004 μM. I are angiogenesis controlling materials that can inhibit overexpression of matrix metalloproteinase that decomp. protein constituents in extracellular matrix and basement membranes of connective tissues.

ST benzenesulfonylpiperazine prepn matrix metalloproteinase inhibitor; cancer angiogenesis inhibitor prepn benzenesulfonylpiperazine; hydroxamate benzenesulfonylpiperazine prepn anticancer; piperazinehydroxamate arylsulfonyl prepn mmp inhibitor

IT Antitumor agents  
Human  
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT Hydroxamic acids  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT Angiogenesis  
Neoplasm

(treatment; preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT 9001-12-1, Matrix metalloproteinase-1 146480-35-5, Matrix metalloproteinase-2 146480-36-6, Matrix metalloproteinase-9 161384-17-4, Matrix metalloproteinase-14 175449-82-8, Matrix metalloproteinase-13

RL: BSU (Biological study, unclassified); BIOL (Biological study) (inhibitors; preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT 184349-80-2P 474410-18-9P 474410-20-3P 474410-22-5P 474410-24-7P 474410-25-8P 474410-27-0P 474410-28-1P 474410-30-5P 474410-31-6P 474410-33-8P 474410-34-9P 474410-35-0P 474410-36-1P 474410-37-2P 474410-38-3P 474410-39-4P 474410-40-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT 74-89-5, Methylamine, reactions 98-68-0, 4-Methoxybenzenesulfonyl chloride 100-46-9, Benzylamine, reactions 105-36-2, Ethyl bromoacetate 109-73-9, n-Butylamine, reactions 111-26-2, Hexylamine 111-86-4, Octylamine 112-90-3, Oleylamine 507-09-5, Thiolacetic acid, reactions 696-59-3, 2,5-Dimethoxytetrahydrofuran 765-30-0, Cyclopropylamine 2016-57-1, Decylamine 2038-03-1, N-(2-Aminoethyl)morpholine 2706-56-1, 2-(2-Aminoethyl)pyridine 3731-51-9, 2-Aminomethylpyridine 5619-04-5, DL-Serine methyl ester hydrochloride 5874-57-7 13610-11-2 27578-60-5, 1-(2-Aminoethyl)piperidine 202752-04-3 474410-63-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

IT 85622-74-8P 184350-19-4P 474410-41-8P 474410-42-9P 474410-43-0P 474410-44-1P 474410-45-2P 474410-46-3P 474410-47-4P 474410-48-5P 474410-49-6P 474410-50-9P 474410-51-0P 474410-52-1P 474410-53-2P 474410-54-3P 474410-55-4P 474410-56-5P 474410-57-6P 474410-58-7P 474410-59-8P 474410-60-1P 474410-61-2P 474410-62-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzenesulfonylpiperazines as matrix metalloproteinase inhibitors)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Agouron Pharmaceuticals Inc; US 5753653 1996 HCAPLUS

(2) Anon; J MED CHEM 2000, V43(3), P369

(3) Fujisawa Pharmaceutical Co Ltd; WO 9827069 A 1998 HCAPLUS

(4) Nippon Soda Co Ltd; WO 0102371 A 2001 HCAPLUS

(5) Pfizer Inc; WO 9633172 A 1996 HCAPLUS

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FILE 'WPIX' ENTERED AT 11:27:45 ON 15 JUN 2005  
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FILE LAST UPDATED: 13 JUN 2005 <20050613/UP>  
MOST RECENT DERWENT UPDATE: 200537 <200537/DW>  
DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE

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FOR DETAILS. <<<

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L4 ANSWER 1 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN  
ACCESSION NUMBER: 2004-561873 [54] WPIX  
CROSS REFERENCE: 2004-450190 [42]  
DOC. NO. CPI: C2004-205382  
TITLE: New peptide derivatives having anti-tumor activity useful  
for the treatment, prevention or detection of cancer.  
DERWENT CLASS: B03 B04  
INVENTOR(S): ALLAN, A L; DONATE, F; GLADSTONE, P L; MAZAR, A; PARRY,  
G; TERNANSKY, R J; YOON, W H  
PATENT ASSIGNEE(S): (ATTE-N) ATTENUON LLC; (ALLA-I) ALLAN A L; (DONA-I)  
DONATE F; (GLAD-I) GLADSTONE P L; (MAZA-I) MAZAR A;  
(PARR-I) PARRY G; (TERN-I) TERNANSKY R J; (YOON-I) YOON W  
H  
COUNTRY COUNT: 107  
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
WO 2004063213	A2	20040729	(200454)*	EN	117	C07K000-00	
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE							
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW							
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KP KR KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM							
PG PH PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ							
VC VN YU ZA ZM ZW							
AU 2003298726	A1	20040810	(200479)			C07K000-00	
US 2005020810	A1	20050127	(200509)			C07K007-08	

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004063213	A2	WO 2003-US37895	20031125
AU 2003298726	A1	AU 2003-298726	20031125
US 2005020810	A1 Provisional	US 2002-429174P	20021125 <--
	Provisional	US 2003-475539P	20030602 <--
		US 2003-722843	20031125

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003298726	A1 Based on	WO 2004063213

PRIORITY APPLN. INFO: US 2003-475539P  
20030602; US  
2002-429174P 20021125;  
US 2003-722843 20031125

INT. PATENT CLASSIF.:  
MAIN: C07K000-00; C07K007-08  
SECONDARY: C07K007-06

## BASIC ABSTRACT:

WO2004063213 A UPAB: 20050207

NOVELTY - Peptide derivatives (I) and their salts, solvates, hydrates or N-oxides are new.

DETAILED DESCRIPTION - Peptide derivatives of formula (I) and their salts, solvates, hydrates or N-oxides are new.

j, k = 0-1;

p, q = 0-100;

r, s = 0-1;

R1 = (substituted) acyl, acyl chelate, (substituted) alkyl, (substituted) cycloalkyl or (substituted) imino;

R2 = 1-6C alkyl with at least H replaced by a substituents of NR6R7, -OR8, -CO2R9, -S(O)2R10, -P(OR11)OR12 or (substituted) aryl;

R6-R12 = H or R1;

X1 = NH(C=C)gCO-, NH(CH2)hCO- or NHCH(CH3)CO-;

g, h = 1-6;

X2 = cyclic derivative of formula (i-iii);

X3 = imidazole derivative of formula (iv);

X4 = alcohol derivative of formula (v-vi);

l = 1-4;

X5 = sulfonyl derivative of formula (vii);

R13 = H, (substituted) alkyl, (substituted) acyl, (substituted) arylalkyl, (substituted) aryl or -S(O)nR14;

n = 1-5;

R14 = (substituted) alkyl, (substituted) acyl, (substituted) arylalkyl or (substituted) aryl;

x, y = 0-2;

X6 = amide derivative formula (viii);

m = 1-4;

X7 = NH(C=C)dCO-, -NH(CH2)eCO or -NHCH(CH3)CO-;

d, e = 1-6;

R3 = 1-6C alkyl with at least H replace by a substituent of -NR15R16, -OR17, -CO2R18, -S(O)nR19, -P(OR20)OR21 or (substituted) aryl;

R4, R5 = H or (substituted alkyl); and

R15-R21 = H, (substituted) acyl, acyl chelate, (substituted) alkyl, (substituted) cycloalkyl or (substituted) imino.

Provided that R1 is not acetyl when R4 and R5 are H and r and s 0.

ACTIVITY - Cytostatic; Antiangiogenic.

Tests details are described but no results given.

MECHANISM OF ACTION - None given

USE - (I) are useful for the treatment, prevention or detection of cancer (claimed), tumor growth, metastasis and angiogenesis.

Dwg.0/0

FILE SEGMENT: CPI

FIELD AVAILABILITY: AB; GI; DCN

MANUAL CODES: CPI: B02-D; B04-C01B; B04-C01C; B04-C01D; B04-C01E; B04-C01F; B04-C01G; B04-N04A; B14-H01

L4 ANSWER 2 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2004-450190 [42] WPIX

CROSS REFERENCE: 2004-561873 [54]

DOC. NO. CPI: C2004-168702

TITLE: Novel peptides useful as e.g. angiogenesis inhibitors for treating or preventing cancer, e.g. breast cancer, renal cancer, brain cancer, colon cancer.

DERWENT CLASS: B03

INVENTOR(S): ALLAN, A L; DONATE, F; GLADSTONE, P L; HOPKINS, S A; MAZAR, A; O'HARE, S M; PARRY, G; PLUNKETT, M; TERNANSKY, R J; YOON, W H; PLUNKETT, M L

PATENT ASSIGNEE(S): (ALLA-I) ALLAN A L; (DONA-I) DONATE F; (GLAD-I) GLADSTONE P L; (HOPK-I) HOPKINS S A; (MAZA-I) MAZAR A; (OHAR-I) O'HARE S M; (PARR-I) PARRY G; (PLUN-I) PLUNKETT M; (TERN-I) TERNANSKY R J; (YOON-I) YOON W H; (ATTE-N) ATTENUON LLC

COUNTRY COUNT: 106

PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN	IPC
WO 2004047771	A2	20040610	(200442)*	EN	88	A61K000-00	
RW: AT BE BG BW CH CY CZ DE DK EA EE ES FI FR GB GH GM GR HU IE IT KE							
LS LU MC MW MZ NL OA PT RO SD SE SI SK SL SZ TR TZ UG ZM ZW							
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK							
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KR							
KZ LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NI NO NZ OM PG PH							
PL PT RO RU SC SD SE SG SK SL SY TJ TM TN TR TT TZ UA UG UZ VC VN							
YU ZA ZM ZW							
US 2004162239	A1	20040819	(200455)			A61K038-08<--	
AU 2003297609	A1	20040618	(200471)			A61K000-00	

## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2004047771	A2	WO 2003-US38175	20031125
US 2004162239	A1 Provisional	US 2002-429174P	20021125 <--
	Provisional	US 2003-475539P	20030602 <--
		US 2003-723144	20031125
AU 2003297609	A1	AU 2003-297609	20031125

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2003297609	A1 Based on	WO 2004047771

## PRIORITY APPLN. INFO: US 2003-475539P

20030602; US  
2002-429174P 20021125;  
US 2003-723144 20031125

## INT. PATENT CLASSIF.:

MAIN: A61K000-00; A61K038-08  
SECONDARY: A61K038-10; C07K007-06; C07K007-08

## BASIC ABSTRACT:

WO2004047771 A UPAB: 20041104

NOVELTY - Peptides are new.

DETAILED DESCRIPTION - Peptides of formula R1-Ax-By-C'z-(N-CH(R2)-C(O))a-(N-CH(R3)-C(O))b-R4 (I), their salt, solvates, hydrates or N-oxides are new.

a, b and x - z = 0 or 1;

A = cyclic amino acid;

B = basic amino acid;

C' = small amino acid;

R1 = (hetero)alkyl, acyl, alkylsulfonyl, (hetero)arylalkyl, (hetero)arylsulfonyl or oxycarbonyl (all optionally substituted);

R2 = alkyl, -(CH2)mS(O)nR5, -(CH2)mS(O)n-S(O)OR5 or -(CMe)mS(O)nR5;

m = 1-4;

n and o = 0-2;

R3 = -CH2CONH2 or -CH2CH2CONH2;

R4 = alkyl, -NR6R7 or -OR8;

R5 = (hetero)alkyl, acyl, (hetero)aryl, (hetero)arylalkyl or oxycarbonyl (all optionally substituted);

R6, R7 = H or alkyl;

R8 = (hetero)alkyl, (hetero)aryl or (hetero)arylalkyl(all optionally substituted).

Provided that:

(1) when m is 1, R5 is other than methyl;

(2) a is 1 unless A is proline, B is histidine, C is serine;

(3) when a is 0, b is 0; and

(4) R2 is -(CH2)mS(O)nR5 or -(CH2)mS(O)n-S(O)OR5 unless b, x, y and z are 1.

An INDEPENDENT CLAIM is also included for treatment or prevention of

cancer involving administering (I) optionally with an anti-cancer agent.

ACTIVITY - Cytostatic; Antiangiogenic; Antiarthritic; Antidiabetic; Antiarteriosclerotic; Ophthalmological; Vulnerary; Antirheumatic; Dermatological; Antipsoriatic; Antiparasitic; Osteopathic; Vasotropic; Tranquilizer; Thrombolytic; Gynecological; Antiinflammatory; Respiratory-Gen.; Antiulcer; Antisickling.

MECHANISM OF ACTION - Angiogenesis inhibitor; Cell migration, cell invasion and cell proliferation inhibitor; Tumor growth inhibitor.

Acetyl-Pro-His-Ser-Cys(S-tert-Bu)-Asn-NH<sub>2</sub> (A) was tested in vivo for its ability to inhibit FGF-2 mediated angiogenesis in a Matrigel Plug (RTM) model according to Passaniti et al., 1992, Lab Invest. 67:519-528.

(A) showed % inhibition of 88.2 plus or minus 42.9.

USE - (I) Are used for treating or preventing cancer e.g. breast cancer, renal cancer, brain cancer, colon cancer, prostate cancer, chondrosarcoma or angiosarcoma (claimed); for treating diseases associated with aberrant vascularization including arthritis, diabetes, arteriosclerosis, arteriovenous malformation, corneal graft neovascularization, delayed wound healing, diabetic retinopathy, age related macular degeneration, granulation burn, hemophilic joint, rheumatoid arthritis, hypertrophic scar, neovascular glaucoma, nonunion fracture, Osier Weber Syndrome, psoriasis, retrolental fibroplasia, pterygium, scleroderma, trachoma, vascular adhesion, ocular neovascularization, parasitic disease, hypertrophy following surgery, inhibition of hair growth, macular degeneration, osteoarthritis, benign hyperplasia, atherosclerosis, myocardial angiogenesis, post-balloon angioplasty vascular restenosis, neointima formation following vascular trauma, vascular graft restenosis, coronary collateral formation, deep venous thrombosis, ischemic limb angiogenesis; telangiectasia, pyogenic granuloma, corneal disease, rubeosis, neovascular glaucoma, diabetic and other retinopathy, retrolental fibroplasias, diabetic neovascularization, endometriosis, fibrosis associated with a chronic inflammatory condition, traumatic spinal cord injury including ischemia, scarring or fibrosis, lung fibrosis, chemotherapy-induced fibrosis; wound healing with scarring and fibrosis, peptic ulcers, a bone fracture, keloids, or a disorder of vasculogenesis, hematopoiesis, ovulation, menstruation, pregnancy or placentation associated with pathogenic cell invasion or with angiogenesis, retinopathy of prematurity, sickle cell retinopathy or retinal vein occlusion; for treating uterine disease; to detect or image disease or conditions associated with undesired cell migration, invasion or proliferation.

ADVANTAGE - The compounds (I) are potent inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation.

Dwg.0/5

FILE SEGMENT: CPI  
FIELD AVAILABILITY: AB; DCN  
MANUAL CODES: CPI: B04-C01A; B06-H; B07-H; B10-A04; B10-A08; B10-A10; B10-A12C; B10-B02; B10-D03; B14-B02; B14-C03; B14-C09; B14-D01B; B14-D01C; B14-E08; B14-F02; B14-F03; B14-F04; B14-F07; B14-H01; B14-K01; B14-L06; B14-N01; B14-N03; B14-N14; B14-N16; B14-N17; B14-P02; B14-R02; B14-S04

L4 ANSWER 3 OF 3 WPIX COPYRIGHT 2005 THE THOMSON CORP on STN

ACCESSION NUMBER: 2003-103447 [09] WPIX

DOC. NO. CPI: C2003-026138

TITLE: New sulfonamide derivatives useful in the treatment of e.g. cancer.

DERWENT CLASS: B03

INVENTOR(S): CHUNG, Y; KIM, Y; LEE, K; PARK, H; JUNG, Y J; KIM, Y C; LEE, G H; PARK, H J; CHUNG, Y J

PATENT ASSIGNEE(S): (KOLO-N) KOLON IND INC; (CHUN-I) CHUNG Y; (KIMY-I) KIM Y; (LEEK-I) LEE K; (PARK-I) PARK H

COUNTRY COUNT: 101

PATENT INFORMATION:

PATENT NO	KIND DATE	WEEK	LA	PG MAIN IPC
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WO 2002088115  A1 20021107 (200309)* EN 71 C07D403-12
RW: AT BE CH CY DE DK EA ES FI FR GB GH GM GR IE IT KE LS LU MC MW MZ
NL OA PT SD SE SL SZ TR TZ UG ZM ZW
W: AE AG AL AM AT AU AZ BA BB BG BR BY BZ CA CH CN CO CR CU CZ DE DK
DM DZ EC EE ES FI GB GD GE GH GM HR HU ID IL IN IS JP KE KG KP KZ
LC LK LR LS LT LU LV MA MD MG MK MN MW MX MZ NO NZ OM PH PL PT RO
RU SD SE SG SI SK SL TJ TM TN TR TT TZ UA UG US UZ VN YU ZA ZM ZW
KR 2002083084  A 20021101 (200319) C07D403-00
KR 2003047127  A 20030618 (200370) C07D241-04
KR 2003075322  A 20030926 (200409) C07D403-12
EP 1389204     A1 20040218 (200413) EN C07D403-12
R: AL AT BE CH CY DE DK ES FI FR GB GR IE IT LI LT LU LV MC MK NL PT
RO SE SI TR
AU 2002251588  A1 20021111 (200433) C07D403-12
US 2004138206  A1 20040715 (200447) A61K031-551
KR 432928      B 20040528 (200463) C07D403-00
JP 2004533435  W 20041104 (200472) 120 C07D241-08

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## APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2002088115	A1	WO 2002-KR759	20020424
KR 2002083084	A	KR 2001-22767	20010426
KR 2003047127	A	KR 2001-77522	20011207
KR 2003075322	A	KR 2002-14481	20020318
EP 1389204	A1	EP 2002-720668	20020424
		WO 2002-KR759	20020424
AU 2002251588	A1	AU 2002-251588	20020424
US 2004138206	A1	WO 2002-KR759	20020424
		US 2003-475539	20031211 <--
KR 432928	B	KR 2001-22767	20010426
JP 2004533435	W	JP 2002-585415	20020424
		WO 2002-KR759	20020424

## FILING DETAILS:

PATENT NO	KIND	PATENT NO
EP 1389204	A1 Based on	WO 2002088115
AU 2002251588	A1 Based on	WO 2002088115
KR 432928	B Previous Publ.	KR 2002083084
JP 2004533435	W Based on	WO 2002088115

PRIORITY APPLN. INFO: KR 2002-14481 20020318; KR  
 2001-22767 20010426; KR  
 2001-77522 20011207

## INT. PATENT CLASSIF.:

MAIN: A61K031-551; C07D241-04; C07D241-08; C07D403-00;  
 C07D403-12

SECONDARY: A61K031-495; A61K031-496; A61K031-5377; A61P001-02;  
 A61P001-04; A61P003-10; A61P005-18; A61P009-10;  
 A61P009-14; A61P017-00; A61P017-02; A61P017-10;  
 A61P019-00; A61P019-02; A61P019-10; A61P027-02;  
 A61P029-00; A61P031-18; A61P035-00; A61P035-04;  
 A61P043-00; C07C303-40; C07C311-19; C07D401-06

## BASIC ABSTRACT:

WO 200288115 A UPAB: 20030206

NOVELTY - New sulfonamide derivatives of formula (I), their optical isomers, salts or solvates.

DETAILED DESCRIPTION - Sulfonamide derivatives of formula (I), their optical isomers, salts or solvates are new.

n = 0 -3;

A = CO<sub>2</sub>H, CONHOH, CH<sub>2</sub>SH or CH<sub>2</sub>OH;

B = H, 1-8C lower alkyl, nitro, aryl, heteroaryl, pyrrole, halo,

1-8C O-lower alkyl, O-aryl, N-lower alkyl, S-lower alkyl, phenyl (substituted by X), amide compound of formula CONHR or NHCOR, carbamate compound of formula NHCOOR or urea compound of formula NHCONHR;

X = H, 1-8C lower alkyl, 9-20C higher alkyl, 9-20C higher alkyl comprising a double bond, (hetero)aryl, halo, O-lower alkyl, O-aryl, O-heteroaryl, N-aryl, N-heteroaryl, S-aryl, S-heteroaryl, 1-20C alkyl-amine derivative, 1-20C alkyl-carboxylic acid derivative, amine or nitro;

R = H, 1-8C lower alkyl, (hetero)aryl, tetragonal to octagonal (hetero)cyclic compound or 1-8C lower alkyl (substituted by tetragonal to octagonal (hetero)cyclic compound);

Z = H, O or S;

Y = H, 1-18C alkyl, (hetero)aryl, 1-8C lower alkyl (substituted by a tetragonal to octagonal (hetero)cyclic compound), amide compound of formula CONHR or NHCOR, carbamate compound of formula NHCOOR, urea compound of formula NHCONHR, 1-8C lower alkyl having a double or a triple bond, 9-20C higher alkyl having a double or a triple bond.

Provided that when Z is O or S the C(ring atom)-Z bond is a double bond.

INDEPENDENT CLAIMS are also included for:

- (1) Preparation of (I);
- (2) New 4-phenylsulfonyl-piperazine intermediates (II);
- (3) Preparation of (II) comprising reaction of a substituted phenylsulfamide of formula (III) with methanesulfonyl chloride, toluenesulfonyl chloride or triflic anhydride in the presence of a base, and reaction of the product with primary amine;
- (4) New substituted phenylsulfamide of formula (III); and
- (5) Preparation of (III) comprising reaction of the compound of formula (IV) with ethyl bromoacetate and halogen in presence of an inorganic base and N,N-dimethyl formamide or acetonitrile solvent.

W and X = H, methyl, ethyl, t-butyl or 1-8C lower alkyl group comprising a benzyl group.

ACTIVITY - Cytostatic; Antiarteriosclerotic; Ophthalmological; Antidiabetic; Antiarthritic; Antirheumatic; Antiinflammatory; Antiulcer; Osteopathic; Antiseborrheic; Dermatological; Anti-HIV; Antipsoriatic; Vulnerary.

MECHANISM OF ACTION - Matrix metalloproteinase (MMP) inhibitor.

The MMP inhibitor activities were measured by fluorescence assay as described by Knight, C. G., Willenbrock, F., Murphy, G. A., FEBS Lett. 1992, 296, 263-266. For 1-(4'-bromo-biphenyl-4-sulfonyl)-4-octyl-5-oxo-piperazine-2-hydroxamate. The results indicated an IC<sub>50</sub> (μM) value of 0.016, 0.002, 0.0013 and 0.007 for MMP-1, MMP-2, MMP-9 and MMP-13 respectively.

USE - In the treatment of cancer metastasis, solid cancer and angiogenesis (claimed). Also useful in the treatment of cardiovascular disease (e.g. hemangioma, angiofibroma), angiostenosis, edematous sclerosis, eye diseases caused by angiogenesis, corneal transplantation, angiogenic glaucoma, diabetic retinopathy, angiogenic corneal disease, age-related macular degeneration, pterygium, retinal degeneration, retrolental fibroplasias, granular conjunctivitis, skin diseases caused by angiogenesis (e.g. chronic inflammatory diseases e.g. arthritis, psoriasis, telangiectasis, granuloma pyogenicum, seborrheic dermatitis), periodontal disease, tumors, rheumatoid arthritis, inflammation, hyperparathyroidism, diabetes, corneal ulcers, osteoporosis, stomach ulcers, wounds, wrinkles, acne, AIDS, burns, arteriosclerosis, bone fractures.

ADVANTAGE - The compound is a potent proteinase inhibitor.

Dwg. 0/0

FILE SEGMENT:	CPI
FIELD AVAILABILITY:	AB; GI; DCN
MANUAL CODES:	CPI: B07-D03; B07-D11; B10-A08; B14-C03; B14-C09; B14-D07C; B14-E08; B14-F01; B14-F02F2; B14-F07; B14-G01B; B14-H01; B14-N01; B14-N03; B14-N06B; B14-N11; B14-N17; B14-S04; N02-F01

=> b home

FILE 'HOME' ENTERED AT 11:27:51 ON 15 JUN 2005

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=> b reg

FILE 'REGISTRY' ENTERED AT 11:40:07 ON 15 JUN 2005  
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STRUCTURE FILE UPDATES: 14 JUN 2005 HIGHEST RN 852282-01-0  
DICTIONARY FILE UPDATES: 14 JUN 2005 HIGHEST RN 852282-01-0

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sqide l11

L11 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 701201-02-7 REGISTRY  
CN L-Aspartamide, 1-acetyl-L-prolyl-L-histidyl-L-seryl-S-benzoyl-L-cysteinyl-  
(9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 5  
NTE modified

type	location		description
terminal mod.	Pro-1	-	N-acetyl
terminal mod.	Asn-5	-	C-terminal amide
modification	Cys-4	-	benzoyl<Bz>

SEQ 1 PHSCN

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C30 H39 N9 O9 S

SR CA

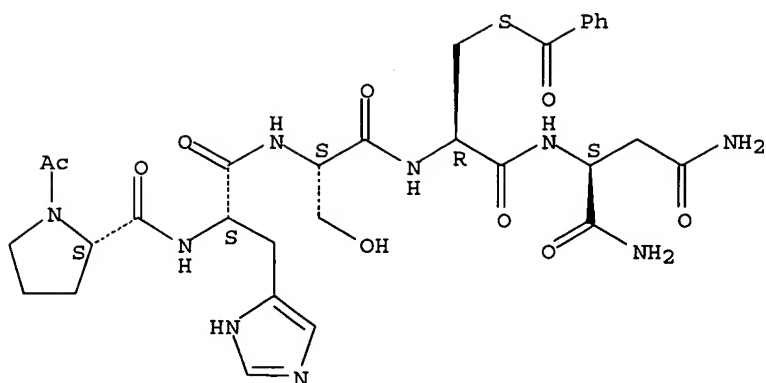
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
(Uses)

Absolute stereochemistry.





\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

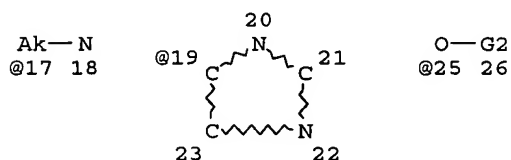
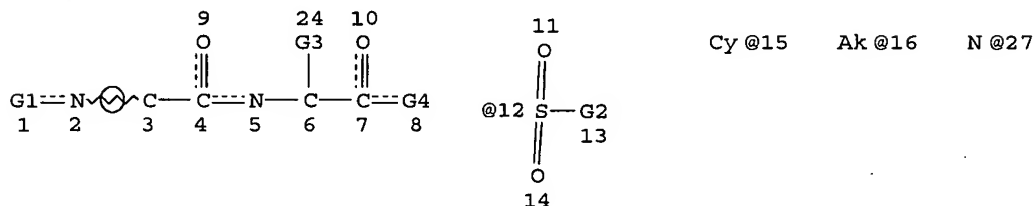
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L16 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 O

R 2043 OR 2054

L17 STR



VAR G1=16/12

VAR G2=16/15

VAR G3=17/19

VAR G4=AK/27/25

NODE ATTRIBUTES:

CONNECT IS M3 RC AT 2

CONNECT IS M3 RC AT 3

CONNECT IS M1 RC AT 16

CONNECT IS M1 RC AT 18

CONNECT IS M1 RC AT 27

DEFAULT MLEVEL IS ATOM

GGCAT IS UNS AT 15

DEFAULT ECLEVEL IS LIMITED

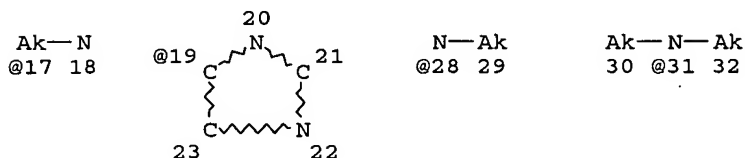
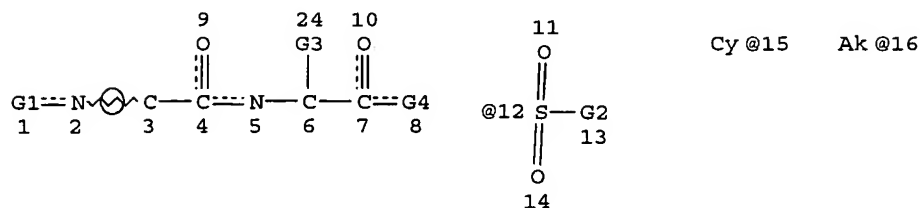
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RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE

L21 40532 SEA FILE=REGISTRY CSS FUL L17 AND L15 NOT L16  
L45 STR



VAR G1=16/12  
VAR G2=16/15  
VAR G3=17/19  
VAR G4=N/28/31  
NODE ATTRIBUTES:  
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CONNECT IS M1 RC AT 16  
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DEFAULT MLEVEL IS ATOM  
GGCAT IS UNS AT 15  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 29

STEREO ATTRIBUTES: NONE  
L47 1152 SEA FILE=REGISTRY SUB=L21 CSS FUL L45

100.0% PROCESSED 40401 ITERATIONS 1152 ANSWERS  
SEARCH TIME: 00.00.06

=> d his full

(FILE 'HOME' ENTERED AT 11:25:08 ON 15 JUN 2005)

FILE 'HCAPLUS' ENTERED AT 11:26:57 ON 15 JUN 2005  
L1 3 SEA ABB=ON PLU=ON US20040162239/PN OR (US2002-429174# OR  
US2003-475539#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 11:27:07 ON 15 JUN 2005

FILE 'HCAPLUS' ENTERED AT 11:27:08 ON 15 JUN 2005  
L2 TRA L1 1- RN : 209 TERMS

FILE 'REGISTRY' ENTERED AT 11:27:09 ON 15 JUN 2005  
L3 209 SEA ABB=ON PLU=ON L2

FILE 'WPIX' ENTERED AT 11:27:13 ON 15 JUN 2005  
L4 3 SEA ABB=ON PLU=ON US20040162239/PN OR (US2002-429174# OR  
US2003-475539#)/AP,PRN

FILE 'REGISTRY' ENTERED AT 11:35:57 ON 15 JUN 2005

Search done by Noble Jarrell

L5 80 SEA ABB=ON PLU=ON L3 AND NR=3  
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 NC4/ES  
 L7 8 SEA ABB=ON PLU=ON L6 AND O=9  
 L8 8 SEA ABB=ON PLU=ON L7 AND N=9  
 L9 7 SEA ABB=ON PLU=ON L8 AND S=1  
 L10 2 SEA ABB=ON PLU=ON C30H39N9O9S  
 SEL RN 1  
 L11 1 SEA ABB=ON PLU=ON 701201-02-7/BI AND L10

FILE 'HCAPLUS' ENTERED AT 11:40:20 ON 15 JUN 2005

L12 1 SEA ABB=ON PLU=ON L11

FILE 'REGISTRY' ENTERED AT 12:00:51 ON 15 JUN 2005

L13 STR  
 L14 0 SEA CSS SAM L13  
 L15 SCR 1994 AND 2005 AND 1838  
 L16 SCR 2039 OR 2041 OR 2050 OR 2049 OR 2048 OR 2053 OR 2052 OR 204  
 L17 STR L13  
 L18 50 SEA CSS SAM L17  
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 L21 40532 SEA CSS FUL L17 AND L15 NOT L16

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 E HOPKINS S/AU  
 L24 40 SEA ABB=ON PLU=ON ("HOPKINS S"/AU OR "HOPKINS S A"/AU)  
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 L25 8 SEA ABB=ON PLU=ON ("HOPKINS STEPHANIE"/AU OR "HOPKINS  
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 E YOON W/AU  
 L26 13 SEA ABB=ON PLU=ON ("YOON W"/AU OR "YOON W H"/AU)  
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 L27 10 SEA ABB=ON PLU=ON "YOON WON HYUNG"/AU  
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 E DONATE F/AU  
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 L32 25 SEA ABB=ON PLU=ON ("DONATE F"/AU OR "DONATE F A"/AU OR  
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 OR "PARRY G S"/AU OR "PARRY G V"/AU OR "PARRY G W"/AU)  
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L35 39 SEA ABB=ON PLU=ON ("PARRY GRAHAM"/AU OR "PARRY GRAHAM C"/AU  
OR "PARRY GRAHAM C N"/AU)  
E PLUNKETT M/AU

L36 23 SEA ABB=ON PLU=ON ("PLUNKETT M"/AU OR "PLUNKETT M A"/AU OR  
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L38 QUE ABB=ON PLU=ON PY<=2002 OR AY<=2002 OR PRY<=2002 OR  
PD<20021125 OR AD<20021125 OR PRD<20021125

L39 1 SEA ABB=ON PLU=ON L22 AND (L23 OR L24 OR L25 OR L26 OR L27  
OR L28 OR L29 OR L30 OR L31 OR L32 OR L33 OR L34 OR L35 OR L36  
OR L37)

L40 30459 SEA ABB=ON PLU=ON L22 NOT L39

L41 27945 SEA ABB=ON PLU=ON L40 AND L38

L42 7098 SEA ABB=ON PLU=ON L41 AND P/DT

L43 1727 SEA ABB=ON PLU=ON L42 AND US/PC.B  
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L45 STR L17

L46 30 SEA SUB=L21 CSS SAM L45

L47 1152 SEA SUB=L21 CSS FUL L45

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L48 405 SEA ABB=ON PLU=ON L47

FILE 'HCAOLD' ENTERED AT 12:36:48 ON 15 JUN 2005

L49 0 SEA ABB=ON PLU=ON L47

L50 0 SEA ABB=ON PLU=ON L48 AND (L23 OR L24 OR L25 OR L26 OR L27  
OR L28 OR L29 OR L30 OR L31 OR L32 OR L33 OR L34 OR L35 OR L36  
OR L37)

L51 381 SEA ABB=ON PLU=ON L48 AND L38

L52 33 SEA ABB=ON PLU=ON L51 AND US/PC.B  
SEL HIT RN L52

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=> b hcap

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FILE LAST UPDATED: 14 Jun 2005 (20050614/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d all fhitr 139 tot

L39 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:142756 HCAPLUS

DN 136:211909

ED Entered STN: 22 Feb 2002

TI Human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis

IN Mazar, Andrew P.; Juarez, Jose C.

PA Attenuon, LLC, USA

SO PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DT Patent

LA English

IC ICM C07K014-81

ICS C07K019-00; C12N015-62; C12N015-15; C07K016-38; A61K051-08;  
A61K038-57; G01N033-68; C12N005-08; C12N005-10; A61K047-48

CC 3-3 (Biochemical Genetics)

Section cross-reference(s): 1, 6, 13

Search done by Noble Jarrell

## FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002014369	A2	20020221	WO 2001-US23185	20010724
	WO 2002014369	C2	20030403		
	WO 2002014369	A3	20020912		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2001077119	A5	20020225	AU 2001-77119	20010724
	EP 1305342	A2	20030502	EP 2001-954904	20010724
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
	JP 2004515222	T2	20040527	JP 2002-519506	20010724
PRAI	US 2000-220194P	P	20000724		
	WO 2001-US23185	W	20010724		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2002014369	ICM	C07K014-81
	ICS	C07K019-00; C12N015-62; C12N015-15; C07K016-38; A61K051-08; A61K038-57; G01N033-68; C12N005-08; C12N005-10; A61K047-48
WO 2002014369	ECLA	C07K014/81B2
JP 2004515222	FTERM	2G045/AA29; 2G045/BB14; 2G045/BB29; 2G045/BB46; 2G045/BB50; 2G045/CB01; 2G045/DA36; 2G045/FB03; 2G045/FB05; 2G045/FB12; 2G045/GC15; 2G045/GC22; 2G054/AA08; 2G054/BB03; 2G054/CA23; 2G054/CE02; 2G054/EA03; 2G054/GA04; 4B024/AA01; 4B024/AA12; 4B024/CA02; 4B024/DA03; 4B024/EA02; 4B024/EA04; 4B024/FA02; 4B063/QA18; 4B063/QA19; 4B063/QQ08; 4B063/QR48; 4B063/QS03; 4B063/QS15; 4B063/QX01; 4B063/QX02; 4B063/QX07; 4B064/AG27; 4B064/DA05; 4B065/AA93; 4B065/AB06; 4B065/BA02; 4B065/CA44; 4B065/CA46; 4C084/AA02; 4C084/AA07; 4C084/AA12; 4C084/BA01; 4C084/BA08; 4C084/BA16; 4C084/BA17; 4C084/BA18; 4C084/BA19; 4C084/BA23; 4C084/BA44; 4C084/CA18; 4C084/CA53; 4C084/DC01; 4C084/NA14; 4C084/ZA012; 4C084/ZA332; 4C084/ZA362; 4C084/ZA452; 4C084/ZA512; 4C084/ZA542; 4C084/ZA592; 4C084/ZA682; 4C084/ZA812; 4C084/ZA892; 4C084/ZA962; 4C084/ZB212; 4C084/ZB262; 4C084/ZB272; 4C084/ZB312; 4C085/AA14; 4C085/BB22; 4C085/CC32; 4C085/EE01; 4C085/EE05; 4C085/GG01; 4C085/HH03; 4C085/HH11; 4C085/HH13; 4C085/KA27; 4C085/KA29; 4C085/KB07; 4C085/KB09; 4C085/KB18; 4C085/LL18; 4H045/AA10; 4H045/AA11; 4H045/AA30; 4H045/BA10; 4H045/BA15; 4H045/BA17; 4H045/BA41; 4H045/BA60; 4H045/CA40; 4H045/DA76; 4H045/EA23; 4H045/EA27; 4H045/EA28

AB Peptides form the human kininogen D5 domain and fusion peptides thereof having angiogenesis-inhibitory activity. These peptides are used in diagnosis and therapy of diseases associated with endothelial cell migration and proliferation, e.g., the treatment of cancer. The invention further relates to nucleic acid mols. encoding said peptides, antibodies to said peptides and methods for isolating said peptides and cells expressing them. The D5 domain of human kininogen, has one or more of the following properties: (a) inhibits angiogenesis at a IC50 of at least about 1 CLM; (b) binds to a D5 binding site on an endothelial cell with an affinity characterized by a Kd of about 11 M or lower as measured in a direct

binding assay to activated endothelial cells or in a competitive binding assay to purified D5 receptor; (c) activates one or more signaling pathways leading to induction of apoptosis in an endothelial cell; or (d) inhibits a signaling pathway required for maintenance of endothelial cell viability. The invention also relates to host cell, genetic vector and methods for recombinant production of said kininogen D5 domain. The invention also relates to isolating and enriching cells expressing D5 domain binding sites from a cell mixture

- ST sequence cDNA kininogen D5 domain human; angiogenesis inhibitor kininogen D5 domain human
- IT Protein motifs
  - (D5 domain; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Dopamine receptors
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (D5, used in isolation of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antibodies and Immunoglobulins
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (IgG1, fusion products; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Proteins
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (MBP (maltose-binding protein), as binding partner; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Fluorescent substances
  - (Oregon Green, as label; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Ligands
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (affinity, binding to; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antiarteriosclerotics
  - (antiatherosclerotics; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Hyperplasia
  - (arterial intimal, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Thioredoxins
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (as binding partner; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Eukaryota
  - (as host; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Chemiluminescent substances
  - Chromophores
  - Color formers
  - Fluorescent substances
  - Phosphorescent substances
    - (as label; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Allophycocyanins
  - Phycocyanins
  - Phycocerythrins
    - RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses) (as label; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

- IT Nervous system, disease  
(ataxia telangiectasia, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Hyperplasia  
(benign, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Luminescent substances  
(bioluminescent, as label; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Proteins  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(calmodulin-binding, as binding partner; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Fibrosis  
(chemotherapy-induced, associated with chronic inflammation, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Circulation  
(coronary, collateral, disorder of, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Menstruation  
Ovulation  
(disorder of, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Pregnancy  
(disorder, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Hematopoiesis  
(disorders, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Uterus, disease  
(endometriosis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Blood vessel  
(endothelium; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Lung, disease  
(fibrosis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Anticoagulants  
(for deep venous; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Angiogenesis inhibitors  
(for myocardial or ischemic limb; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Bone, disease  
(fracture, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antitumor agents  
(granulosa cell tumor, for pyogenic or neovascular; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Ovary, neoplasm  
(granulosa cell tumor, inhibitors, for pyogenic or neovascular; human



- kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antibodies and Immunoglobulins
  - RL: BSU (Biological study, unclassified); BIOL (Biological study) (heavy chain, hinge, CH2 or CH3, fused with kininogen D5 domain; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Angiogenesis inhibitors
  - Antiarthritics
  - Antidiabetic agents
  - Antitumor agents
  - Human
  - Molecular cloning
  - Plasmid vectors
  - Protein sequences
  - Signal transduction, biological
  - Viral vectors
  - Wound healing promoters
  - cDNA sequences
    - (human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Kininogens
  - RL: BPN (Biosynthetic preparation); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Fusion proteins (chimeric proteins)
  - RL: BPN (Biosynthetic preparation); DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
    - (human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antibodies and Immunoglobulins
  - Radionuclides, biological studies
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antibodies and Immunoglobulins
  - RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
    - (humanized; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Apoptosis
  - (inducing; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Cell migration
  - (inhibition of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Cell proliferation
  - (inhibition, of endothelial cells; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Drug delivery systems
  - (injections; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Spinal cord, disease
  - (injury, scarring or fibrosis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Artery, disease
  - (intima, hyperplasia, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Spinal cord, disease
  - (ischemia, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

- IT Eye, disease  
(keratopathy, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antitumor agents  
(leukemia; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Epitopes  
(linear or conformational; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antitumor agents  
(lymphoma; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Eye, disease  
(macula, degeneration, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Animal cell  
(mammalian, as host; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antitumor agents  
(metastasis; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Diagnosis  
(mol.; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antibodies and Immunoglobulins  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(monoclonal; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Angiogenesis  
(neovascularization, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Antiulcer agents  
(peptic; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Fibroblast  
(proliferation, disorder of, retrolental, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Fibrosis  
(pulmonary, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Artery, disease  
(restenosis, post-balloon angioplasty or vascular graft, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Eye, disease  
(retinopathy, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Eye, disease  
(rubeosis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Injury  
(spinal cord, scarring or fibrosis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Ischemia  
(spinal cord, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

- angiogenesis)
- IT Keloid  
(treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Endothelium  
(vascular; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT Blood vessel, disease  
(vasculogenesis, treatment of; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 402061-21-6P, Kininogen (human D5 doamin)  
RL: BPN (Biosynthetic preparation); DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(amino acid sequence; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 50812-37-8, Glutathione-S-transferase  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(as binding partner; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 643-79-8, 1,2-Benzenedicarboxaldehyde 2321-07-5, Fluorescein 2321-07-5D, Fluorescein, derivs. 10028-17-8, 3H, biological studies 13558-31-1 13981-27-6, 89Zr, biological studies 14119-09-6, 67Ga, biological studies 14133-76-7, 99Tc, biological studies 14762-75-5, 14C, biological studies 15064-65-0, 201Tl, biological studies 15117-53-0, 35S, biological studies 15715-08-9, 123I, biological studies 15750-15-9, 111In, biological studies 15755-33-6, 72As, biological studies 15757-14-9, 68Ga, biological studies 15758-35-7, 97Ru, biological studies 38183-12-9, Fluorescamine 82354-19-6, Texas red 183185-51-5, Rhodol green 189200-71-3, Rhodamine green  
RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)  
(as label; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 9001-90-5, Plasmin 9002-04-4, Thrombin 9004-08-4, Cathepsin 9039-53-6, Urokinase 81669-70-7, Metalloproteinase  
RL: BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)  
(cleavage of linker peptide by; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 268728-70-7  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(epitope H5-10 sequence; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 268728-71-8  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(epitope H5-13 sequence; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 268728-72-9  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(epitope H5-14 sequence; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)
- IT 401895-01-0 401895-02-1  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(epitope sequence; human kininogen D5 domain polypeptides, protein and cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

IT 605-65-2, Dansyl chloride  
 RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)  
 (for labeling; human kininogen D5 domain polypeptides, protein and cDNA  
 sequence, recombinant production and uses in inhibiting angiogenesis)

IT 10043-66-0, 131I, biological studies 14158-31-7, 125I, biological  
 studies  
 RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study);  
 USES (Uses)  
 (human kininogen D5 domain polypeptides, protein and cDNA sequence,  
 recombinant production and uses in inhibiting angiogenesis)

IT 10098-91-6, 90Y, biological studies 14391-96-9, 47Sc, biological studies  
 14981-64-7, 109Pd, biological studies 15092-94-1, 212Pb, biological  
 studies 15755-39-2, 211At, biological studies 15757-86-5, 67Cu,  
 biological studies 29901-95-9, 217Bi, biological studies  
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (human kininogen D5 domain polypeptides, protein and cDNA sequence,  
 recombinant production and uses in inhibiting angiogenesis)

IT 401895-03-2 401895-04-3  
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
 (Biological study)  
 (linker sequence; human kininogen D5 domain polypeptides, protein and  
 cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

IT 402061-22-7  
 RL: DGN (Diagnostic use); PRP (Properties); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (nucleotide sequence; human kininogen D5 domain polypeptides, protein  
 and cDNA sequence, recombinant production and uses in inhibiting  
 angiogenesis)

IT 402061-33-0 402061-34-1  
 RL: PRP (Properties)  
 (unclaimed nucleotide sequence; human kininogen D5 domain polypeptides,  
 protein and cDNA sequence, recombinant production and uses in inhibiting  
 angiogenesis)

IT 402061-32-9 402061-35-2  
 RL: PRP (Properties)  
 (unclaimed protein sequence; human kininogen D5 domain polypeptides,  
 protein and cDNA sequence, recombinant production and uses in inhibiting  
 angiogenesis)

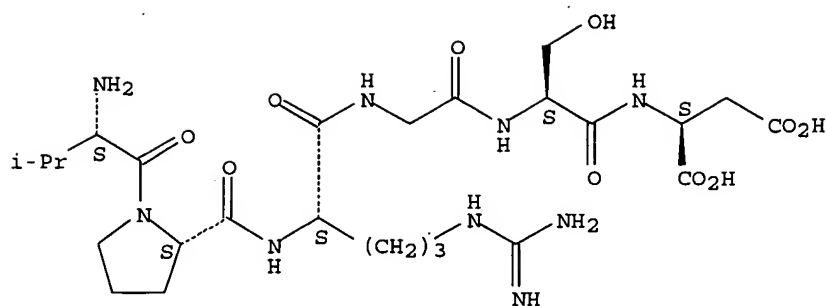
IT 401895-05-4  
 RL: PRP (Properties)  
 (unclaimed sequence; human kininogen D5 domain polypeptides, protein  
 and cDNA sequence, recombinant production and uses in inhibiting  
 angiogenesis)

IT 401895-03-2  
 RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
 (Biological study)  
 (linker sequence; human kininogen D5 domain polypeptides, protein and  
 cDNA sequence, recombinant production and uses in inhibiting angiogenesis)

RN 401895-03-2 HCAPLUS

CN L-Aspartic acid, L-valyl-L-prolyl-L-arginylglycyl-L-seryl- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



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L52  ANSWER 1 OF 33  HCAPLUS  COPYRIGHT 2005 ACS on STN
AN   2004:252189  HCAPLUS
DN   140:286142
ED   Entered STN:  26 Mar 2004
TI   Hybrid polypeptides comprising Ii-key motif and MHC class I or
      II-presented epitope of antigen, allergen or tumor antigen as vaccines
      against infection, allergy and cancer
IN   Humphreys, Robert E.; Xu, Minzhen
PA   Antigen Express, Inc., USA
SO   U.S. Pat. Appl. Publ., 90 pp.
      CODEN: USXXCO
DT   Patent
LA   English
IC   ICM  A61K048-00
      ICS  C12Q001-68; C07H021-04; C07K014-74
INCL 5140440000; 5303500000; 4350060000; 4350691000; 4353201000; 4353250000;
      5360235000
CC   15-2 (Immunochemistry)
      Section cross-reference(s): 3, 63
FAN.CNT 3

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	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004058881	A1	20040325	US 2002-253286	20020924 <--
	WO 2004030616	A2	20040415	WO 2003-US28574	20030912 <--
	WO 2004030616	A3	20041007		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2002-245871	A	20020917	<--	
	US 2002-253286	A	20020924	<--	

CLASS		
PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
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US 2004058881	ICM	A61K048-00
	ICS	C12Q001-68; C07H021-04; C07K014-74
	INCL	514044000; 530350000; 435006000; 435069100; 435320100; 435325000; 536023500
US 2004058881	NCL	514/044.000; 530/350.000; 435/006.000; 435/069.100; 435/320.100; 435/325.000; 536/023.500
	ECLA	C07K014/705B28

WO 2004030616 ECLA C07K014/705B28 <--

AB Disclosed is a nucleic acid mol. comprising a first expressible sequence encoding a protein of interest or polypeptide of interest which contains an MHC Class II-presented epitope. In addition, the nucleic acid mol. comprises a second expressible nucleic acid sequence encoding an antigen presentation-enhancing hybrid polypeptide. The antigen presentation enhancing hybrid polypeptide includes the following elements: i) an N-terminal element consisting essentially of 4-16 residues of the mammalian Ii-Key peptide: LRMKLPKPPKPVSKMR and non-N-terminal deletion modifications thereof that retain antigen presentation enhancing activity; ii) a C-terminal element comprising an MHC Class II-presented epitope in the form of a polypeptide or peptidomimetic structure which binds to the antigenic peptide binding site of an MHC class II mol., the MHC Class II-presented epitope being contained in the protein of interest of step a); and iii) an intervening peptidyl structure linking the N-terminal and C-terminal elements of the hybrid, the peptidyl structure having a length of about 20 amino acids or less. Exemplified proteins are allergen: Ara h 1-3, Fel d 1, Phi p 1, Phl p 5a, Bla g 5, and bee venom phospholipase A2; tumor antigen: CEA, CA-125, PSA, gp100, Pmel17, TRP-2, melanoma tyrosinase, MART-1, and Her-2 neu; pathogenic antigen: anthrax toxin lethal factor, anthrax protective antigen, Variola virus B5R protein, and Ebola virus membrane-associated protein VP24; and autoantigen: myelin basic protein, proteolipid protein, and myelin-oligodendrocyte glycoprotein precursor.

ST chimeric polypeptide Ii key motif MHC II epitope vaccine; allergen tumor antigen protein autoantigen epitope Ii key motif; infection allergy cancer autoimmune disease vaccine MHC I epitope

IT Proteolipid protein  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (1; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Vaccines  
 (AIDS; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Ara h 1; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Ara h 2; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Ara h 3 (Arachis hypogaea, 3); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (B5R; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Bla g 5; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as

- vaccines against infection, allergy and cancer)
- IT Allergens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Fel d 1 (Felis domesticus, 1); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Antigens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MAA (melanoma-associated antigen); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Antigens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MART-1; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Histocompatibility antigens  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MHC (major histocompatibility complex), class I, epitope; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Histocompatibility antigens  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MHC (major histocompatibility complex), class II, epitope; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Glycoproteins  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(MOG (myelin oligodendrocyte glycoprotein); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Allergens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Phl p 1 (Phleum pratense, 1); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Allergens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(Phl p 5a; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Proteins  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(SILV; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Proteins  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(TRP-2 (tyrosinase-related protein 2); hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Proteins

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (VP24 membrane-associated; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Toxins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthrax lethal factor; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Toxins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthrax protective antigen; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Infection  
 (anthrax; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Peptides, biological studies  
 Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (antigen presentation-enhancing; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Human immunodeficiency virus  
 Influenza virus  
 (antigen; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Antigens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (autoantigens; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Venoms  
 (bee; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Skin  
 (dander; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Envelope proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gp160env; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT T cell (lymphocyte)  
 (helper cell, epitope; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT Allergy  
 Animal  
 Antigen presentation  
 Arachis hypogaea  
 Autoimmune disease  
 Betula  
 Blattaria  
 Ebola virus  
 Epitopes



Felis catus  
 Human  
 Human immunodeficiency virus 1  
 Immunotherapy  
 Infection  
 Mammalia  
 Melanoma  
 Multiple sclerosis  
 Pathogen  
 Peptidomimetics  
 Phleum pratense  
 Pollen  
 Protein motifs  
 Protein sequences  
 Vaccines  
 Vaccinia virus  
 Variola virus  
 (hybrid polypeptides comprising Ii-key motif and MHC class I or  
 II-presented epitope of antigen, allergen or tumor antigen as vaccines  
 against infection, allergy and cancer)

IT RNA  
 mRNA  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (hybrid polypeptides comprising Ii-key motif and MHC class I or  
 II-presented epitope of antigen, allergen or tumor antigen as vaccines  
 against infection, allergy and cancer)

IT Allergens  
 Antigens  
 CA 125 (carbohydrate antigen)  
 Carcinoembryonic antigen  
 Fusion proteins (chimeric proteins)  
 Myelin basic protein  
 Prostate-specific antigen  
 neu (receptor)  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (hybrid polypeptides comprising Ii-key motif and MHC class I or  
 II-presented epitope of antigen, allergen or tumor antigen as vaccines  
 against infection, allergy and cancer)

IT Gene, animal  
 Gene, microbial  
 Nucleic acids  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL  
 (Biological study); USES (Uses)  
 (hybrid polypeptides comprising Ii-key motif and MHC class I or  
 II-presented epitope of antigen, allergen or tumor antigen as vaccines  
 against infection, allergy and cancer)

IT Vaccines  
 (influenza; hybrid polypeptides comprising Ii-key motif and MHC class I  
 or II-presented epitope of antigen, allergen or tumor antigen as  
 vaccines against infection, allergy and cancer)

IT Invariant chain (class II antigen)  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (key motif; hybrid polypeptides comprising Ii-key motif and MHC class I  
 or II-presented epitope of antigen, allergen or tumor antigen as  
 vaccines against infection, allergy and cancer)

IT Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (membrane, VP24; hybrid polypeptides comprising Ii-key motif and MHC  
 class I or II-presented epitope of antigen, allergen or tumor antigen  
 as vaccines against infection, allergy and cancer)

IT Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)

- (precursor, MOG glycoprotein; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Mutagenesis  
(site-directed, deletion; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Antigens  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(tumor-associated; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Vaccines  
(tumor; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Anti-AIDS agents  
Antitumor agents  
(vaccines; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Infection  
(variola, vaccine; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Apoidea  
(venom; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT Infection  
(viral; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT 9068-38-6, Reverse transcriptase  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(HIV-1; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT 676170-85-7, Allergen Ara h1 (Peanut) 676176-26-4, Allergen Ara h2 (Peanut) 676176-27-5, Allergen Ara h3 (Peanut) 676176-28-6, Allergen Ara Fel d1 (cat chain-1) 676176-29-7, Allergen Ara Fel d1 (cat chain-2) 676176-30-0, Allergen Phl P5 (Phleum pratense) 676176-31-1, Allergen Phl P5a (Betula) 676176-32-2, Phospholipase A2 (Bee) 676176-33-3, Allergen Bla g5 (Cofkroach) 676176-34-4, Antigen CEA (human) 676176-35-5, Antigen CA-125 (human ovarian cancer) 676176-36-6, Antigen gp100/pmel (human melanoma) 676176-37-7, Protein TRP-2 (human) 676176-38-8, Protein TRP-2 (human) 676176-39-9, Oxygenase, monophenol mono- (human) 676176-40-2, Antigen, MART-1 (human) 676176-41-3, Protein Her-2/neu (human) 676176-42-4 676176-43-5 676176-44-6, Protein B5R (Variola virus) 676176-45-7, Protein VP24 (Ebola virus) 676176-46-8, Myelin basic protein (synthetic)  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(amino acid sequecne; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)
- IT 9001-84-7D, Phospholipase A2, chimeric epitope derivs. 9002-10-2D, Tyrosinase, chimeric epitope derivs. 50812-37-8D, Glutathione-S-transferase, chimeric derivs. 122043-82-7D, chimeric derivs. 148951-36-4D, chimeric derivs. 151812-50-9D, chimeric derivs. 154330-44-6D, chimeric derivs. 154330-45-7D, chimeric derivs. 154427-26-6D, chimeric derivs. 154427-28-8D, chimeric derivs. 155029-62-2D, chimeric derivs. 156250-91-8D, chimeric derivs. 156250-92-9D, chimeric derivs. 156250-94-1D, chimeric derivs.

156250-95-2D, chimeric derivs. 156251-11-5D, chimeric derivs.  
 156761-76-1D, chimeric derivs. 158988-46-6D, chimeric derivs.  
 160212-35-1D, chimeric derivs. 160213-56-9D, chimeric derivs.  
 160214-15-3D, chimeric derivs. 160214-17-5D, chimeric derivs.  
 160214-64-2D, chimeric derivs. 160214-67-5D, chimeric derivs.  
 160215-66-7D, chimeric derivs. 160217-30-1D, chimeric derivs.  
 160217-32-3D, chimeric derivs. 160217-37-8D, chimeric derivs.  
 160217-44-7D, chimeric derivs. 160217-91-4D, chimeric derivs.  
 160790-21-6D, chimeric derivs. 162558-08-9D, chimeric derivs.  
 162558-10-3D, chimeric derivs. 168635-85-6D, chimeric derivs.  
 168635-91-4D, chimeric derivs. 168650-46-2D, chimeric derivs.  
 172286-82-7D, chimeric derivs. 172958-16-6D, chimeric derivs.  
 173554-73-9D, chimeric derivs. 174366-65-5D, chimeric derivs.  
 174366-69-9D, chimeric derivs. 176049-76-6D, chimeric derivs.  
 176049-79-9D, chimeric derivs. 176049-83-5D, chimeric derivs.  
 176049-84-6D, chimeric derivs. 177333-26-5D, chimeric derivs.  
 184297-65-2D, chimeric derivs. 185812-53-7D, chimeric derivs.  
 187987-68-4D, chimeric derivs. 187987-69-5D, chimeric derivs.  
 188191-55-1D, chimeric derivs. 188349-69-1D, chimeric derivs.  
 188606-70-4D, chimeric derivs. 188606-73-7D, chimeric derivs.  
 188606-81-7D, chimeric derivs. 191857-04-2D, chimeric derivs.  
 191857-06-4D, chimeric derivs. 191857-08-6D, chimeric derivs.  
 191857-10-0D, chimeric derivs. 191857-14-4D, chimeric derivs.  
 191857-30-4D, chimeric derivs. 191857-31-5D, chimeric derivs.  
 191857-35-9D, chimeric derivs. 191857-36-0D, chimeric derivs.  
 191857-37-1D, chimeric derivs. 194493-58-8D, chimeric derivs.  
 194730-57-9D, chimeric derivs. 194730-60-4D, chimeric derivs.  
 194730-62-6D, chimeric derivs. 194730-64-8D, chimeric derivs.  
 195523-86-5D, chimeric derivs. 196514-67-7D, chimeric derivs.  
 197169-94-1D, chimeric derivs. 197170-00-6D, chimeric derivs.  
 197170-01-7D, chimeric derivs. 197170-23-3D, chimeric derivs.  
 197170-34-6D, chimeric derivs. 197170-36-8D, chimeric derivs.  
 197171-78-1D, chimeric derivs. 198887-47-7D, chimeric derivs.  
 198887-49-9D, chimeric derivs. 198887-71-7D, chimeric derivs.  
 199184-83-3D, chimeric derivs. 205747-96-2D, chimeric derivs.  
 211049-24-0D, chimeric derivs. 219312-69-3D, chimeric derivs.  
 219562-84-2D, chimeric derivs. 220063-48-9D, chimeric derivs.  
 220111-12-6D, chimeric derivs. 220430-15-9D, chimeric derivs.  
 220431-68-5D, chimeric derivs. 220431-71-0D, chimeric derivs.  
 221652-71-7D, chimeric derivs. 221652-72-8D, chimeric derivs.  
 222412-18-2D, chimeric derivs. 222850-06-8D, chimeric derivs.  
 229020-52-4D, chimeric derivs. 229020-55-7D, chimeric derivs.  
 229020-56-8D, chimeric derivs. 229020-58-0D, chimeric derivs.  
 229020-59-1D, chimeric derivs. 245490-19-1D, chimeric derivs.  
 259743-35-6D, chimeric derivs. 260984-76-7D, chimeric derivs.  
 260984-83-6D, chimeric derivs. 260987-83-5D, chimeric derivs.  
 267000-54-4D, chimeric derivs. 267000-55-5D, chimeric derivs.  
 267000-56-6D, chimeric derivs. 267000-61-3D, chimeric derivs.  
 267000-63-5D, chimeric derivs. 267000-91-9D, chimeric derivs.  
 267000-96-4D, chimeric derivs. 267000-97-5D, chimeric derivs.  
 268209-83-2D, chimeric derivs. 268209-84-3D, chimeric derivs.  
 291507-29-4D, chimeric derivs. 291507-31-8D, chimeric derivs.  
 291507-33-0D, chimeric derivs. 291507-35-2D, chimeric derivs.  
 291507-37-4D, chimeric derivs. 310453-65-7D, chimeric derivs.  
 310453-71-5D, chimeric derivs. 318236-09-8 331663-61-7 344570-52-1D,  
 chimeric derivs. 344955-59-5D, chimeric derivs. 345347-02-6D, chimeric  
 derivs. 345348-53-0D, chimeric derivs. 361366-26-9D, chimeric derivs.  
 370878-07-2D, chimeric derivs. 370878-08-3D, chimeric derivs.  
 371162-39-9D, chimeric derivs. 383401-04-5D, chimeric derivs.  
 384823-96-5D, chimeric derivs. 384823-97-6D, chimeric derivs.  
 399508-89-5D, chimeric derivs. 403667-29-8D, chimeric derivs.  
 438492-02-5D, chimeric derivs. 471926-95-1D, chimeric derivs.  
 471927-52-3D, chimeric derivs. 471927-56-7D, chimeric derivs.  
 480654-08-8, GenBank AAB00386 494213-66-0D, chimeric derivs.  
 528557-74-6D, chimeric derivs. 528557-80-4D, chimeric derivs.  
 632297-75-7D, chimeric derivs. 636590-94-8 636590-95-9 636590-96-0

636590-97-1	636590-98-2	636590-99-3	636591-00-9	636591-01-0
636591-02-1	636591-03-2	636591-04-3	636591-05-4	636591-06-5
636591-07-6	636591-08-7	636591-09-8	636591-10-1	636591-11-2
636591-13-4	636591-14-5	636591-15-6	636591-16-7	636591-17-8
636591-18-9	636591-19-0	636591-20-3	636591-21-4	636591-22-5
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636591-28-1	636591-30-5	636591-31-6	636591-32-7	636591-33-8
636591-34-9	636591-35-0	636591-36-1	636591-37-2	636591-38-3
636591-39-4	636591-40-7	636591-41-8	636591-42-9	636591-43-0
636591-44-1	636591-45-2	636591-46-3	636591-47-4	636591-48-5
636591-49-6	636591-50-9	636591-51-0	636591-52-1	636591-53-2
636591-54-3	636591-55-4	636591-56-5	636591-57-6	636591-58-7
636591-59-8	636591-60-1	636591-61-2	636591-62-3	636591-63-4
636591-64-5	636591-65-6	636591-66-7	636591-67-8	636591-68-9
636591-69-0	636591-70-3	636591-71-4	636591-72-5	636591-73-6
636591-74-7	636591-75-8	636591-76-9	636591-77-0	636591-78-1
636591-79-2	636591-80-5	636591-81-6	636591-82-7	636591-83-8

RL: BSU (Biological study, unclassified); PRP (Properties); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)  
(hybrid polypeptides comprising Ii-key motif and MHC class I or  
II-presented epitope of antigen, allergen or tumor antigen as vaccines  
against infection, allergy and cancer)

IT	636591-84-9	636591-85-0	636591-86-1	636591-87-2	636591-88-3
	636591-89-4	636591-90-7	636591-91-8	636591-92-9	636591-93-0
	636591-94-1	636591-95-2	636591-96-3	636591-97-4	636591-98-5
	636591-99-6	636592-00-2	636592-01-3	636592-02-4	636592-03-5
	636592-04-6	636592-05-7	636592-06-8	636592-07-9	636592-08-0
	636592-09-1	636592-10-4	636592-11-5	636592-12-6	636592-13-7
	636592-14-8	636592-15-9	636592-16-0	636592-17-1	636592-18-2
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	636592-73-9	636592-75-1	636592-76-2	636592-78-4	636592-79-5
	636592-80-8	636592-81-9	636592-84-2	636592-87-5	636592-90-0
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	636593-22-1	636593-24-3	636593-26-5	636593-28-7	636593-30-1
	636593-32-3	636593-36-7	636593-38-9	636593-40-3	636593-44-7
	636593-45-8	636593-46-9	636593-48-1	636593-49-2	636593-50-5
	636593-51-6	636593-52-7	636593-53-8	636593-54-9	636593-55-0
	636593-57-2	636593-58-3	636593-59-4	636593-61-8	636593-62-9
	636593-63-0	636593-65-2	636593-66-3	636593-67-4	636593-68-5
	636593-69-6	636593-70-9	636593-71-0	636593-72-1	636593-73-2
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	636593-84-5	636593-85-6	636593-86-7	636593-87-8	
	636593-88-9	636593-89-0	636593-90-3		
	636593-91-4	636593-92-5	672908-48-4D, chimeric derivs.	672908-74-6D,	
			chimeric derivs.	672909-01-2D, chimeric derivs.	673447-76-2
	673447-77-3	673447-78-4	673447-79-5	673447-80-8	673447-81-9
	673447-82-0	673447-83-1	673447-84-2	673447-93-3	673447-94-4
	673447-95-5	673447-96-6	673447-97-7	673447-98-8	673447-99-9
	673448-00-5	673448-01-6	673448-02-7	673448-03-8	673448-04-9
	673448-05-0	673448-06-1	673448-07-2	673448-08-3	673448-09-4
	673448-10-7	673448-11-8	673448-12-9	673448-13-0	673448-14-1
	673448-15-2	673448-16-3	673448-17-4	673448-18-5	673448-19-6
	673448-20-9	673448-21-0	673448-22-1	673448-23-2	673448-24-3
	673448-25-4	673448-26-5	673448-27-6	673448-28-7	676118-97-1
	676118-98-2	676118-99-3	676119-00-9	676119-01-0	676119-02-1

676119-03-2 676119-04-3 676119-55-4D, chimeric derivs. 676119-56-5D,  
 chimeric derivs. 676119-57-6D, chimeric derivs. 676119-58-7D, chimeric  
 derivs. 676119-59-8D, chimeric derivs. 676119-60-1D, chimeric derivs.  
 676119-61-2D, chimeric derivs. 676119-62-3D, chimeric derivs.  
 676119-63-4D, chimeric derivs. 676119-64-5D, chimeric derivs.  
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 676119-67-8D, chimeric derivs. 676119-68-9D, chimeric derivs.  
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 676119-71-4D, chimeric derivs. 676119-72-5D, chimeric derivs.  
 676119-73-6D, chimeric derivs. 676119-74-7D, chimeric derivs.

RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)

(hybrid polypeptides comprising Ii-key motif and MHC class I or  
 II-presented epitope of antigen, allergen or tumor antigen as vaccines  
 against infection, allergy and cancer)

IT 676119-75-8D, chimeric derivs. 676119-76-9D, chimeric derivs.  
 676119-77-0D, chimeric derivs. 676119-78-1D, chimeric derivs.  
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 676140-82-2D, chimeric derivs. 676140-83-3D, chimeric derivs.  
 676140-84-4D, chimeric derivs. 676140-85-5D, chimeric derivs.  
 676140-86-6D, chimeric derivs. 676140-87-7D, chimeric derivs.  
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 676140-90-2D, chimeric derivs. 676140-91-3D, chimeric derivs.  
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 676141-02-9D, chimeric derivs. 676141-03-0D, chimeric derivs.  
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 676141-06-3D, chimeric derivs. 676141-07-4D, chimeric derivs.  
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 676141-32-5D, chimeric derivs. 676141-33-6D, chimeric derivs.  
 676141-34-7D, chimeric derivs. 676141-35-8D, chimeric derivs.  
 676141-36-9D, chimeric derivs. 676141-37-0D, chimeric derivs.  
 676141-38-1D, chimeric derivs. 676141-39-2D, chimeric derivs.  
 676141-40-5D, chimeric derivs. 676141-41-6D, chimeric derivs.  
 676141-42-7D, chimeric derivs. 676141-43-8D, chimeric derivs.  
 676141-44-9D, chimeric derivs. 676141-45-0D, chimeric derivs.  
 676141-46-1D, chimeric derivs. 676141-47-2D, chimeric derivs.  
 676141-48-3D, chimeric derivs. 676141-49-4D, chimeric derivs.  
 676141-50-7D, chimeric derivs. 676141-51-8D, chimeric derivs.  
 676141-52-9D, chimeric derivs. 676141-53-0D, chimeric derivs.  
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 676141-56-3D, chimeric derivs. 676141-57-4D, chimeric derivs.  
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 676141-60-9D, chimeric derivs. 676141-61-0D, chimeric derivs.  
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 676141-66-5D, chimeric derivs. 676141-67-6D, chimeric derivs.  
 676141-68-7D, chimeric derivs. 676141-69-8D, chimeric derivs.  
 676141-70-1D, chimeric derivs. 676141-71-2D, chimeric derivs.

[illegible]

676143-05-8D, chimeric derivs.

RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(hybrid polypeptides comprising Ii-key motif and MHC class I or

II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT	676143-06-9D, chimeric derivs.	676143-07-0D, chimeric derivs.
	676143-09-2D, chimeric derivs.	676143-10-5D, chimeric derivs.
	676143-11-6D, chimeric derivs.	676143-12-7D, chimeric derivs.
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	676143-17-2D, chimeric derivs.	676143-18-3D, chimeric derivs.
	676143-19-4D, chimeric derivs.	676143-20-7D, chimeric derivs..
	676143-21-8D, chimeric derivs.	676143-22-9D, chimeric derivs.
	676143-23-0D, chimeric derivs.	676143-24-1D, chimeric derivs.
	676143-25-2D, chimeric derivs.	676143-26-3D, chimeric derivs.
	676143-27-4D, chimeric derivs.	676143-28-5D, chimeric derivs.
	676143-29-6D, chimeric derivs.	676143-30-9D, chimeric derivs.
	676143-31-0D, chimeric derivs.	676143-32-1D, chimeric derivs.
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	676143-35-4D, chimeric derivs.	676143-37-6D, chimeric derivs.
	676143-38-7D, chimeric derivs.	676143-39-8D, chimeric derivs.
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	676143-46-7D, chimeric derivs.	676143-47-8D, chimeric derivs.
	676143-48-9D, chimeric derivs.	676143-49-0D, chimeric derivs.
	676143-50-3D, chimeric derivs.	676143-51-4D, chimeric derivs.
	676143-52-5D, chimeric derivs.	676143-53-6D, chimeric derivs.
	676143-54-7D, chimeric derivs.	676143-55-8D, chimeric derivs.
	676143-56-9D, chimeric derivs.	676143-57-0D, chimeric derivs.
	676143-58-1D, chimeric derivs.	676143-59-2D, chimeric derivs.
	676143-60-5D, chimeric derivs.	676143-61-6D, chimeric derivs.
	676143-62-7D, chimeric derivs.	676143-63-8D, chimeric derivs.
	676143-64-9D, chimeric derivs.	676143-65-0D, chimeric derivs.
	676143-66-1D, chimeric derivs.	676143-67-2D, chimeric derivs.
	676143-68-3D, chimeric derivs.	676143-69-4D, chimeric derivs.
	676143-70-7D, chimeric derivs.	676143-71-8D, chimeric derivs.
	676143-72-9D, chimeric derivs.	676143-73-0D, chimeric derivs.
	676143-74-1D, chimeric derivs.	676143-75-2D, chimeric derivs.
	676143-76-3D, chimeric derivs.	676143-77-4D, chimeric derivs.
	676143-78-5D, chimeric derivs.	676143-79-6D, chimeric derivs.
	676143-80-9D, chimeric derivs.	676143-81-0D, chimeric derivs.
	676143-82-1D, chimeric derivs.	676143-83-2D, chimeric derivs.
	676143-84-3D, chimeric derivs.	676143-85-4D, chimeric derivs.
	676143-86-5D, chimeric derivs.	676143-87-6D, chimeric derivs.
	676143-88-7D, chimeric derivs.	676143-89-8D, chimeric derivs.
	676143-90-1D, chimeric derivs.	676143-91-2D, chimeric derivs.
	676143-92-3D, chimeric derivs.	676143-93-4D, chimeric derivs.
	676143-94-5D, chimeric derivs.	676143-95-6D, chimeric derivs.
	676170-86-8D, chimeric derivs.	676170-87-9D, chimeric derivs.
	676170-88-0D, chimeric derivs.	676170-89-1D, chimeric derivs.

RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(hybrid polypeptides comprising Ii-key motif and MHC class I or

II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT 660-88-8,  $\delta$ -Aminovaleric acid

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(spacer; hybrid polypeptides comprising Ii-key motif and MHC class I or

II-presented epitope of antigen, allergen or tumor antigen as vaccines

against infection, allergy and cancer)

IT	92915-79-2	161177-45-3	162025-12-9	201289-87-4	201289-88-5
	331663-58-2	639461-73-7	639461-74-8	639461-75-9	676143-96-7
	676143-97-8	676143-98-9	676143-99-0	676186-29-1	

RL: PRP (Properties)

(unclaimed sequence; hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

IT 636593-87-8 636593-88-9 636593-89-0

636593-90-3

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hybrid polypeptides comprising Ii-key motif and MHC class I or II-presented epitope of antigen, allergen or tumor antigen as vaccines against infection, allergy and cancer)

L52 ANSWER 2 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:1007595 HCAPLUS

DN 140:75934

ED Entered STN: 28 Dec 2003

TI Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy

IN Humphreys, Robert; Xu, Minzhen

PA Antigen Express, Inc., USA

SO U.S. Pat. Appl. Publ., 87 pp., Cont.-in-part of U.S. Pat. Appl. 2003 91,582.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K039-00

ICS C07H021-04; C12P021-02; C12N005-06; C07K014-74

INCL 424192100; 435069300; 435320100; 435325000; 530350000; 536023500

CC 15-2 (Immunochemistry)

Section cross-reference(s): 3, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003235594	A1	20031225	US 2002-245871	20020917 <--
	US 6432409	B1	20020813	US 1999-396813	19990914 <--
	US 2003091582	A1	20030515	US 2002-197000	20020717 <--
	WO 2004030616	A2	20040415	WO 2003-US28574	20030912 <--
	WO 2004030616	A3	20041007		
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW	
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
PRAI	US 1999-396813	A3	19990914		<--
	US 2002-197000	A2	20020717		<--
	US 2002-245871	A	20020917		<--
	US 2002-253286	A	20020924		<--

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003235594	ICM	A61K039-00
	ICS	C07H021-04; C12P021-02; C12N005-06; C07K014-74
	INCL	424192100; 435069300; 435320100; 435325000; 530350000; 536023500
US 2003235594	NCL	424/192.100; 435/069.300; 435/320.100; 435/325.000; 530/350.000; 536/023.500
	ECLA	C07K014/705B28; C07K019/00; G01N033/50D2F2 <--
US 6432409	NCL	424/192.100; 424/184.100; 424/185.100; 530/328.000
	ECLA	C07K014/705B28; C07K019/00; G01N033/50D2F2 <--
US 2003091582	NCL	424/185.100; 435/069.100; 435/320.100; 435/325.000; 530/350.000; 536/023.500
	ECLA	C07K014/705B28; C07K019/00; G01N033/50D2F2 <--



WO 2004030616 ECLA C07K014/705B28

&lt;--

AB Disclosed is an antigen presentation enhancing hybrid polypeptide which includes three elements. The first element is an N-terminal element consisting essentially of 4-16 residues of the mammalian Ii-Key peptide LRMKLPKPPKPVSKMR and non-N-terminal deletion modifications thereof that retain antigen presentation enhancing activity. The second element is a chemical structure covalently linking the N-terminal element described above to the MHC Class II-presented epitope described below. The chemical structure is a covalently joined group of atoms which when arranged in a linear fashion forms a flexible chain which extends up to the length of 20 amino acids likewise arranged in a linear fashion, the chemical structure being selected from the group consisting of: (i) immunol. neutral chemical structures, (ii) a MHC Class I epitope or a portion thereof, and/or (iii) an antibody-recognized determinant or a portion thereof. Finally, the enhancing antigen presentation enhancing hybrid polypeptide includes a C-terminal element comprising an antigenic epitope in the form of a polypeptide or peptidomimetic structure which binds to the antigenic peptide binding site of an MHC class II mol. The hybrid polypeptides are useful as vaccines for epitope-specific therapy of e.g. cancer, infection, autoimmune disease, allergy and transplant. The hybrid peptides may also be useful for enhancing MHC class II-presented antigenic peptide to donor T lymphocytes for reinfusion therapy.

ST Ii peptide antigen epitope chimeric protein vaccine T lymphocyte

IT Vaccines

(AIDS; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Ara h 1; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Ara h 2; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Ara h 3; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Ara h 4; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Proteins

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(B5R; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Bla q 5; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergens

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(Fel d f; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Allergy

Antitumor agents

Arachis hypogaea

Autoimmune disease

Blattaria

Ebola virus  
 Epitopes  
 Food allergy  
 Human  
 Human immunodeficiency virus  
 Infection  
 Mammalia  
 Melanoma  
 Mutagenesis  
 Ovary, neoplasm  
 Pathogen  
 Peptidomimetics  
 Pollen  
 Prostate gland, neoplasm  
 Protein motifs  
 Protein sequences  
 T cell (lymphocyte)  
 Transplant and Transplantation  
 Vaccines  
 Variola virus  
 (Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT CA 125 (carbohydrate antigen)  
 Carcinoembryonic antigen  
 Myelin basic protein  
 Prostate-specific antigen  
 Proteolipid protein  
 neu (receptor)  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Antigens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (MAA (melanoma-associated antigen), Pmel 17; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Antigens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (MART-1; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Histocompatibility antigens  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (MHC (major histocompatibility complex), class I; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Histocompatibility antigens  
 RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (MHC (major histocompatibility complex), class II; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Glycoproteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (MOG (myelin oligodendrocyte glycoprotein); Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Phl p 1 (Phleum pratense, 1); Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)  
 IT Allergens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Phl p 5a and 5b; Ii-key/antigenic epitope hybrid peptide vaccines for

epitope-specific therapy)

IT Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (SILV; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (TRP-2 (tyrosinase-related protein 2); Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Betula  
 (allergy; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Toxins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthrax lethal factor; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Toxins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthrax protective antigen; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Toxins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (anthrax; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Venoms  
 (bee; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Immunity  
 (cell-mediated; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Antigens  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (chimeric; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT T cell (lymphocyte)  
 (cytotoxic; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Skin  
 (dander, allergen; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Nut (seed)  
 (edible; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Antigen presentation  
 (enhancer; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Immunotherapy  
 (epitope-specific; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Peptides, biological studies  
 RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (fusion peptides; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Envelope proteins  
 RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (gp160env; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT T cell (lymphocyte)  
(helper cell/inducer, TH1; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT T cell (lymphocyte)  
(helper cell/inducer, TH2; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT T cell (lymphocyte)  
(helper cell; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Drug delivery systems  
(infusions; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Invariant chain (class II antigen)  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(key peptide; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Proteins  
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(membrane, VP24; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Antibodies and Immunoglobulins  
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(recognized epitope; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Cytokines  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(release pattern; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Mutagenesis  
(site-directed, deletion; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Mutagenesis  
(site-directed, substitution; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Vaccines  
(tumor; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Anti-AIDS agents  
Antitumor agents  
(vaccines; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Infection  
(variola, vaccine; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Apoidea  
(venom; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT Infection  
(viral; Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT 318236-09-8 331663-61-7 636590-94-8 636590-95-9 636590-96-0  
636590-97-1 636590-98-2 636590-99-3 636591-00-9 636591-01-0  
636591-02-1 636591-03-2 636591-04-3 636591-05-4 636591-06-5  
636591-07-6 636591-08-7 636591-09-8 636591-10-1 636591-11-2  
636591-12-3 636591-13-4 636591-14-5 636591-15-6 636591-16-7  
636591-17-8 636591-18-9 636591-19-0 636591-20-3 636591-21-4  
636591-22-5 636591-23-6 636591-24-7 636591-25-8 636591-26-9  
636591-27-0 636591-28-1 636591-29-2 636591-30-5 636591-31-6  
636591-32-7 636591-33-8 636591-34-9 636591-35-0 636591-36-1  
636591-37-2 636591-38-3 636591-39-4 636591-40-7 636591-41-8  
636591-42-9 636591-43-0 636591-44-1 636591-45-2 636591-46-3  
636591-47-4 636591-48-5 636591-49-6 636591-50-9 636591-51-0  
636591-52-1 636591-53-2 636591-54-3 636591-55-4 636591-56-5

636591-57-6	636591-58-7	636591-59-8	636591-60-1	636591-61-2
636591-62-3	636591-63-4	636591-64-5	636591-65-6	636591-66-7
636591-67-8	636591-68-9	636591-69-0	636591-70-3	636591-71-4
636591-72-5	636591-73-6	636591-74-7	636591-75-8	636591-76-9
636591-77-0	636591-78-1	636591-79-2	636591-80-5	636591-81-6
636591-82-7	636591-83-8	636591-84-9	636591-85-0	636591-86-1
636591-87-2	636591-88-3	636591-89-4	636591-90-7	636591-91-8
636591-92-9	636591-93-0	636591-94-1	636591-95-2	636591-96-3
636591-97-4	636591-98-5	636591-99-6	636592-00-2	636592-01-3
636592-02-4	636592-03-5	636592-04-6	636592-05-7	636592-06-8
636592-07-9	636592-08-0	636592-09-1	636592-10-4	636592-11-5
636592-12-6	636592-13-7	636592-14-8	636592-15-9	636592-16-0
636592-17-1	636592-18-2	636592-19-3	636592-20-6	636592-21-7
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636592-37-5	636592-38-6	636592-39-7	636592-40-0	636592-41-1
636592-42-2	636592-43-3	636592-44-4	636592-45-5	636592-46-6
636592-47-7	636592-48-8	636592-49-9	636592-50-2	636592-51-3
636592-52-4	636592-53-5	636592-54-6	636592-55-7	636592-56-8
636592-57-9	636592-58-0	636592-59-1	636592-60-4	636592-62-6
636592-63-7	636592-64-8	636592-65-9	636592-66-0	636592-67-1
636592-68-2	636592-69-3	636592-70-6	636592-71-7	636592-73-9
636592-75-1	636592-76-2	636592-77-3	636592-78-4	636592-79-5
636592-80-8	636592-81-9	636592-84-2	636592-87-5	636592-90-0
636592-93-3	636592-96-6	636592-99-9	636593-02-7	636593-05-0
636593-08-3	636593-12-9	636593-15-2	636593-18-5	636593-20-9
636593-22-1	636593-24-3	636593-26-5	636593-28-7	636593-30-1
636593-32-3	636593-34-5	636593-36-7	636593-38-9	636593-40-3
636593-42-5	636593-44-7	636593-45-8	636593-46-9	636593-47-0
636593-48-1	636593-49-2	636593-50-5	636593-51-6	636593-52-7
636593-53-8	636593-54-9	636593-55-0	636593-57-2	636593-58-3
636593-59-4	636593-60-7	636593-61-8	636593-62-9	636593-63-0
636593-64-1	636593-65-2	636593-66-3	636593-67-4	636593-68-5

RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT	636593-69-6	636593-70-9	636593-71-0	636593-72-1	636593-73-2
	636593-74-3	636593-75-4	636593-76-5	636593-77-6	636593-78-7
	636593-79-8	636593-80-1	636593-81-2	636593-82-3	636593-83-4
	636593-84-5	636593-85-6	636593-86-7	636593-87-8	
	636593-88-9	636593-89-0	636593-90-3		
	636593-91-4	636593-92-5	637767-90-9	637767-91-0	637768-04-8
	637768-25-3	637768-26-4	637768-27-5	637768-30-0	637768-44-6
	637768-45-7				

RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)

(Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT	660-88-8, 5-Aminovaleric acid	9001-84-7, Phospholipase A2	9002-10-2, Tyrosinase	9007-43-6, Cytochrome C, biological studies	50812-37-8, Glutathione-S-transferase
	74163-81-8	128502-56-7	201289-87-4		

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific therapy)

IT	51-35-4, L-Hydroxyproline	70-26-8, L-Ornithine	156-86-5, L-Homoarginine	300-39-0	372-75-8, L-Citrulline	943-73-7	949-99-5, p-Nitro-L-phenylalanine	1132-68-9, p-Fluoro-L-phenylalanine	3060-46-6, N-Methyl-L-leucine	3685-51-6	14173-39-8, p-Chloro-L-phenylalanine
	38739-13-8, 3-Bromo-L-tyrosine	55516-54-6, $\beta$ -1-Naphthyl-L-alanine									

RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(modification; Ii-key/antigenic epitope hybrid peptide vaccines for

epitope-specific therapy)

IT 162025-12-9 201289-88-5 331663-58-2 639461-73-7 639461-74-8  
 639461-75-9 639544-57-3 639544-58-4 639544-59-5 639544-60-8  
 639544-61-9 639546-01-3 639546-02-4 639546-03-5 639546-04-6  
 639546-05-7 639546-06-8 639546-07-9 639546-08-0 639546-09-1  
 639546-10-4 639546-11-5 639546-12-6 639546-13-7 639546-14-8  
 639546-15-9 639546-16-0 639546-17-1 639546-18-2

RL: PRP (Properties)  
 (unclaimed sequence; ii-key/antigenic epitope hybrid peptide vaccines  
 for epitope-specific therapy)

IT 636593-87-8 636593-88-9 636593-89-0  
 636593-90-3

RL: BSU (Biological study, unclassified); PRP (Properties); THU  
 (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (Ii-key/antigenic epitope hybrid peptide vaccines for epitope-specific  
 therapy)

L52 ANSWER 3 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:678488 HCAPLUS  
 DN 139:214718  
 ED Entered STN: 29 Aug 2003  
 TI Chiral peptide nucleic acids with a N-aminoethyl-D-proline backbone  
 IN Lowe, Gordon  
 PA Isis Innovation Ltd., UK  
 SO U.S. Pat. Appl. Publ., 14 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM A61K038-16  
 ICS A61K031-52; C07K014-00  
 INCL 514012000; 514013000; 514014000; 514015000; 514016000; 514017000;  
 514018000; 514263200; 544277000; 544266000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 6, 33

FAN.CNT 1

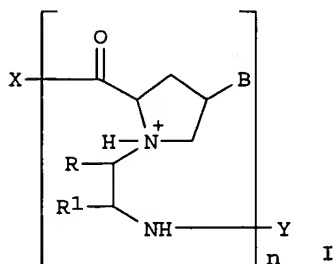
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003162699	A1	20030828	US 2001-22585	20011030 <--
US 6716961	B2	20040406		
PRAI US 2001-22585		20011030 <--		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003162699	ICM	A61K038-16
	ICS	A61K031-52; C07K014-00
	INCL	514012000; 514013000; 514014000; 514015000; 514016000; 514017000; 514018000; 514263200; 544277000; 544266000
US 2003162699	NCL	530/300.000; 435/006.000; 530/322.000; 536/022.100; 536/023.100; 536/024.300; 544/269.000; 544/277.000; 544/319.000
	ECLA	C07K014/00B1

OS MARPAT 139:214718 <--

GI



AB Chiral peptide nucleic acids are provided which hybridize strongly with complementary nucleic acids and have potential as antigens and antisense agents and as tools in mol. biol. The compds. have formula I [n is 1-200; B is an (un)protected base; X is OH or OR<sub>2</sub>, where R<sub>2</sub> is a protecting, activating, or lipophilic group, an amino acid, amino amide, or nucleoside; Y is H or a protecting, lipophilic, or aminoacyl group or a nucleoside; R, R<sub>1</sub> are H, alkyl, aryl, or aralkyl or may form a cycloalkyl ring]. Thus, H-[ $\Psi$ -CH<sub>2</sub>)Gly-D-Pro(T)]<sub>10</sub>-Lys-NH<sub>2</sub> was prepared and complexed with oligonucleotides [T<sub>m</sub> = 53° for complex with poly(rA)].

ST proline aminoethyl backbone peptide nucleic acid prepn; oligonucleotide hybridization aminoethylproline peptide nucleic acid prepn

IT Nucleic acid hybridization  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT Oligonucleotides  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT Peptide nucleic acids  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 586954-19-0P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 206760-16-9  
RL: PRP (Properties)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 586954-21-4P 586954-37-2P  
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 98-74-8, 4 Nitrobenzenesulfonyl chloride 141-43-5, Ethanolamine, reactions 189163-50-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 18226-05-6P 43090-97-7P 318515-52-5P 318515-53-6P 318515-54-7P 318515-55-8P 318515-56-9P 586954-18-9P 586954-22-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Anon; EP 0095584 1983 HCAPLUS
- (2) Anon; EP 0646596 1995 HCAPLUS
- (3) Anon; CA 2131760 1995 HCAPLUS
- (4) L oebbberding; US 5623049 A 1997 HCAPLUS
- (5) Lowe; US 6403763 B1 2002 HCAPLUS
- (6) Thottathil; US 4501901 A 1985 HCAPLUS

IT 586954-19-0P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

IT 586954-22-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of chiral peptide nucleic acids with N-aminoethyl-D-proline backbone and hybridization with oligonucleotides)

L52 ANSWER 4 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:473242 HCAPLUS

DN 139:30802

ED Entered STN: 20 Jun 2003

TI Preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity

IN Haviv, Fortuna; Bradley, Michael F.

PA USA

SO U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-08

ICS C07K007-06

INCL 514016000; 530328000

CC 1-6 (Pharmacology)

Section cross-reference(s): 34

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003114386	A1	20030619	US 2002-283553	20021030 <--
PRAI	US 2001-335035P	P	20011031	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003114386	ICM	A61K038-08
	ICS	C07K007-06
	INCL	514016000; 530328000
US 2003114386	NCL	514/016.000; 530/328.000
	ECLA	C07K007/06B <--

OS MARPAT 139:30802

AB Hexa-, hepta-, and octapeptides, which are useful for treating conditions that arise from or are exacerbated by angiogenesis, are described. Also disclosed are pharmaceutical compns. comprising these compds., methods of treatment using these compds., and methods of inhibiting angiogenesis.

ST hexapeptide heptapeptide octapeptide prepn antiangiogenic activity; cancer treatment antiangiogenic peptide

IT Peptides, biological studies

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(heptapeptides; preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT Peptides, biological studies

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(hexapeptides; preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT Peptides, biological studies

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(octapeptides; preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT Angiogenesis

Angiogenesis inhibitors

Antitumor agents

Neoplasm

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT	544447-91-8P	544447-93-0P	544447-95-2P	544447-97-4P	544447-99-6P
	544448-01-3P	544448-03-5P	544448-05-7P	544448-07-9P	544448-09-1P



544448-11-5P 544448-13-7P 544448-15-9P 544448-17-1P 544448-19-3P  
 544448-21-7P 544448-23-9P 544448-25-1P 544448-27-3P 544448-29-5P  
 544448-31-9P 544448-33-1P 544448-35-3P 544448-37-5P 544448-39-7P  
 544448-41-1P 544448-43-3P 544448-45-5P 544448-47-7P 544448-49-9P  
 544448-51-3P 544448-53-5P 544448-56-8P 544448-58-0P  
 544448-60-4P 544448-62-6P 544448-64-8P 544448-66-0P 544448-68-2P  
 544448-70-6P 544448-72-8P 544448-73-9P 544448-75-1P 544448-77-3P  
 544448-79-5P 544448-81-9P 544448-83-1P 544448-85-3P 544448-87-5P  
 544448-89-7P 544448-91-1P 544448-93-3P 544448-95-5P 544448-97-7P  
 544448-99-9P 544449-01-6P 544449-03-8P 544449-05-0P 544449-07-2P  
 544449-13-0P 544449-15-2P 544449-17-4P 544449-20-9P 544449-21-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT 3222-47-7, 6-Methylnicotinic acid 220497-64-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT 544447-92-9P 544447-94-1P 544447-96-3P 544447-98-5P 544448-00-2P  
 544448-02-4P 544448-04-6P 544448-06-8P 544448-08-0P 544448-10-4P  
 544448-12-6P 544448-14-8P 544448-16-0P 544448-18-2P 544448-20-6P  
 544448-22-8P 544448-24-0P 544448-26-2P 544448-28-4P 544448-30-8P  
 544448-32-0P 544448-34-2P 544448-36-4P 544448-38-6P 544448-40-0P  
 544448-42-2P 544448-44-4P 544448-46-6P 544448-48-8P 544448-50-2P  
 544448-52-4P 544448-54-6P 544448-57-9P 544448-59-1P  
 544448-61-5P 544448-63-7P 544448-65-9P 544448-67-1P 544448-69-3P  
 544448-71-7P 544448-74-0P 544448-76-2P 544448-78-4P 544448-80-8P  
 544448-82-0P 544448-84-2P 544448-86-4P 544448-88-6P 544448-90-0P  
 544448-92-2P 544448-94-4P 544448-96-6P 544448-98-8P 544449-00-5P  
 544449-02-7P 544449-04-9P 544449-06-1P 544449-08-3P 544449-10-7P  
 544449-12-9P 544449-14-1P 544449-16-3P 544449-18-5P 544454-06-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT 544448-58-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

IT 544448-59-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of hexa-, hepta-, and octapeptides having antiangiogenic activity)

L52 ANSWER 5 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:455015 HCAPLUS

DN 139:30854

ED Entered STN: 13 Jun 2003

TI Tri-, tetra-, and penta-peptides having antiangiogenic activity

IN Haviv, Fortuna; Bradley, Michael F.

PA USA

SO U.S. Pat. Appl. Publ., 21 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-08

ICS C07K007-06

INCL 514017000; 530329000

CC 1-12 (Pharmacology)

Section cross-reference(s): 34, 63

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI US 2003109456 A1 20030612 US 2002-283813 20021030 <--  
 PRAI US 2001-335018P P 20011031 <--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003109456	ICM	A61K038-08
	ICS	C07K007-06
	INCL	514017000; 530329000
US 2003109456	NCL	514/017.000; 530/329.000
	ECLA	A61K038/06; A61K038/07; A61K038/08; C07K005/08A1B; C07K005/08A1F; C07K005/08B; C07K005/08H1; C07K005/10A1B; C07K005/10A1F; C07K005/10A1A; C07K005/10B; C07K005/10C; C07K005/10H; C07K007/02 <--

OS MARPAT 139:30854

AB Peptides which are useful for treating conditions that arise from or are exacerbated by angiogenesis, are described. Also disclosed are pharmaceutical compns. comprising these compds., methods of treatment using these compds., and methods of inhibiting angiogenesis. Peptides were prepared by solid phase synthesis. Representative peptides inhibited human endothelial cell migration by at least 50% when tested at 1 nM.

ST antiangiogenic peptide treatment disease cancer; angiogenesis inhibitor peptide

IT Blood vessel  
 (endothelium, peptide inhibition of cell migration of; peptides having antiangiogenic activity)

IT Disease, animal  
 (from angiogenesis, treatment of; peptides having antiangiogenic activity)

IT Cell migration  
 (of endothelial cells, peptide inhibition of; peptides having antiangiogenic activity)

IT Peptides, biological studies  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (pentapeptides; peptides having antiangiogenic activity)

IT Solid phase synthesis  
 (peptide; peptides having antiangiogenic activity)

IT Angiogenesis  
 Angiogenesis inhibitors  
 Antitumor agents  
 Drug delivery systems  
 Human  
 Mammalia  
 (peptides having antiangiogenic activity)

IT Tripeptides  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (peptides having antiangiogenic activity)

IT Peptides, biological studies  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tetrapeptides; peptides having antiangiogenic activity)

IT Neoplasm  
 (treatment of; peptides having antiangiogenic activity)

IT Endothelium  
 (vascular, peptide inhibition of cell migration of; peptides having antiangiogenic activity)

IT	521291-79-2P	521291-80-5P	521291-81-6P	521291-82-7P	521291-83-8P
	521291-85-0P	521291-86-1P	521291-87-2P	521291-88-3P	521291-89-4P
	521291-90-7P	521291-91-8P	521291-92-9P	521291-93-0P	521291-94-1P
	521291-95-2P	521291-96-3P	521291-97-4P	521291-98-5P	521291-99-6P
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 539853-66-2P 539853-68-4P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having antiangiogenic activity)

IT 64-19-7, Acetic acid, reactions 3025-95-4, N-Acetyl- $\beta$ -alanine  
 133174-15-9 149117-86-2

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (peptides having antiangiogenic activity)

IT 521292-36-4P 539853-66-2P

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having antiangiogenic activity)

L52 ANSWER 6 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:455014 HCAPLUS

DN 139:30853

ED Entered STN: 13 Jun 2003

TI Hepta-, octa- and nonapeptides having antiangiogenic activity

IN Haviv, Fortuna; Bradley, Michael F.

PA USA

SO U.S. Pat. Appl. Publ., 26 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-10

ICS A61K038-08; C07K007-08; C07K007-06

INCL 514016000; 514017000; 530328000; 530329000

CC 1-12 (Pharmacology)

Section cross-reference(s): 34, 63

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003109455	A1	20030612	US 2002-283550	20021030 <--
PRAI	US 2001-335017P	P	20011031	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003109455	ICM	A61K038-10
	ICS	A61K038-08; C07K007-08; C07K007-06
	INCL	514016000; 514017000; 530328000; 530329000
US 2003109455	NCL	514/016.000; 514/017.000; 530/328.000; 530/329.000
	ECLA	C07K007/06A; C07K014/515 <--

OS MARPAT 139:30853

AB Peptides which are useful for treating conditions that arise from or are exacerbated by angiogenesis, are described. Also disclosed are pharmaceutical compns. comprising these compds., methods of treatment using these compds., and methods of inhibiting angiogenesis. Peptides were prepared by solid phase synthesis. Representative peptides inhibited human endothelial cell migration by at least 50% when tested at 1 nM.

ST antiangiogenic peptide treatment disease cancer; angiogenesis inhibitor peptide

IT Blood vessel

(endothelium, peptide inhibition of cell migration of; peptides having antiangiogenic activity)

IT Disease, animal

(from angiogenesis, treatment of; peptides having antiangiogenic activity)

IT Peptides, biological studies

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (heptapeptides; peptides having antiangiogenic activity)

IT Peptides, biological studies  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (nonapeptides; peptides having antiangiogenic activity)

IT Peptides, biological studies  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (octapeptides; peptides having antiangiogenic activity)

IT Cell migration  
 (of endothelial cells, peptide inhibition of; peptides having  
 antiangiogenic activity)

IT Solid phase synthesis  
 (peptide; peptides having antiangiogenic activity)

IT Angiogenesis  
 Angiogenesis inhibitors  
 Antitumor agents  
 Drug delivery systems  
 Human  
 Mammalia  
 (peptides having antiangiogenic activity)

IT Neoplasm  
 (treatment of; peptides having antiangiogenic activity)

IT Endothelium  
 (vascular, peptide inhibition of cell migration of; peptides having  
 antiangiogenic activity)

IT 445467-72-1P 445467-73-2P 521942-45-0P 521942-46-1P 521942-47-2P  
 521942-48-3P 521942-50-7P 521942-51-8P 521942-52-9P 521942-53-0P  
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540738-04-3P 540738-05-4P 540738-06-5P 540738-08-7P  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having antiangiogenic activity)  
 IT 521942-49-4 521943-62-4 521943-81-7  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES  
 (Uses)  
 (peptides having antiangiogenic activity)  
 IT 64-19-7, Acetic acid, reactions 3222-47-7, 6-Methylnicotinic acid  
 118904-37-3 133174-15-9 173963-93-4 199006-54-7 200616-40-6  
 252049-05-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (peptides having antiangiogenic activity)  
 IT 521943-71-5P 540737-98-2P  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);  
 PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL  
 (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having antiangiogenic activity)

L52 ANSWER 7 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:435296 HCAPLUS  
 DN 138:385741  
 ED Entered STN: 06 Jun 2003  
 TI Preparation of tetra-, penta-, hexa- and heptapeptides having  
 antiangiogenic activity  
 IN Haviv, Fortuna; Bradley, Michael F.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 55 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 IC ICM A61K038-10  
 ICS A61K038-08; C07K007-06; C07K007-08  
 INCL 514016000; 514017000; 530328000; 530329000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 63  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003105023	A1	20030605	US 2002-283549	20021030 <--
PRAI	US 2001-335019P	P	20011031	<--	
	US 2001-335412P	P	20011031	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003105023	ICM	A61K038-10
	ICS	A61K038-08; C07K007-06; C07K007-08
	INCL	514016000; 514017000; 530328000; 530329000
US 2003105023	NCL	514/016.000; 514/017.000; 530/328.000; 530/329.000
	ECLA	C07K007/06A; C07K007/06B; C07K007/08A; C07K007/08B <--

OS MARPAT 138:385741

AB The invention describes peptides Xaa1-Xaa2-Xaa3-Xaa4-Xaa5-Xaa6-Xaa7-Xaa8  
 [Xaa1 is H or R(CH<sub>2</sub>)<sub>n</sub>CO, where n is 0-8 and R is alkoxy, alkyl, amino,  
 aryl, carboxy, cycloalkenyl, cycloalkyl, or heterocyclyl; Xaa2-Xaa7 are  
 amino acid residues, which are defined (Xaa7 may also be absent); Xaa8 is  
 D-alanylamide, azaglycylamide, glycylamide, hydroxy, D-lysyl(Nε-  
 acetyl)amide, NH(CH<sub>2</sub>)<sub>n</sub>CHR<sub>1</sub>R<sub>2</sub> [n = 0-8; R<sub>1</sub> = H, alkyl, cycloalkenyl,  
 cycloalkyl; R<sub>2</sub> = H, alkoxy, alkyl, aryl, cycloalkenyl, cycloalkyl,  
 heterocyclyl, hydroxy (with provisos)], or NHR<sub>3</sub>, where R<sub>3</sub> = H,  
 cycloalkenyl, cycloalkyl, or hydroxy] and Xaa1-Xaa2-Xaa3-Xaa4-Xaa5-Xaa6-  
 Xaa7 (same Xaa1-Xaa6 (Xaa6 may also be absent), Xaa7 is as defined for  
 Xaa8), which are useful for treating conditions that arise from or are  
 exacerbated by angiogenesis. An example is N-Ac-D-Ile-Thr-Nva-Ile-Arg-Pro-  
 NH<sub>2</sub>, which was prepared by the solid-phase method. Comps. of the

invention demonstrate enhanced potency compared to previously described antiangiogenic peptides, i.e., they inhibited human endothelial cell migration by approx. 55-70% at a concentration of 0.01 nM.

ST peptide prepn angiogenesis inhibitor

IT Solid phase synthesis

(peptide; preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT Angiogenesis

Angiogenesis inhibitors

Human

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT	522609-34-3P	522609-35-4P	522609-36-5P	522609-37-6P	522609-38-7P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT	522611-76-3P	522611-77-4P	522611-78-5P	522611-79-6P	522611-80-9P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT 3222-47-7, 6-Methyl-nicotinic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT	524091-35-8	524091-36-9	524091-37-0	524091-38-1	524091-39-2
	524091-40-5	524091-41-6	524091-42-7	524091-43-8	524091-44-9
	524091-45-0	524091-46-1	524091-47-2	524091-48-3	524091-49-4
	524091-50-7	524091-51-8	524091-52-9	524091-53-0	524091-54-1
	524091-55-2	524091-56-3	524091-57-4	524091-58-5	524091-59-6
	524091-60-9	524091-61-0	524091-62-1	524091-63-2	524091-64-3
	524091-65-4	524759-44-2			

RL: PRP (Properties)

(unclaimed protein sequence; preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT 522609-86-5P 522609-87-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

L52 ANSWER 8 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:435295 HCAPLUS

DN 138:385740

ED Entered STN: 06 Jun 2003

TI Preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity

IN Haviv, Fortuna; Bradley, Michael F.

PA USA

SO U.S. Pat. Appl. Publ., 55 pp., Cont.-in-part of U.S. Ser. No. 7.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-00

INCL 514016000; 514017000; 514018000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 2

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PI	US 2003105022	A1	20030605	US 2002-263811	20021004 <--
	US 2003119745	A1	20030626	US 2001-540	20011031 <--
	US 2003125261	A1	20030703	US 2001-7	20011031 <--

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CA 2466152          AA    20030508      CA 2002-2466152      20021030 <--
WO 2003037266      A2    20030508      WO 2002-US34760      20021030 <--
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      GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
      LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
      PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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      FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
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	ECLA	C07K005/10A1F; C07K007/06B <--
US 2003119745	NCL	514/016.000; 514/017.000; 530/328.000; 530/329.000
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US 2003125261	NCL	514/017.000
	ECLA	C07K005/10A1F; C07K007/06B <--
WO 2003037266	ECLA	C07K005/10A1F; C07K007/06B <--
JP 2005512980	FTERM	4C084/AA02; 4C084/AA07; 4C084/BA01; 4C084/BA09; 4C084/BA17; 4C084/BA23; 4C084/CA59; 4C084/ZA33; 4C084/ZA36; 4C084/ZA39; 4C084/ZA44; 4C084/ZA66; 4C084/ZA86; 4C084/ZA89; 4C084/ZA96; 4C084/ZB15; 4C084/ZB26; 4H045/AA10; 4H045/AA20; 4H045/AA30; 4H045/BA01; 4H045/BA13; 4H045/BA14; 4H045/BA15; 4H045/EA28; 4H045/FA33; 4H045/GA21 <--

OS MARPAT 138:385740

AB The invention describes peptides Xaa1-Xaa2-Xaa3-Xaa4-Xaa5-Xaa6-Xaa7-Xaa8 [Xaa1 is H or R(CH<sub>2</sub>)<sub>n</sub>CO, where n is 0-8 and R is alkoxy, alkyl, amino, aryl, carboxy, cycloalkenyl, cycloalkyl, or heterocyclyl; Xaa2-Xaa7 are amino acid residues, which are defined (Xaa7 may also be absent); Xaa8 is D-alanylamine, azaglycylamine, glycylamine, hydroxy, D-lysyl(Nε-acetyl)amide, NH(CH<sub>2</sub>)<sub>n</sub>CHR<sub>1</sub>R<sub>2</sub> [n = 0-8; R<sub>1</sub> = H, alkyl, cycloalkenyl, cycloalkyl; R<sub>2</sub> = H, alkoxy, alkyl, aryl, cycloalkenyl, cycloalkyl, heterocyclyl, hydroxy (with provisos)], or NHR<sub>3</sub>, where R<sub>3</sub> = H, cycloalkenyl, cycloalkyl, or hydroxyl] and Xaa1-Xaa2-Xaa3-Xaa4-Xaa5-Xaa6-Xaa7 (same Xaa1-Xaa6 (Xaa6 may also be absent), Xaa7 is as defined for Xaa8), which are useful for treating conditions that arise from or are exacerbated by angiogenesis. An example is N-Ac-D-Ile-Thr-Nva-Ile-Arg-Pro-NH<sub>2</sub>, which was prepared by the solid-phase method. Compds. of the invention demonstrate enhanced potency compared to previously described antiangiogenic peptides, i.e., they inhibited human endothelial cell migration by approx. 55-70% at a concentration of 0.01 nM.

ST peptide prepn angiogenesis inhibitor

IT Solid phase synthesis

(peptide; preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)

IT Angiogenesis

Angiogenesis inhibitors

Human

(preparation of tetra-, penta-, hexa- and heptapeptides having antiangiogenic activity)



## IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having  
antiantiogenic activity)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having  
antiantiogenic activity)

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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having  
 antiangiogenic activity)

IT 3222-47-7, 6-Methyl-nicotinic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of tetra-, penta-, hexa- and heptapeptides having  
 antiangiogenic activity)

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RL: PRP (Properties)

(unclaimed protein sequence; preparation of tetra-, penta-, hexa- and  
 heptapeptides having antiangiogenic activity)

IT 522609-86-5P 522609-87-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of tetra-, penta-, hexa- and heptapeptides having  
 antiangiogenic activity)

L52 ANSWER 9 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:396443 HCAPLUS

DN 138:369199

ED Entered STN: 23 May 2003

TI Preparation of hepta-, octa- and nonapeptides having antiangiogenic  
 activity

IN Haviv, Fortuna; Bradley, Michael F.

PA USA

SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Ser. No. 681.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-10

ICS C07K007-08; A61K038-08; C07K007-06

INCL 514016000; 514017000; 530328000; 530329000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1, 63

FAN.CNT 2

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## CLASS

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US 2003125259	NCL	514/016.000; 514/017.000; 530/328.000; 530/329.000
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WO 2003037268	ECLA	C07K007/06A <--
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OS MARPAT 138:369199

AB The invention describes peptides Xaa1-Xaa2-Xaa3-Xaa4-Xaa5-Xaa6-Xaa7-Xaa8-Xaa9-Xaa10 [Xaa1is H or R(CH<sub>2</sub>)nCO, where n is 0-8 and R is alkoxy, alkyl, amino, aryl, carboxy, cycloalkenyl, cycloalkyl, or heterocyclyl; Xaa2-Xaa9 are amino acid residues, which are defined (Xaa9 may also be absent); Xaa10 is D-alanylamine, azaglycylamine, glycylamine, D-lysyl(Nε-acetyl)amine, NH(CH<sub>2</sub>)nCHRR1R2 [n = 0-8; R1 = H, alkyl, cycloalkenyl, cycloalkyl; R2 = H, alkoxy, alkyl, aryl, cycloalkenyl, cycloalkyl, heterocyclyl, hydroxy (with provisos)], or NHR3, where R3 = H, cycloalkenyl, cycloalkyl, or hydroxy], which are useful for treating conditions that arise from or are exacerbated by angiogenesis. An example is N-Ac-Gly-Val-D-Ile-Thr-Nva-Ile-Arg-Pro-NHET, which was prepared by the solid-phase method. Compds. of the invention inhibit human endothelial cell migration by approx. 50-95% at a concentration of 0.1 nM.

ST peptide prepn angiogenesis inhibitor

IT Solid phase synthesis

(peptide; preparation of hepta-, octa- and nonapeptides having antiangiogenic activity)

IT Angiogenesis

Angiogenesis inhibitors

Human

(preparation of hepta-, octa- and nonapeptides having antiangiogenic activity)

IT Peptides, preparation

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hepta-, octa- and nonapeptides having antiangiogenic activity)

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 521943-80-6P 521943-81-7P 521943-82-8P 521943-83-9P 521943-84-0P  
 521943-85-1P 521943-86-2P 521943-87-3P 521943-88-4P 521943-90-8P  
 521943-92-0P 521943-95-3P 521943-99-7P 521944-00-3P 521944-01-4P  
 521944-02-5P 521944-03-6P 521944-04-7P 521944-05-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of hepta-, octa- and nonapeptides having antiangiogenic  
 activity)

IT 3222-47-7, 6-Methylnicotinic acid

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hepta-, octa- and nonapeptides having antiangiogenic  
 activity)

IT 521943-71-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of hepta-, octa- and nonapeptides having antiangiogenic  
 activity)

L52 ANSWER 10 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:242029 HCAPLUS

DN 138:265604

ED Entered STN: 28 Mar 2003

TI Tripeptide amides that block viral infectivity and methods of use thereof

IN Van der Spoel, David; Hetenyi, Csaba; Vegvari, Akos; Hoglund, Stefan; Su,  
 Jin; Sandin-Reneby, Sarah; Goobar-Larsson, Laura; Vahlne, Anders

PA Swed.

SO U.S. Pat. Appl. Publ., 17 pp.

CODEN: USXXCO

DT Patent

LA English

IC ICM C07K016-00

ICS C07K007-00; C07K005-00; A61K038-00; A01N037-18; A61K038-06;  
 C07K017-00

INCL 530331000; 514002000

CC 1-5 (Pharmacology)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003060599	A1	20030327	US 2001-938806	20010824 <--
	US 6593455	B2	20030715		
PRAI	US 2001-938806		20010824	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 2003060599	ICM	C07K016-00
	ICS	C07K007-00; C07K005-00; A61K038-00; A01N037-18;

A61K038-06; C07K017-00  
 INCL 530331000; 514002000  
 US 2003060599 NCL 530/331.000; 530/332.000; 530/334.000; 530/345.000  
 ECLA C07K005/08 <--

AB The disclosed embodiments relate to the discovery that tripeptide amides, which correspond to viral capsid sequences, can be used to inhibit viral infection, including human immunodeficiency virus (HIV) infection. Also, medicaments comprising tripeptide amides and methods of using said compds. for the prevention and treatment of viral infection, such as HIV infection, are provided.

ST tripeptide amide capsid protein antiviral

IT Peptides, biological studies  
 Tripeptides  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (amides; tripeptide amides that block viral infectivity and uses thereof)

IT Virion structure  
 (capsid; tripeptide amides that block viral infectivity and uses thereof)

IT Proteins  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (capsid; tripeptide amides that block viral infectivity and uses thereof)

IT gag proteins  
 RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (p24gag; tripeptide amides that block viral infectivity and uses thereof)

IT Anti-AIDS agents  
 Antiviral agents  
 Human  
 Human T-lymphotropic virus 1  
 Human immunodeficiency virus 1  
 Human immunodeficiency virus 2  
 Mason-Pfizer monkey virus  
 Mouse mammary tumor virus  
 Murine leukemia virus  
 Rous sarcoma virus  
 Simian immunodeficiency virus  
 (tripeptide amides that block viral infectivity and uses thereof)

IT Amides, biological studies  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tripeptides; tripeptide amides that block viral infectivity and uses thereof)

IT Infection  
 (viral; tripeptide amides that block viral infectivity and uses thereof)

IT 502620-75-9  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (peptide amides that block viral infectivity and uses thereof)

IT 325690-92-4 502620-57-7 502620-58-8 502620-59-9  
 502620-60-2 502620-61-3 502620-62-4 502620-63-5 502620-64-6  
 502620-65-7 502620-66-8 502620-67-9 502620-68-0 502620-69-1  
 502620-70-4 502620-71-5 502620-72-6 502620-73-7 502620-74-8  
 502620-76-0 502620-77-1 502620-78-2 502620-79-3 502620-80-6  
 502620-81-7 502620-82-8 502620-83-9 502620-84-0  
 RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (tripeptide amides that block viral infectivity and uses thereof)

IT 503080-69-1 503080-70-4 503080-71-5 503080-72-6 503080-73-7

503080-74-8 503080-75-9 503080-76-0

RL: PRP (Properties)

(unclaimed protein sequence; tripeptide amides that block viral infectivity and methods of use thereof)

IT 503080-77-1

RL: PRP (Properties)

(unclaimed sequence; tripeptide amides that block viral infectivity and methods of use thereof)

IT 502620-59-9

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);

PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES

(Uses)

(tripeptide amides that block viral infectivity and uses thereof)

L52 ANSWER 11 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:522525 HCAPLUS

DN 137:98942

ED Entered STN: 12 Jul 2002

TI Bone stimulating factor

IN Tam, Cherk Shing

PA Osteopharm Inc., Can.

SO U.S. Pat. Appl. Publ., 23 pp., Cont.-in-part of U.S. Ser. No. 48,058.

CODEN: USXXCO

DT Patent

LA English

IC ICM A61K038-00

ICS C12N015-63; C07K017-00; A61K038-27; A61K038-24; C07K016-00;

C07K014-00; C12N005-02; C07K001-00; C12N005-00; C12N015-74;

C12N015-70; C12N015-09; C12N015-00; C12P021-06; C07H021-04

INCL 435069100

CC 63-3 (Pharmaceuticals)

Section cross-reference(s): 2, 15

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002090671	A1	20020711	US 1999-229304	19990113 <--
	US 6693081	B2	20040217		
	WO 9712036	A2	19970403	WO 1996-CA653	19960926 <--
	WO 9712036	A3	19970605		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
	CA 2358908	AA	20000720	CA 2000-2358908	20000113 <--
	WO 2000042069	A1	20000720	WO 2000-CA31	20000113 <--
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2000030282	A5	20000801	AU 2000-30282	20000113 <--
	AU 779261	B2	20050113		
	EP 1161450	A1	20011212	EP 2000-900467	20000113 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2002538775	T2	20021119	JP 2000-593635	20000113 <--
	BR 2000007816	A	20021231	BR 2000-7816	20000113 <--
	NZ 512637	A	20030829	NZ 2000-512637	20000113 <--
	NO 2001003257	A	20010817	NO 2001-3257	20010629 <--

BG 105682	A	20030430	BG 2001-105682	20010709 <--
US 2004147450	A1	20040729	US 2003-718526	20031124 <--
PRAI WO 1996-CA653	A1	19960926	<--	
US 1998-48058	A2	19980326	<--	
US 1995-4314P	P	19950926	<--	
US 1999-229304	A	19990113	<--	
WO 2000-CA31	W	20000113	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES	
US 2002090671	ICM	A61K038-00	
	ICS	C12N015-63; C07K017-00; A61K038-27; A61K038-24; C07K016-00; C07K014-00; C12N005-02; C07K001-00; C12N005-00; C12N015-74; C12N015-70; C12N015-09; C12N015-00; C12P021-06; C07H021-04	
	INCL	435069100	
US 2002090671	NCL	514/016.000; 530/328.000; 530/345.000; 530/380.000; 530/402.000	<--
WO 9712036	ECLA	C07K014/51; C07K014/52	<--
WO 2000042069	ECLA	C07K014/51	<--
US 2004147450	NCL	514/014.000; 514/015.000; 514/016.000; 514/017.000; 530/327.000; 530/328.000; 530/329.000; 530/330.000	
	ECLA	C07K014/51; C07K014/52	<--
AB		Polypeptides which increase or promote mammalian bone growth, related nucleotide sequences, antibodies, diagnostic kits and treatments are disclosed. Subsequences of the polypeptide Asp Ser Asp Leu Tyr Ala Glu Leu Arg Cys Met Cys Ile Lys Thr Thr Ser Gly Ile His Pro Lys Asn Ile Gln Ser Leu Glu Val Ile Gly Lys Gly Thr His Cys Asn Gln Val Glu Val Ile Ala Thr Leu Lys Asp Gly Arg Lys Ile Cys Leu Asp Pro Asp Ala Pro Arg Ile Lys Lys Ile Val Gln Lys Lys Leu Ala Gly Asp Glu Ser Ala Asp have been shown to promote growth. Subsequences include Asp Ser Asp Leu Tyr Ala Glu Leu Arg Cys Met Cys Ile Lys Thr Thr Ser Gly Ile His Pro Lys Asn Ile Gln Ser; Ile Lys Thr Thr Ser Gly Ile His Pro Lys Asn Ile Glu Ser; Cys Met Cys Ile Lys Thr Thr Ser Gly Ile His Pro Lys Asn Ile Gln and TTSGIHPK.	
ST		protein bone growth stimulator sequence	
IT		Nucleic acid hybridization	
		(DNA-DNA; bone-stimulating factor peptide preps.)	
IT		Animal tissue culture	
		Bone formation	
		Genetic engineering	
		Genetic vectors	
		Mammalia	
		Molecular cloning	
		Osteoporosis	
		Protein sequences	
		Transformation, genetic	
		(bone-stimulating factor peptide preps.)	
IT		Fusion proteins (chimeric proteins)	
		RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)	
		(bone-stimulating; bone-stimulating factor peptide preps.)	
IT		Antibodies and Immunoglobulins	
		RL: ARG (Analytical reagent use); DGN (Diagnostic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)	
		(fusion protein-specific; bone-stimulating factor peptide preps.)	
IT		Diagnosis	
		(kits for; bone-stimulating factor peptide preps.)	
IT		441121-50-2, 7-81- $\beta$ -Thromboglobulin (human)	
		RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)	
		(amino acid sequence; bone-stimulating factor peptide preps.)	
IT		189064-62-8 189064-63-9 282096-82-6 441043-60-3	
		RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)	
		(bone-stimulating factor peptide preps.)	
IT		441410-42-0	

RL: PRP (Properties)  
(unclaimed nucleotide sequence; bone stimulating factor)

IT 441410-33-9 441410-34-0 441410-35-1 441410-36-2 441410-37-3  
441410-38-4 441410-39-5 441410-40-8 441410-41-9 441410-43-1  
RL: PRP (Properties)  
(unclaimed protein sequence; bone stimulating factor)

IT 144207-67-0 189064-68-4 441286-64-2  
RL: PRP (Properties)  
(unclaimed sequence; bone stimulating factor)

IT 282096-82-6  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL  
(Biological study)  
(bone-stimulating factor peptide preps.)

L52 ANSWER 12 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 2001:744640 HCAPLUS  
DN 135:283543  
ED Entered STN: 11 Oct 2001  
TI Lamprey LHRH-III and analogs as FSH-releasing peptides for use in  
enhancing or inhibiting fertility  
IN Mccann, Samuel M.; Yu, Wen H.  
PA Board of Supervisors of Louisiana State University and Agricultural and  
Mechanical College, USA  
SO U.S., 17 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
IC ICM C07K007-23  
INCL 530328000  
CC 2-5 (Mammalian Hormones)  
Section cross-reference(s): 1, 12  
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6300471	B1	20011009	US 1998-89522	19980603 <--
PRAI US 1997-92112P	P	19970604	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6300471	ICM	C07K007-23
	INCL	530328000
US 6300471	NCL	530/328.000; 530/399.000
	ECLA	C07K007/23; C07K014/575 <--

AB Lamprey LHRH-III is a potent FSH-releasing factor, and may be used to  
enhance fertility. Antagonists to lamprey LHRH-III may be used to inhibit  
fertility.

ST LHRH analogs FSH release infertility treatment fertility inhibition  
contraceptive

IT Fertility  
(disorder; lamprey LHRH-III and analogs as FSH-releasing peptides for  
use in enhancing or inhibiting fertility)

IT Contraceptives  
Fertility  
(lamprey LHRH-III and analogs as FSH-releasing peptides for use in  
enhancing or inhibiting fertility)

IT 33515-09-2, Luteinizing hormone-releasing factor (swine) 86073-88-3,  
Luteinizing hormone-releasing factor (Oncorhynchus keta) 91097-16-4,  
Luteinizing hormone-releasing factor II (chicken) 102634-23-1,  
Luteinizing hormone-releasing factor I (Petromyzon marinus) 147859-97-0,  
Luteinizing hormone-releasing factor III (Petromyzon marinus)  
147859-97-0D, Luteinizing hormone-releasing factor III (Petromyzon  
marinus), analogs 178414-87-4 217432-91-2 217432-92-3 217432-93-4  
217432-94-5 217432-95-6 217432-96-7 217432-97-8 217432-98-9  
217432-99-0 217433-00-6 217433-01-7 217433-02-8 217433-03-9  
217433-04-0 217433-05-1 217433-06-2 217433-07-3 217433-08-4  
217433-09-5 217433-10-8 217433-11-9 217433-12-0 217433-13-1



217433-14-2 217433-15-3 217433-16-4 217433-17-5 217433-18-6  
 217433-19-7 217433-20-0 217433-21-1 217433-22-2 217433-23-3  
 217433-24-4 217433-25-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(lamprey LHRH-III and analogs as FSH-releasing peptides for use in enhancing or inhibiting fertility)

IT 9002-68-0, FSH 9034-38-2, FSH-releasing hormone

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(lamprey LHRH-III and analogs as FSH-releasing peptides for use in enhancing or inhibiting fertility)

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

- (1) Dees, W; Alcohol 1985, V2, P641 MEDLINE
- (2) Dhariwal, A; Endocrinology 1965, V76, P290 HCAPLUS
- (3) Dhariwal, A; Neuroendocrinology 1967, V2, P294 HCAPLUS
- (4) Folkers; US 4721775 1988 HCAPLUS
- (5) Igarashi, M; Endocrinology 1964, V74, P446 MEDLINE
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- (7) Lumpkin, M; Brain Res Bull 1987, V18, P175 HCAPLUS
- (8) Lumpkin, M; Endocrinology 1984, V115, P2473 HCAPLUS
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- (10) McCann, S; Annals New York Academy of Sciences 1993, V687, P55 HCAPLUS
- (11) Mizunuma, H; Life Sci 1983, V33, P2003 HCAPLUS
- (12) Samson, W; Peptides 1980, V1, P97 HCAPLUS
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- (15) Sower, S; Endocrinology 1993, V132, P1125 HCAPLUS
- (16) Stopa, E; Peptides 1988, V9, P419 HCAPLUS
- (17) Vale; US 4973577 1990 HCAPLUS
- (18) Veber; US 3888836 1975 HCAPLUS
- (19) Yu, W; Brain Res Bull 1990, V25, P867 HCAPLUS
- (20) Yu, W; Proc Natl Acad Sci USA 1997, V94, P9499 HCAPLUS

IT 217433-25-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(lamprey LHRH-III and analogs as FSH-releasing peptides for use in enhancing or inhibiting fertility)

L52 ANSWER 13 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 2000:271945 HCAPLUS

DN 132:308660

ED Entered STN: 26 Apr 2000

TI Preparation of fluorescent peptides

IN Faure, Marie-Pierre; Vincent, Jean-Pierre; Gaudriault, Georges; Beaudet, Alain; Desjardins, Clarissa

PA Advanced Bioconcept, Inc., Can.

SO U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 504,856, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07K007-00

INCL 530350000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 9

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6054557	A	20000425	US 1996-682810	19960710 <--
	US 5693679	A	19971202	US 1995-416007	19950404 <--
	US 5824772	A	19981020	US 1995-475751	19950607 <--
	WO 9801472	A1	19980115	WO 1997-CA481	19970707 <--
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

EP 920453	A1	19990609	EP 1997-929062	19970707 <--
R: CH, DE, FR, GB, LI, SE, FI				
US 6815423	B1	20041109	US 1999-285387	19990402 <--
US 6821952	B1	20041123	US 1999-285422	19990402 <--
US 6680367	B1	20040120	US 1999-356139	19990719 <--
US 6677430	B1	20040113	US 2000-539593	20000331 <--
PRAI US 1995-416007	A2	19950404	<--	
US 1995-475751	A2	19950607	<--	
US 1995-504856	B2	19950720	<--	
US 1996-682810	A	19960710	<--	
WO 1997-CA481	W	19970707	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6054557	ICM	C07K007-00
	INCL	530350000
US 6054557	NCL	530/350.000; 435/007.100; 530/302.000; 530/324.000
	ECLA	C07K007/06B; C07K014/575G; C07K014/575L; G01N033/50D6; G01N033/569H; C07K007/14; C07K014/575 <--
US 5693679	NCL	530/311.000; 435/007.100
	ECLA	C07K007/14; C07K014/575; C07K014/575G; C07K014/575L <--
US 5824772	NCL	530/311.000; 435/007.100
	ECLA	C07K007/14; C07K014/575; C07K014/575G; C07K014/575L <--
WO 9801472	ECLA	C07K007/06B; C07K014/575 <--
US 6815423	NCL	514/015.000; 435/007.100; 530/327.000
	ECLA	C07K007/06B; C07K007/14; C07K014/575; C07K014/575G; C07K014/575L; G01N033/50D6; G01N033/569H <--
US 6821952	NCL	514/012.000; 435/007.100; 530/324.000
	ECLA	C07K007/06B; C07K007/14; C07K014/575; C07K014/575G; C07K014/575L; G01N033/50D6; G01N033/569H <--
US 6680367	NCL	530/350.000; 435/007.100; 530/324.000
	ECLA	C07K007/06B; C07K014/575L; G01N033/50D6; G01N033/569H; C07K007/14; C07K014/575; C07K014/575G <--
US 6677430	NCL	530/324.000; 435/007.100; 530/350.000
	ECLA	C07K007/06B; C07K007/14; C07K014/575; C07K014/575G; C07K014/575L; G01N033/50D6; G01N033/569H <--
OS	MARPAT 132:308660	
AB	Fluorescent peptides were prepared by attaching galanin or a galanin analog, derivative, or fragment to a light-emitting moiety through a CX bond (X = O, S, OH, CO, NH, H, alkoxy, NH, alkyl). Thus, galanin and endothelin were attached to fluorescein via the lysyl ε-amino group via reaction with fluorescein N-hydroxysuccinimide ester. The products retained their biol. activity and retained a high affinity for their resp. receptors.	
ST	fluorescent peptide prepn	
IT	Dyes	
	Fluorescent substances	
	(preparation of fluorescent peptides)	
IT	Opioids.	
	Peptides, preparation	
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)	
	(preparation of fluorescent peptides)	
IT	Phycocerythrins	
	RL: RCT (Reactant); RACT (Reactant or reagent)	
	(preparation of fluorescent peptides)	
IT	138039-55-1, Cascade Blue	
	RL: RCT (Reactant); RACT (Reactant or reagent)	
	(Cascade Blue; preparation of fluorescent peptides)	
IT	122752-15-2DP, Deltorphan I, fluorescent derivs. 142689-18-7DP, fluorescent derivs. 184250-68-8P 184250-69-9P 187613-11-2P	
	187613-15-6P 201998-65-4P 202075-15-8P 202075-16-9P 202075-17-0P	
	202075-18-1P 202189-24-0P 202189-25-1P 202189-26-2P 202189-27-3P	
	RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)	

(preparation of fluorescent peptides)

IT 81-88-9 91-64-5, Coumarin 2321-07-5, Fluorescein 10199-89-0  
25535-16-4, Propidium iodide 47165-04-8, Dapi 82354-19-6, Texas red  
82446-52-4, Lucifer yellow 114547-31-8, Rat galanin 117399-94-7, Human  
endothelin 117548-22-8 117557-83-2 138026-71-8, Bodipy  
143491-54-7, Ftc 146616-66-2 201998-61-0  
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of fluorescent peptides)

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD

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- (5) Anon; JP 63051400 1988 HCAPLUS
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- (10) Anon; EP 0606804 1994 HCAPLUS
- (11) Anon; EP 0608987 1994
- (12) Anon; WO 9522341 1995 HCAPLUS
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- (24) Keutel; US 4046633 1977 HCAPLUS

IT 142689-18-7DP, fluorescent derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fluorescent peptides)

L52 ANSWER 14 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:816983 HCAPLUS

DN 132:72858

ED Entered STN: 29 Dec 1999

TI Preparation of cobalt Schiff base compounds and their use in the inhibition of enzymes and zinc finger-containing proteins

IN Meade, Thomas J.; Takeuchi, Toshihiko; Gray, Harry B.; Simon, Melvin; Louie, Angelique Y.

PA California Institute of Technology, USA

SO U.S., 26 pp., Cont.-in-part of U.S. Ser. No. 358,068.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K031-295

ICS A61K031-70; A61K038-02; C12N009-99

INCL 514006000

CC 78-7 (Inorganic Chemicals and Reactions)

Section cross-reference(s): 1, 6, 7

FAN.CNT 3

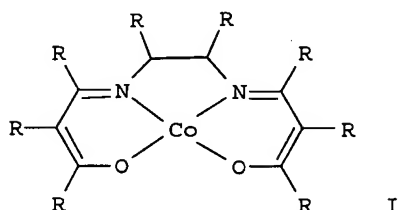
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6008190	A	19991228	US 1995-570761	19951212 <--
	CA 2207748	AA	19960620	CA 1995-2207748	19951214 <--
	CA 2240183	AA	19970619	CA 1996-2240183	19961212 <--
	WO 9721431	A1	19970619	WO 1996-US19900	19961212 <--

W: AU, CA, IL, JP

RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE  
 AU 9713336 A1 19970703 AU 1997-13336 19961212 <--  
 AU 720841 B2 20000615  
 EP 1021176 A1 20000726 EP 1996-944811 19961212 <--  
 R: AT, BE, CH, DE, DK, FR, GB, IT, LI, NL, SE  
 JP 2001503376 T2 20010313 JP 1997-522239 19961212 <--  
 PRAI US 1994-358068 A2 19941215 <--  
 US 1995-570761 A 19951212 <--  
 WO 1996-US19900 W 19961212 <--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 6008190	ICM	A61K031-295
	ICS	A61K031-70; A61K038-02; C12N009-99
	INCL	514006000
US 6008190	NCL	514/006.000; 424/DIG.006; 435/184.000; 514/044.000; 514/501.000; 530/345.000; 530/400.000; 536/023.100; 556/032.000; 556/138.000; 556/146.000
	ECLA	C07C251/12; C07C251/16; C07F015/06B; C07H021/00G <--
WO 9721431	ECLA	C07F015/06B; C07H021/00G <--
OS MARPAT 132:72858		
GI		



- AB The invention relates to the preparation of novel cobalt compds., having a general structure (I) wherein Co is either Co(II) or Co(III), and each of the R groups is selected from the group consisting of hydrogen, alkyl, hydrophilic organic acid, alkyl amine, amine, alkyl alc., alc., polypeptide or nucleic acid. The invention further relates to methods of using such compds. to reduce the biol. activity of proteins, particularly enzymes and zinc finger-containing proteins. Thus, [Co(III)(acacen)(NH<sub>3</sub>)<sub>2</sub>]Cl (H<sub>2</sub>acacen = Schiff base from the condensation of two acetylacetones with one ethylenediamine) and several related peptide coupled complexes were prepared and their inhibition of thrombin tested.
- ST cobalt Schiff base prepn enzyme inhibitor; zinc finger protein inhibitor  
cobalt Schiff base; peptide Schiff base cobalt prepn enzyme inhibitor
- IT Proteins, specific or class  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (DNA-binding, zinc finger-containing; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Transcription factors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (Spl; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Schiff bases  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (cobalt complexes; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Enzymes, preparation  
 Nucleic acids

- RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(conjugates, with cobalt Schiff base complexes; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Proteins, specific or class  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(nucleocapsid, retroviral, zinc-finger containing peptide of; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Enzymes, biological studies  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT Proteins, specific or class  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(with cobalt Schiff base complexes; preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT 9001-03-0, Carbonic anhydrase 9002-04-4, Thrombin 9073-78-3, Thermolysin  
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(inhibition by cobalt Schiff base complexes)
- IT 6310-76-5P 82427-19-8P 179555-40-9P 179555-48-7P 192700-54-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(intermediate in preparation of cobalt Schiff base complexes with protein inhibition activity)
- IT 179555-42-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and coupling with peptides to give enzyme inhibitors)
- IT 15907-18-3P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT 7440-48-4DP, Cobalt, Schiff base complexes, preparation 179555-45-4P 179555-46-5P 179555-47-6P 192700-63-3P 252990-52-6P 253120-17-1P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT 192700-58-6P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of cobalt Schiff base complexes and their inhibition of enzymes and zinc-finger containing proteins)
- IT 179555-43-2  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
(reactant for preparation of cobalt Schiff base complexes with protein inhibition activity)
- IT 107-15-3, 1,2-Ethanediamine, reactions 123-54-6, Acetylacetone, reactions 51568-18-4, 4,6-Dioxoheptanoic acid 57245-94-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reactant for preparation of cobalt Schiff base complexes with protein inhibition activity)

RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE

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IT 179555-43-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)  
(reactant for preparation of cobalt Schiff base complexes with protein inhibition activity)

L52. ANSWER 15 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:426832 HCAPLUS

DN 131:82975

ED Entered STN: 12 Jul 1999

TI  $\mu$ -Opioid receptor ligands: agonists and antagonists

IN Dooley, Colette T.; Houghten, Richard A.

PA Torrey Pines Institute for Molecular Studies, USA

SO U.S., 92 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07K005-00

INCL 530330000

CC 1-11 (Pharmacology)

Section cross-reference(s): 2

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 5919897	A	19990706	US 1995-488659	19950607 <--
PRAI	US 1995-488659		19950607	<--	

CLASS

Search done by Noble Jarrell

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES				
US 5919897	ICM	C07K005-00				
	INCL	530330000				
US 5919897	NCL	530/330.000; 260/998.200; 514/018.000; 514/019.000; 530/331.000; 530/345.000				
	ECLA	C07K014/665				
OS	MARPAT 131:82975					
AB	<p>Opioid peptides are provided. Disclosed are opioid peptides having the general structures Ac-Phe-Arg-Trp-Trp-Tyr-Xaa-NH<sub>2</sub>; Ac-Arg-Trp-Ile-Gly-Trp-Xaa-NH<sub>2</sub>; Trp-Trp-Pro-Lys-His-Xaa-NH<sub>2</sub>; and shorter versions of the latter, namely, Trp-Trp-Pro-Xaa-NH<sub>2</sub>; Tyr-Pro-Phe-Gly-Phe-Xaa-NH<sub>2</sub>; (D)Ile-(D)Met-(D)Ser-(D)Trp-(D)Trp-Gly-NH<sub>2</sub>; and (D)Ile-(D)Met-(D)Thr-(D)Trp-Gly-Xaa-NH<sub>2</sub>. Within each genus, Xaa is substituted by a specific amino acid. The invention also relates to an opioid peptide having the general structure Tyr-A<sub>1</sub>-B<sub>2</sub>-C<sub>3</sub>-NH<sub>2</sub>, wherein A is D-Nve or D-Nle, B is Gly, Phe, or Trp, and C is Trp or Nap. Also included within the invention are opioid peptides of the general structure MexHyN-Tyr-Tyr-Phe-NH<sub>2</sub>, which are peptides modified by permethylation, perallylation, perethylation, perbenzylation and/or pernapththylation and which can be further modified by reduction. Compds. of the invention are useful for the study of opiate ligand-receptor interactions and for therapeutic applications.</p>					
ST	mu opioid receptor agonist antagonist peptide					
IT	<p>Structure-activity relationship (opioid receptor-binding; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Opioid receptors RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (<math>\kappa</math>-opioid; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Opioid receptors RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (<math>\delta</math>-opioid; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Opioids RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (<math>\mu</math>-; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Peptides, biological studies RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (<math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Opioid antagonists RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (<math>\mu</math>-opioid; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>Opioid receptors RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process) (<math>\mu</math>-opioid; <math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	<p>9012-42-4, Adenyl cyclase RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study) (<math>\mu</math>-opioid receptor agonist and antagonist peptides)</p>					
IT	186655-59-4P	186655-60-7P	186655-61-8P	186655-62-9P	186655-63-0P	
	186655-66-3P	186655-68-5P	186655-70-9P	186655-72-1P	186655-74-3P	
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186656-12-2P 186656-13-3P 192126-43-5P 192126-44-6P 192126-45-7P  
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 229466-93-7P 229466-94-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

( $\mu$ -opioid receptor agonist and antagonist peptides)

IT 20240-32-8D, derivs. 58822-25-6, 1-5- $\beta$ -Neoendorphin (human)  
 117756-23-7D, derivs. 152274-67-4 164117-54-8 164117-55-9  
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 164117-61-7 164117-62-8 164117-63-9 164117-64-0 164117-65-1  
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 derivs. 229466-97-1D, derivs. 229466-98-2D, derivs. 229466-99-3D,  
 derivs.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 ( $\mu$ -opioid receptor agonist and antagonist peptides)

RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE

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(17) Schiller, P; Progress in Medicinal Chem 1991, V28, P301 HCAPLUS  
 IT 186654-69-3 186654-70-6  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) ( $\mu$ -opioid receptor agonist and antagonist peptides)

L52 ANSWER 16 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1999:384006 HCAPLUS  
 DN 131:13990  
 ED Entered STN: 22 Jun 1999  
 TI Methods and peptides for the treatment of non-IgE-mediated diseases  
 IN Hahn, Gary S.  
 PA Dura Pharmaceuticals, Inc., USA  
 SO U.S., 14 pp., Cont.-in-part of U.S. Ser. No. 942,671.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM A61K038-00  
 ICS A61K038-02; C07K005-00; C07K007-00  
 INCL 514017000  
 CC 1-7 (Pharmacology)  
 Section cross-reference(s): 62, 63  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5912233	A	19990615	US 1995-462304	19950605 <--
	US 5468730	A	19951121	US 1992-942671	19920908 <--
PRAI	US 1992-878867	A1	19920505	<--	
	US 1992-942671	A2	19920908	<--	
	US 1989-382623	A2	19891123	<--	
	US 1989-411489	B2	19891123	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5912233	ICM	A61K038-00
	ICS	A61K038-02; C07K005-00; C07K007-00
	INCL	514017000
US 5912233	NCL	514/017.000; 530/330.000
	ECLA	C07K005/08C1; C07K005/10A1A; C07K005/10A1F; C07K005/10C1; C07K005/10H; C07K016/00 <--
US 5468730	NCL	514/017.000; 530/330.000
	ECLA	C07K005/10A1A; C07K005/10A1F; C07K005/10C1; C07K005/10H; C07K016/00; C07K016/06A <--

OS MARPAT 131:13990  
 AB Methods and compns. for the treatment of non-IgE-mediated inflammatory response or disease conditions are described. The methods and compns. use peptides Asp-Ser-Asp-Pro-Arg and Asp-Ser-Asn-Pro-Arg and derivatized forms thereof.  
 ST inflammation inhibitor peptide  
 IT Immunoglobulins  
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (E; peptides for treatment of non-IgE-mediated diseases)  
 IT Intestine, disease (colitis; peptides for treatment of non-IgE-mediated diseases)  
 IT Allergy (delayed hypersensitivity; peptides for treatment of non-IgE-mediated diseases)  
 IT Intestine, disease (inflammatory; peptides for treatment of non-IgE-mediated diseases)  
 IT Disease, animal (irritation, non-IgE-mediated; peptides for treatment of non-IgE-mediated diseases)  
 IT Inflammation (non-IgE-mediated; peptides for treatment of non-IgE-mediated diseases)  
 IT Urticaria

(non-allergic; peptides for treatment of non-IgE-mediated diseases)

IT Anti-inflammatory agents  
Cosmetics  
Drug delivery systems  
(peptides for treatment of non-IgE-mediated diseases)

IT Peptides, biological studies  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptides for treatment of non-IgE-mediated diseases)

IT Toxoids  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(tetanus, delayed-type hypersensitivity induced by; peptides for treatment of non-IgE-mediated diseases)

IT Drug delivery systems  
(topical; peptides for treatment of non-IgE-mediated diseases)

IT 9000-07-1, Carrageenan  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(inflammation induced by; peptides for treatment of non-IgE-mediated diseases)

IT 62087-72-3 62087-72-3D, derivs. 62510-55-8D, derivs. 62510-55-8D, derivs. 226714-12-1 226714-20-1 226714-26-7 226714-34-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptides for treatment of non-IgE-mediated diseases)

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Hahn; US 5468730 1995 HCAPLUS

IT 226714-12-1 226714-20-1  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptides for treatment of non-IgE-mediated diseases)

LS2 ANSWER 17 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:604687 HCAPLUS

DN 129:241779

ED Entered STN: 24 Sep 1998

TI Human procollagen C proteinase and peptide substrates for its determination

IN Brenner, Mitch

PA Fibrogen Inc., USA

SO U.S., 19 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K038-04

ICS A61K038-00; C07K001-00

INCL 530327000

CC 7-2 (Enzymes)

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5807981	A	19980915	US 1995-572225	19951213 <--
PRAI US 1995-572225		19951213	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5807981	ICM	A61K038-04
	ICS	A61K038-00; C07K001-00
	INCL	530327000

US 5807981 NCL 530/327.000; 530/328.000; 530/345.000; 530/409.000;  
530/410.000  
ECLA C07K014/78 <--

AB Human procollagen C proteinase is characterized and substrate peptides developed. These substrates can be used to identify modulators of enzyme function. Similarly, peptide analogs of substrates that can be used to inhibit the enzyme are also described. These peptides may be used in the treatment of disorders associated with unregulated production of collagen.

ST peptide substrate procollagen C proteinase human

IT Drug screening  
(for modulators of procollagen C proteinase; human procollagen C proteinase and peptide substrates for its determination)

IT Protein sequences  
(of procollagen C proteinase of human; human procollagen C proteinase and peptide substrates for its determination)

IT Collagens, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(procollagens, type I, procollagen C proteinase assay substrates derived from; human procollagen C proteinase and peptide substrates for its determination)

IT Collagens, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
(procollagens, type III, procollagen C proteinase assay substrates derived from; human procollagen C proteinase and peptide substrates for its determination)

IT Peptides, properties  
RL: ARU (Analytical role, unclassified); PRP (Properties); ANST (Analytical study)  
(substrates for procollagen C proteinase; human procollagen C proteinase and peptide substrates for its determination)

IT Collagens, biological studies  
RL: BSU (Biological study, unclassified); BIOL (Biological study)  
( $\alpha 1$  and  $\alpha 2$  subunits, procollagen C proteinase assay substrates derived from; human procollagen C proteinase and peptide substrates for its determination)

IT 213184-60-2  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(amino acid sequence; human procollagen C proteinase and peptide substrates for its determination)

IT 212955-49-2 212955-54-9 212955-61-8 212955-65-2  
212955-71-0 212955-74-3 212955-83-4 212955-88-9 212955-94-7  
212955-97-0 212956-00-8 212956-04-2 212956-08-6 212956-13-3  
RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)  
(as substrate for procollagen C proteinase; human procollagen C proteinase and peptide substrates for its determination)

IT 68651-95-6, Procollagen C proteinase  
RL: BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(human procollagen C proteinase and peptide substrates for its determination)

IT 153216-21-8 212956-20-2  
RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)  
(peptides containing, as substrate for procollagen C proteinase; human procollagen C proteinase and peptide substrates for its determination)

RE.CNT 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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IT 212955-65-2

RL: ARG (Analytical reagent use); PRP (Properties); ANST (Analytical study); USES (Uses)

(as substrate for procollagen C proteinase; human procollagen C proteinase and peptide substrates for its determination)

L52 ANSWER 18 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:457248 HCAPLUS

DN 129:104211

ED Entered STN: 23 Jul 1998

TI Platelet factor 4-related anti-inflammatory peptides

IN Counts, David F.; Duff, Ronald G.

PA Curative Health Services, Inc., USA

SO U.S., 55 pp., Cont.-in-part of U. S. Ser. No. 80,371, abandoned.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K038-07

ICS A61K038-08; A61K038-12; C07K007-06

INCL 514011000

CC 1-7 (Pharmacology)

Section cross-reference(s): 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776892	A	19980707	US 1994-259550	19940616 <--
	US 5470831	A	19951128	US 1993-37486	19930324 <--
PRAI	US 1990-631823	B1	19901221	<--	
	US 1993-37486	A2	19930324	<--	
	US 1993-80371	B2	19930618	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5776892	ICM	A61K038-07
	ICS	A61K038-08; A61K038-12; C07K007-06
	INCL	514011000
US 5776892	NCL	514/011.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/317.000; 530/327.000; 530/328.000; 530/329.000; 530/330.000; 530/345.000
	ECLA	C07K005/10A1B; C07K014/52; C07K014/52A1 <--
US 5470831	NCL	514/016.000; 514/015.000; 514/017.000; 514/018.000; 530/328.000; 530/329.000; 530/330.000
	ECLA	C07K005/10A1B; C07K014/52 <--

OS MARPAT 129:104211

AB Peptides, peptide analogs and peptide derivs. related to platelet factor 4 are disclosed which exhibit anti-inflammatory activity, as are pharmaceutical compns. comprising the peptides and methods of inhibiting inflammation using the peptides.

ST platelet factor 4 peptide antiinflammatory

IT Neutrophil

(chemotaxis; platelet factor 4-related anti-inflammatory peptides)

IT Allergy

(delayed hypersensitivity; platelet factor 4-related anti-inflammatory peptides)

IT Structure-activity relationship

(inflammation-inhibiting; platelet factor 4-related anti-inflammatory peptides)

IT Lung, disease

(inflammation; platelet factor 4-related anti-inflammatory peptides)

IT Drug delivery systems

(injections, s.c.; platelet factor 4-related anti-inflammatory peptides)

IT Connective tissue

(mixed connective tissue disease; platelet factor 4-related anti-inflammatory peptides)

IT Chemotaxis

(neutrophil; platelet factor 4-related anti-inflammatory peptides)

IT Drug delivery systems

(oral; platelet factor 4-related anti-inflammatory peptides)

IT Peritoneum

(peritonitis; platelet factor 4-related anti-inflammatory peptides)

IT Anti-inflammatory agents

Antirheumatic agents

Autoimmune disease

Drug delivery systems

Lymphocyte

Macrophage

Neutrophil

Protein sequences

(platelet factor 4-related anti-inflammatory peptides)

IT Peptides, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(platelet factor 4-related anti-inflammatory peptides)

IT Connective tissue  
(scleroderma; platelet factor 4-related anti-inflammatory peptides)

IT Drug delivery systems  
(solns., i.p.; platelet factor 4-related anti-inflammatory peptides)

IT Lupus erythematosus  
(systemic; platelet factor 4-related anti-inflammatory peptides)

IT Intestine, disease  
(ulcerative colitis; platelet factor 4-related anti-inflammatory peptides)

IT 63940-02-3, Blood platelet factor 4 (human reduced)  
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)  
(amino acid sequence; platelet factor 4-related anti-inflammatory peptides)

IT 9003-99-0, Myeloperoxidase  
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(platelet factor 4-related anti-inflammatory peptides)

IT 144207-60-3 144207-61-4 144207-62-5 144207-63-6 144207-64-7  
144207-65-8 144207-66-9 144207-67-0 162040-22-4 162040-22-4D,  
derivs. and analogs 162040-23-5 162040-23-5D, derivs. and analogs  
162040-24-6 162040-24-6D, derivs. and analogs 162051-59-4  
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and analogs 162051-83-4 162051-83-4D, derivs. and analogs  
162051-85-6 162051-85-6D, derivs. and analogs 162051-89-0  
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and analogs 162051-91-4 162051-91-4D, derivs. and analogs  
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and analogs 162051-95-8 162051-95-8D, derivs. and analogs  
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and analogs 162052-02-0 162052-02-0D, derivs. and analogs  
162052-03-1 162052-03-1D, derivs. and analogs 162052-04-2  
162052-04-2D, derivs. and analogs 162071-40-1 162071-40-1D, derivs.  
and analogs 162071-41-2 162071-42-3 162071-80-9  
210092-69-6 210092-69-6D, derivs. and analogs 210092-70-9  
210092-70-9D, derivs. and analogs 210092-71-0 210092-71-0D, derivs.  
and analogs 210092-72-1 210092-72-1D, derivs. and analogs  
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210092-77-6 210092-77-6D, derivs. and analogs 210092-78-7  
210092-78-7D, derivs. and analogs 210092-79-8 210092-79-8D, derivs.  
and analogs 210092-80-1 210092-80-1D, derivs. and analogs  
210092-81-2 210092-82-3 210092-83-4 210092-84-5 210092-86-7  
210092-87-8 210092-88-9 210092-89-0 210092-90-3 210092-91-4  
210092-92-5 210092-93-6 210092-94-7 210092-95-8 210092-96-9  
210092-97-0 210092-98-1 210092-99-2 210093-00-8 210093-01-9  
210093-02-0 210093-03-1 210093-04-2 210093-05-3 210093-06-4  
210093-07-5 210093-08-6 210093-09-7 210093-10-0 210093-11-1  
210093-12-2 210093-13-3 210093-14-4 210093-15-5 210093-16-6  
210093-17-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(platelet factor 4-related anti-inflammatory peptides)

IT 363-24-6, PGE2 71160-24-2, LTB4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
(Biological study); PROC (Process)

(platelet factor 4-related anti-inflammatory peptides)

RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD

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IT 162071-42-3 162071-80-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(platelet factor 4-related anti-inflammatory peptides)

L52 ANSWER 19 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1998:430094 HCAPLUS

DN 129:90879

ED Entered STN: 13 Jul 1998

TI Peptide ligands for the erythropoietin receptor that act as erythropoietin

agonists  
 IN Wrighton, Nicholas C.; Dower, William J.; Chang, Ray S.; Kashyap, Arun K.;  
 Jolliffe, Linda K.; Johnson, Dana; Mulcahy, Linda  
 PA Affymax Technologies N.V., UK  
 SO U.S., 103 pp., Cont.-in-part of U. S. Ser. No. 155,940, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC ICM C07K007-00  
 ICS C12N015-09  
 INCL 530300000  
 CC 2-10 (Mammalian Hormones)  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5773569	A	19980630	US 1995-484635	19950607 <--
	CA 2223833	AA	19961219	CA 1996-2223833	19960607 <--
	WO 9640749	A1	19961219	WO 1996-US9810	19960607 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA				
	AU 9661667	A1	19961230	AU 1996-61667	19960607 <--
	AU 712713	B2	19991111		
	CN 1192748	A	19980909	CN 1996-196094	19960607 <--
	EP 886648	A1	19981230	EP 1996-919296	19960607 <--
	EP 886648	B1	20031112		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 11507367	T2	19990629	JP 1996-502023	19960607 <--
	BR 9609006	A	19991214	BR 1996-9006	19960607 <--
	TR 9701557	T2	20020621	TR 1997-9701557	19960607 <--
	PL 185040	B1	20030228	PL 1996-323858	19960607 <--
	AT 254138	E	20031115	AT 1996-919296	19960607 <--
	ES 2210374	T3	20040701	ES 1996-919296	19960607 <--
	EP 1510524	A2	20050302	EP 2003-25811	19960607 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 5986047	A	19991116	US 1997-827570	19970328 <--
	NO 9705729	A	19980205	NO 1997-5729	19971205 <--
PRAI	US 1993-155940	B2	19931119	<--	
	US 1995-484631	A	19950607	<--	
	US 1995-484635	A	19950607	<--	
	EP 1996-919296	A3	19960607	<--	
	WO 1996-US9810	W	19960607	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5773569	ICM	C07K007-00
	ICS	C12N015-09
	INCL	530300000
US 5773569	NCL	530/300.000; 435/069.100; 530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000
	ECLA	C07K014/505 <--
WO 9640749	ECLA	C07K014/505 <--
US 5986047	NCL	530/300.000; 530/323.000; 530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000
	ECLA	C07K014/505 <--

OS MARPAT 129:90879

AB Peptides of 10 to 40 or more amino acids that bind and activate the erythropoietin receptor (EPO-R) or otherwise act as an EPO agonist for therapeutic uses are described. Peptides were identified by screening of libraries prepared using degenerate oligonucleotides to construct a phage display library that was screened by panning with the receptor. Candidate



peptides were synthesized as C-terminal amide derivs by standard Fmoc on PAL resins and tested for biol. activity. Many peptides showed greater affinity for the receptor than did erythropoietin.

ST erythropoietin receptor ligand peptide

IT Peptides, biological studies

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(as erythropoietin agonists; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT Structure-activity relationship

(erythropoietin-binding; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT Drug screening

(for erythropoietin analogs; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT Erythropoietin receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT 11096-26-7, Erythropoietin

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(agonists of; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT	209593-78-2	209593-79-3	209593-81-7	209593-83-9	209593-85-1
	209593-87-3	209593-89-5	209593-91-9	209593-93-1	209593-94-2
	209593-95-3	209593-96-4	209593-97-5	209593-98-6	209594-00-3
	209594-01-4	209594-02-5	209594-03-6	209594-04-7	209594-05-8
	209594-06-9	209594-07-0	209594-08-1	209594-09-2	209594-10-5
	209594-11-6	209594-12-7	209594-13-8	209594-14-9	209594-15-0
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	209594-21-8	209594-22-9	209594-23-0	209594-24-1	209594-25-2
	209594-26-3	209594-27-4	209594-28-5	209594-29-6	209594-30-9
	209594-31-0	209594-32-1	209594-33-2	209594-34-3	209594-35-4
	209594-36-5	209594-37-6	209594-38-7	209594-39-8	209594-40-1
	209594-41-2	209594-42-3	209594-43-4	209594-44-5	209594-45-6
	209594-46-7	209594-47-8	209594-48-9	209594-49-0	209594-50-3
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	209595-69-7	209595-70-0	209595-71-1	209595-72-2	209595-73-3
	209595-74-4	209595-75-5	209595-76-6	209595-77-7	209595-78-8
	209595-79-9	209595-80-2	209595-81-3	209595-82-4	209595-83-5
	209595-84-6	209595-85-7	209595-86-8	209595-87-9	209595-88-0
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	209595-94-8	209595-95-9	209595-96-0	209595-98-2	209595-99-3

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209596-05-4 209596-06-5 209596-07-6 209596-08-7 209596-09-8  
209596-11-2 209596-13-4 209596-15-6 209596-17-8 209596-19-0  
209596-21-4 209596-22-5 209596-23-6 209596-24-7 209596-25-8  
209596-26-9 209596-27-0 209596-28-1

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(amino acid sequence; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

IT 209596-50-9 209597-09-1 209728-59-6 209728-60-9 209728-68-7  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(amino acid sequence; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

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- (2) Anon; EP 0427189 1991 HCAPLUS
- (3) Anon; EP 0428267 1991 HCAPLUS
- (4) Anon; CA 2021528 1991 HCAPLUS
- (5) Anon; WO 9105867 1991 HCAPLUS
- (6) Anon; WO 9325221 1993 HCAPLUS
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IT 209595-10-8 209595-18-6 209595-31-3  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)  
(amino acid sequence; peptide ligands for erythropoietin receptor that act as erythropoietin agonists)

L52 ANSWER 20 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:761604 HCAPLUS

DN 128:30398

ED Entered STN: 06 Dec 1997

TI Agonist peptides of thrombin receptor and stimulation of platelet aggregation

IN Coughlin, Shaun R.; Scarborough, Robert M.

PA COR Therapeutics, Inc., USA

SO U.S., 89 pp., Cont.-in-part of U.S. 5,256,766.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K036-00

ICS C07K007-06; C07K007-08; C07K007-10

INCL 514015000

CC 1-8 (Pharmacology)

Section cross-reference(s): 3, 6, 13

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5688768	A	19971118	US 1991-789184	19911107 <--
	US 5256766	A	19931026	US 1991-657769	19910219 <--
	CA 2104394	AA	19920820	CA 1992-2104394	19920219 <--
	WO 9214750	A1	19920903	WO 1992-US1312	19920219 <--
	W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
	AU 9214568	A1	19920915	AU 1992-14568	19920219 <--
	AU 665752	B2	19960118		
	EP 572553	A1	19931208	EP 1992-907700	19920219 <--
	EP 572553	B1	20030813		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
	JP 06508742	T2	19941006	JP 1992-507331	19920219 <--
	JP 3556215	B2	20040818		
	JP 2003159088	A2	20030603	JP 2002-259364	19920219 <--
	AT 247165	E	20030815	AT 1992-907700	19920219 <--
	EP 1378524	A2	20040107	EP 2003-16689	19920219 <--
	EP 1378524	A3	20040609		
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	US 6197541	B1	20010306	US 1993-18760	19930217 <--
	US 5759994	A	19980602	US 1995-475263	19950607 <--
	US 5798248	A	19980825	US 1995-485886	19950607 <--
	US 5849507	A	19981215	US 1995-477362	19950607 <--
	US 5856448	A	19990105	US 1995-477134	19950607 <--
	US 6024936	A	20000215	US 1995-473489	19950607 <--
PRAI	US 1991-657769	A2	19910219	<--	
	US 1991-789184	A	19911107	<--	
	EP 1992-907700	A3	19920219	<--	
	JP 1992-507331	A3	19920219	<--	
	WO 1992-US1312	A	19920219	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5688768	ICM	A61K036-00
	ICS	C07K007-06; C07K007-08; C07K007-10
	INCL	514015000
US 5688768	NCL	514/015.000; 514/014.000; 514/016.000; 514/017.000; 514/018.000; 530/327.000; 530/328.000; 530/329.000; 530/330.000; 530/331.000
US 5256766	ECLA	C07K014/705; C07K016/28; C12N009/74
EP 1378524	NCL	530/327.000; 530/328.000; 530/329.000; 530/330.000
US 6197541	ECLA	C07K016/28
	NCL	435/069.100; 435/006.000; 435/007.100; 435/007.210; 435/024.000; 435/252.300; 435/254.200; 435/320.100; 435/325.000; 435/348.000; 435/353.000; 435/354.000; 435/358.000; 435/364.000; 536/023.500
US 5759994	ECLA	C07K014/705; C07K016/28; C12N009/74
	NCL	514/009.000; 514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 530/317.000; 530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000; 530/330.000
US 5798248	ECLA	C07K016/28
	NCL	435/214.000; 435/252.300; 435/320.100; 536/023.200
US 5849507	ECLA	C07K014/705; C07K016/28; C12N009/74
	NCL	435/007.210; 435/007.100; 435/007.900; 435/013.000; 530/387.100; 530/387.900; 530/388.200; 530/388.250; 530/389.300; 530/391.100; 530/391.300
US 5856448	ECLA	C07K014/705; C07K016/28; C12N009/74
	NCL	530/388.220; 424/139.100; 424/143.100; 530/381.000; 530/387.900; 530/388.250; 530/389.100; 530/389.300;

Search done by Noble Jarrell

530/837.000  
 US 6024936 ECLA C07K014/705; C07K016/28; C12N009/74 <--  
 NCL 424/001.490; 424/009.100; 424/130.100; 424/137.100;  
 424/139.100; 424/152.100; 424/172.100; 424/178.100;  
 435/007.210; 530/387.900; 530/388.200; 530/388.220;  
 530/389.100; 530/391.300  
 ECLA C07K014/705; C07K016/28; C12N009/74 <--  
 OS MARPAT 128:30398  
 AB Peptide agonists of the thrombin receptor which are useful for platelet aggregation are claimed. CDNA encoding the human cell surface receptor for thrombin was cloned and sequenced. Peptides based on the N-terminus of the activated human thrombin receptor were prepared and tested for agonist activity in platelet aggregation assays. Peptides with EC50's as low as 1.1  $\mu$ M were produced. Addnl., antagonist peptides, thrombin mutant antagonists, and anti-receptor antibody antagonists were prepared and tested.  
 ST thrombin receptor agonist peptide platelet aggregation  
 IT Platelet (blood)  
 (aggregation; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Peptides, biological studies  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Thrombin receptors  
 RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)  
 (agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Thrombin receptors  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (agonists; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Structure-activity relationship  
 (blood platelet aggregation-affecting; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT cDNA sequences  
 (for human thrombin receptor)  
 IT Antibodies  
 RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (monoclonal, receptor antagonists; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Protein sequences  
 (of human thrombin receptor)  
 IT Cell aggregation  
 (platelet; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT Antibodies  
 RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (receptor antagonists; agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT 137339-65-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (agonist peptides of thrombin receptor and stimulation of platelet aggregation)  
 IT 140436-67-5P 141685-52-1P 141923-39-9P 141923-40-2P 141923-41-3P  
 141923-42-4P 143188-71-0P 143188-72-1P 143188-74-3P 143188-75-4P  
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145229-80-7P	145229-81-8P	145229-82-9P	145229-84-1P	145229-85-2P
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179004-06-9P	199658-70-3P	199658-71-4P	199658-72-5P	199658-75-8P
199658-76-9P	199658-77-0P	199658-78-1P	199658-80-5P	199658-81-6P
199658-82-7P				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agonist peptides of thrombin receptor and stimulation of platelet aggregation)

IT 9002-04-4DP, Thrombin, enzymically inactive mutants

RL: BPN (Biosynthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agonist peptides of thrombin receptor and stimulation of platelet aggregation)

IT 136252-38-5

RL: PRP (Properties)

(amino acid sequence; agonist peptides of thrombin receptor and stimulation of platelet aggregation)

IT	145230-80-4P	145230-81-5P	145230-82-6P	145230-83-7P	145230-84-8P
	145230-85-9P	145230-86-0P	145230-87-1P	145230-88-2P	145230-89-3P
	145230-90-6P	145230-91-7P	145230-92-8P	145230-93-9P	145230-94-0P
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	145231-00-1P	145231-01-2P	145231-02-3P	145231-04-5P	145231-05-6P
	145231-06-7P	145248-12-0P	145248-13-1P	199658-83-8P	199658-84-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(antagonist; agonist peptides of thrombin receptor and stimulation of platelet aggregation)

IT 136252-72-7, DNA (human thrombin receptor cDNA plus flanks)

RL: PRP (Properties)

(nucleotide sequence; agonist peptides of thrombin receptor and stimulation of platelet aggregation)

IT 145230-68-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(agonist peptides of thrombin receptor and stimulation of platelet aggregation)

L52 ANSWER 21 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:475133 HCAPLUS

DN 127:162123

ED Entered STN: 30 Jul 1997

TI Peptides having bradykinin antagonist action

IN Henke, Stephan; Anagnostopulos, Hristo; Breipohl, Gerhard; Knolle, Jochen; Stechl, Jens; Scholkens, Bernward; et al.

PA Hoechst A.-G., Germany

SO U.S., 26 pp., Cont. of U.S. Ser. No. 236,018.

CODEN: USXXAM

DT Patent

LA English  
 IC ICM C07K007-18  
 ICS A61K038-17  
 INCL 514002000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1

FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5648333	A	19970715	US 1995-487442	19950607 <--
	DD 284030	A5	19901031	DD 1989-331416	19890802 <--
	ZA 8906068	A	19910130	ZA 1989-6068	19890809 <--
	DE 3926822	A1	19910221	DE 1989-3926822	19890814 <--
	DE 4013270	A1	19911031	DE 1990-4013270	19900426 <--
	RU 2083586	C1	19970710	RU 1992-5052703	19921012 <--
	LT 3375	B	19950825	LT 1993-717	19930625 <--
PRAI	DE 1988-3839581	A	19881124	<--	
	DE 1989-3916291	A	19890519	<--	
	DE 1989-3926225	A	19890603	<--	
	US 1989-374162	B2	19890630	<--	
	DE 1989-3926822	A	19890814	<--	
	DE 1990-4013270	A	19900426	<--	
	US 1990-565270	B2	19900810	<--	
	US 1991-690297	B1	19910424	<--	
	US 1991-746149	B1	19910814	<--	
	US 1992-837090	B2	19920218	<--	
	US 1992-841766	B1	19920302	<--	
	US 1992-969523	B2	19921030	<--	
	US 1992-982052	B2	19921125	<--	
	US 1993-12849	B1	19930203	<--	
	US 1994-236018	A1	19940502	<--	

# CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5648333	ICM	C07K007-18
	ICS	A61K038-17
	INCL	514002000
US 5648333	NCL	514/002.000; 514/010.000; 514/015.000; 514/803.000; 530/314.000; 530/328.000
	ECLA	C07K007/18 <--

OS MARPAT 127:162123

AB Peptides A-B-C-E-F-K-P-G-M-F [A = H, alkyl, alkanoyl, cycloalkyl, aryl, etc.; B = basic amino acid which may be substituted in side chain; C = G'-G'-Gly or G'-NH(CH<sub>2</sub>)nCO, where G' = heterocyclylcarbonyl and n = 2-8; E = aromatic amino acid radical; F, M = bond or amino acid which may be substituted in side chain; K = bond or NH(CH<sub>2</sub>)xCO, where x = 1-4; P = D-Tic (Tic = 1,2,3,4-tetrahydroisoquinolin-3-ylcarbonyl); G = bond or G'] were prepared as bradykinin antagonists. Thus, H-D-Arg-Arg-Hyp-Pro-Gly-Phe-Ser-D-Tic-Phe-Arg-OH was prepared by the solid phase method and assayed for bradykinin antagonist activity (IC<sub>50</sub> = 4.6 x 10<sup>-6</sup> M).

ST peptide prepn bradykinin antagonist

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having bradykinin antagonist action)

IT	130308-03-1P	130308-05-3P	130308-06-4P	130308-08-6P	130308-10-0P
	130308-11-1P	130308-15-5P	130308-21-3P	130308-25-7P	130308-28-0P
	130308-30-4P	130308-33-7P	130308-37-1P	130308-38-2P	130308-39-3P
	130308-44-0P	130308-46-2P	130308-47-3P	130308-48-4P	130308-49-5P
	130308-54-2P	130308-58-6P	130308-59-7P	130308-60-0P	130308-61-1P
	130308-62-2P	130308-63-3P	130308-64-4P	130309-27-2P	
	130309-30-7P	130334-55-3P	130404-60-3P	130404-96-5P	
	133162-75-1P	138680-92-9P	140695-51-8P	153986-61-9P	179486-09-0P
	193618-41-6P	193618-42-7P	193618-50-7P	193618-51-8P	193618-53-0P
	193618-54-1P	193618-55-2P	193618-56-3P	193618-57-4P	193618-58-5P

193618-59-6P 193618-60-9P 193618-61-0P 193618-62-1P 193618-63-2P  
 193618-64-3P 193618-65-4P 193618-66-5P 193618-67-6P 193618-68-7P  
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 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having bradykinin antagonist action)

IT 58-82-2, Bradykinin  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (peptides having bradykinin antagonist action)

IT 130308-04-2P 130308-12-2P 130308-13-3P 130308-14-4P 130308-16-6P  
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 130334-67-7P 130334-68-8P 130334-69-9P 130334-70-2P 130334-71-3P  
 130334-72-4P 130334-73-5P 130389-49-0P 130389-50-3P 130404-61-4P  
 130425-34-2P 134439-23-9P 144006-47-3P 147820-70-0P 147836-85-9P  
 193618-43-8P 193618-44-9P 193618-45-0P 193618-46-1P 193618-48-3P  
 193618-70-1P 193618-71-2P 193618-72-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having bradykinin antagonist action)

IT 130309-30-7P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having bradykinin antagonist action)

IT 130309-31-8P 130309-32-9P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (peptides having bradykinin antagonist action)

L52 ANSWER 22 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:425990 HCAPLUS

DN 127:91019

ED Entered STN: 10 Jul 1997

TI  $\mu$ -Opioid receptor ligands: agonists and antagonists

IN Dooley, Colette T.; Houghten, Richard A.

PA Torrey Pines Institute for Molecular Studies, USA

SO U.S., 92 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K038-08

ICS A61K038-04

INCL 530329000

CC 2-5 (Mammalian Hormones)

## Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5641861	A	19970624	US 1995-487006	19950607 <--
PRAI US 1995-487006		19950607 <--		

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5641861	ICM	A61K038-08
	ICS	A61K038-04
	INCL	530329000
US 5641861	NCL	530/329.000
	ECLA	C07K005/08A2; C07K005/10A2; C07K005/10H; C07K007/06A; C07K014/665

OS MARPAT 127:91019

AB The present invention provides novel opioid peptides. Disclosed are opioid peptides having the general structures Ac-Phe-Arg-Trp-Trp-Tyr-Xaa--NH<sub>2</sub>; Ac-Arg-Trp-Ile-Gly-Trp-Xaa--NH<sub>2</sub>; Trp-Trp-Pro-Lys-His-Xaa--NH<sub>2</sub>; and shorter versions of the latter, namely, Trp-Trp-Pro-Xaa--NH<sub>2</sub>; Tyr-Pro-Phe-Gly-Phe-Xaa--NH<sub>2</sub>; (D)Ile-(D)Met-(D)Ser-(D)Trp-(D)Trp-Gly-Xaa--NH<sub>2</sub>; and (D)Ile-(D)Met-(D)Trp-(D)Trp-Gly-Xaa--NH<sub>2</sub>. Within each genus, Xaa is substituted by a specific amino acid. The invention also relates to an opioid peptide having the general structure Tyr-A1-B2-C3--NH<sub>2</sub>, wherein A is D-Nve or D-Nle, B is Gly, Phe, or Trp, and C is Trp or Nap. Also included within the invention are opioid peptides of the general structure Pm and red {MexHyN-Tyr-(NMe)z-Tyr-Xaaz--NH<sub>2</sub>}, wherein Xaa is substituted by a specific amino acid and x and y are independently 0, 1, or 2 and z is 0 or 1.

ST mu opioid agonist antagonist; peptide opioid

IT Opioid antagonists

Opioids

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(μ-; novel μ-opioid peptide agonists and antagonists)

IT 186656-06-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(nonovel μ-opioid peptide agonists and antagonists)

IT 58822-25-6, 1-5-β-Neoendorphin (human)	164117-54-8	164117-55-9
164117-56-0	164117-57-1	164117-58-2
164117-61-7	164117-62-8	164117-63-9
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192126-50-4				

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(novel  $\mu$ -opioid peptide agonists and antagonists)

IT 186654-69-3 186654-70-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(novel  $\mu$ -opioid peptide agonists and antagonists)

L52 ANSWER 23 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:702041 HCAPLUS

DN 126:37059

ED Entered STN: 27 Nov 1996

TI Compositions and methods for the treatment of male-pattern baldness

IN Tien, Henry C.

PA USA

SO U.S., 23 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM A61K037-24

INCL 514014000

CC 63-6 (Pharmaceuticals)

Section cross-reference(s): 2, 62

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5574011	A	19961112	US 1995-416190	19950404 <--
	WO 9802133	A1	19980122	WO 1996-US11709	19960715 <--
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	RW:			KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG	
	AU 9664933	A1	19980209	AU 1996-64933	19960715 <--
PRAI	US 1995-416190		19950404	<--	
	WO 1996-US11709	W	19960715	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 5574011	ICM	A61K037-24
	INCL	514014000
US 5574011	NCL	514/014.000; 514/015.000
	ECLA	A61K009/00L4; A61K038/09 <--
WO 9802133	ECLA	A61K009/00L4; A61K038/09 <--

AB The present invention provides methods and compns. of LH-RH analogs for the treatment of male-pattern baldness. Male-pattern baldness is treated by the administration of compns. containing LH-RH analogs capable of

suppressing testosterone formation. The LH-RH analogs include LH-RH agonists, e.g. nafarelin and leuprolide, and antagonists, e.g. ganirelix, ramorelix, anitide, and cetrorelix. The compns. may be administered by any of a variety of routes, including parenteral, topical, transdermal, or trans-mucosal routes. For example, a tablet contained anitide 5, corn starch 90, cellulose 1, colloidal silica 1, Na citrate 1, Na starch glycolate 1, and stearic acid 1 %.

- ST LHRH analog male pattern baldness  
 IT Drug delivery systems  
     (capsules; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (implants; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (inhalants; LH-RH analogs for treatment of male-pattern baldness)  
 IT Alopecia  
     (male pattern; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     Drug delivery systems  
         (nasal sprays; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (solns., topical; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (suppositories; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (tablets; LH-RH analogs for treatment of male-pattern baldness)  
 IT Drug delivery systems  
     (transdermal; LH-RH analogs for treatment of male-pattern baldness)  
 IT 9034-40-6D, LH-RH, analogs 33515-09-2, Gonadorelin 53422-04-1  
     53714-56-0 57292-41-8 57521-78-5 57773-63-4 57773-65-6  
     57982-77-1 60143-35-3 61012-18-8 65807-02-5 68630-75-1, Buserelin  
     acetate 74381-53-6, Leuprolide acetate 75851-13-7 76712-82-8,  
     Histrelin 76932-56-4, Nafarelin 76932-59-7 76932-60-0, Nafarelin  
     acetate 78115-75-0 78708-43-7 81608-49-3 82163-19-7 86855-16-5  
     89662-20-4 89662-21-5 89662-27-1 89662-28-2 89662-29-3  
     89662-30-6, Detirelix 89662-32-8 89662-33-9 89680-24-0 90761-05-0  
     91991-07-0 98501-05-4 110798-13-5D, D-Homoarginine, derivs.  
     112568-12-4, Antide 120287-85-6, Cetrorelix 121362-84-3 124904-93-4,  
     Ganirelix 127932-90-5 134457-26-4, Azaline 134457-28-6, Azaline B  
     184686-51-9 184686-52-0 184686-53-1 184686-54-2 184686-55-3  
     184686-56-4  
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
     study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic  
     use); BIOL (Biological study); USES (Uses)  
     (LH-RH analogs for treatment of male-pattern baldness)  
 IT 58-22-0, Testosterone 9002-67-9, LH 9002-68-0, FSH  
     RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL  
     (Biological study); PROC (Process)  
     (LH-RH analogs for treatment of male-pattern baldness)  
 IT 184686-55-3 184686-56-4  
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological  
     study, unclassified); BUU (Biological use, unclassified); THU (Therapeutic  
     use); BIOL (Biological study); USES (Uses)  
     (LH-RH analogs for treatment of male-pattern baldness)

L52 ANSWER 24 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1989:173765 HCAPLUS  
 DN 110:173765  
 ED Entered STN: 12 May 1989  
 TI Preparation and testing of 1,6-dicarba-vasopressin compounds as drugs  
 IN Callahan, James F.; Huffman, William F.; Newlander, Kenneth A.; Yim,  
     Nelson C. F.  
 PA SmithKline Beckman Corp., USA  
 SO U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 819,336, abandoned.  
     CODEN: USXXAM  
 DT Patent  
 LA English

IC ICM A61K037-34  
ICS C07K007-16  
INCL 514011000  
CC 34-3 (Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 1, 63

## FAN.CNT 2

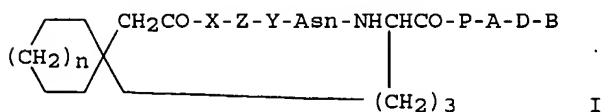
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PI	US 4760052	A	19880726	US 1987-43658	19870428 <--
	DK 8700206	A	19870717	DK 1987-206	19870115 <--
	FI 8700156	A	19870717	FI 1987-156	19870115 <--
	NO 8700175	A	19870717	NO 1987-175	19870115 <--
	ZA 8700275	A	19880831	ZA 1987-275	19870115 <--
	HU 46342	A2	19881028	HU 1987-115	19870115 <--
	HU 199882	B	19900328		
	HU 50457	A2	19900228	HU 1988-4124	19870115 <--
	AU 8767624	A1	19870723	AU 1987-67624	19870116 <--
	JP 62181297	A2	19870808	JP 1987-8865	19870116 <--
	CN 87100308	A	19870916	CN 1987-100308	19870116 <--
	US 4810778	A	19890307	US 1988-191673	19880509 <--
	US 4908475	A	19900313	US 1988-192736	19880509 <--
PRAI	US 1986-819336	A2	19860116	<--	
	US 1987-43658	A3	19870428	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4760052	ICM	A61K037-34
	ICS	C07K007-16
	INCL	514011000
US 4760052	NCL	514/011.000; 514/807.000; 530/315.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.566; 930/DIG.567 <--
US 4810778	NCL	530/328.000; 530/332.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567 <--
US 4908475	NCL	560/115.000; 560/012.000; 560/017.000; 560/121.000; 560/125.000; 562/430.000; 562/431.000; 562/503.000; 562/507.000 <--

OS CASREACT 110:173765; MARPAT 110:173765

GI



— D-Tyr(Et) - Phe-Val-Asn-Pas-Pro-ArgNH<sub>2</sub> II

AB The title compds. [I; P = bond, D- or L-Pro, Ala, MeAl, Arg, Lys, MeArg, MeLys, MeHArg, etc., A = bond, Gly, D- or L-Arg, Lys, Orn, HArg, MeLys, MeOrn, MeHArg, Gln; D = bond, Gly, D- or L-Arg, Lys, HArg, MeArg, MeLys, MeHArg, Gln, Orn; B = OH, amino; Z = Phe, Phe (4'-Alk), Tyr(Alk), Ile, Tyr; X = D- or L- Phe, Phe(4'-alk), Val, Nva, Leu, Ile, Pba, Me, Cha, Abu, Met, Chg, Tyr, Trp, Tyr(Alk); Y = Val, Ile, Abu, Ala, Chg, Gln, Lys, Cha, Nle, Thr, Phe, Leu, Gly; n = 0, 1; MeHArg = N-methylhomoarginyl; HArg = homoarginyl, Pba =  $\alpha$ -aminophenylbutyryl; Cha = cyclohexylalanyl, Abu =  $\alpha$ -aminobutyl; Chg = cyclohexylglycyl; Alk = C1-4 alkyl] useful as vasopressin antagonists, were prepared H-D-Tyr(Et)-Phe-Val-Asn-DL-Pas-Pro-Arg-NH<sub>2</sub> (Pas = 6,6-cyclopentamethylene-2-aminosuberyl) (prepared by the solid phase method on benzhydrylamine resin) in DMF was treated with Et<sub>3</sub>N and (PhO)<sub>2</sub>P(O)N<sub>3</sub> to give cyclic peptide II as the racemate. I stimulated adenylate cyclase activity in hog medullary kidney tissue with Ki's of 4.3-4.5 + 10<sup>-9</sup> M.

ST vasopressin antagonist peptide prepn; antihypertensive vasopressin antagonist prepn

IT Diuretics  
(dicarbavasopressin analogs)

IT Peptides, preparation  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(dicarbavasopressin analogs, preparation of, as vasopressin antagonists)

IT 11000-17-2, Vasopressin  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(antagonists, dicarbavasopressin derivs. as)

IT 7766-48-5, 5-Iodopent-1-ene  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with Me cyclohexanecarboxylate)

IT 4630-82-4, Methyl cyclohexanecarboxylate  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with iodopentene)

IT 13836-37-8 15761-39-4 51644-96-3 113009-18-0 113084-43-8  
114359-37-4 114420-16-5 119834-08-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of vasopressin antagonist)

IT 7536-55-2 13734-34-4 13734-41-3 76757-92-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of vasopressin antagonists)

IT 114359-25-0P 119834-06-9P 119834-11-6P 119834-14-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclization of, in preparation of vasopressin antagonist)

IT 119834-13-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and salification of, in preparation of vasopressin antagonist)

IT 114359-16-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 113009-17-9P 113009-18-0P 113009-19-1P 113009-21-5P 113009-23-7P  
113009-24-8P 113009-26-0P 113009-27-1P 114359-24-9P 114359-32-9P  
114359-33-0P 114359-34-1DP, benzhydrylamine resin bound 114359-36-3DP,  
benzhydrylamine resin bound 114387-68-7DP, benzhydrylamine resin bound  
119833-97-5P 119833-98-6P 119834-01-4P 119834-02-5P  
119834-04-7P 119834-05-8DP, resin bound 119834-12-7DP,  
benzhydrylamine resin bound 119834-15-0DP, benzhydrylamine resin bound  
119834-16-1DP, benzhydrylamine resin bound 119834-17-2DP,  
benzhydrylamine resin bound 119834-18-3P 119834-19-4P 119834-20-7P  
119834-21-8P 119834-22-9P 119906-36-4P 119943-27-0DP,  
benzhydrylamine resin bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for vasopressin antagonist)

IT 114359-31-8P 119834-23-0DP, benzhydrylamine resin bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate of vasopressin antagonist)

IT 114359-15-8P 114359-17-0P 114359-18-1P 114820-55-2P  
114923-99-8P 119833-94-2P 119834-03-6P 119834-09-2P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonist)

IT 119834-07-0P 119834-10-5DP, benzhydrylamine resin bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonist intermediate)

IT 114359-19-2P 114387-65-4P 114387-66-5P 114387-67-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, vasopressin antagonist)

IT 114359-25-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclization of, in preparation of vasopressin antagonist)

IT 114359-16-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 119834-02-5P 119834-04-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as intermediate for vasopressin antagonist)

IT 114359-15-8P 114359-18-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin antagonist)

L52 ANSWER 25 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1989:135741 HCAPLUS  
 DN 110:135741  
 ED Entered STN: 15 Apr 1989  
 TI Preparation of vasopressin V2 antagonists as cardiovascular agents  
 IN Huffman, William F.; Moore, Michael L.; Yim, Nelson C.  
 PA SmithKline Beckman Corp., USA  
 SO U.S., 8 pp. Cont.-in-part of U.S. Ser. No. 782,671, abandoned.  
 CODEN: USXXAM

DT Patent  
 LA English  
 IC ICM A61K037-02  
 INCL 530328000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1, 63

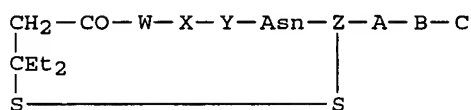
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4749782	A	19880607	US 1987-27769	19870319 <--
	EP 219275	A2	19870422	EP 1986-307580	19861001 <--
	EP 219275	A3	19890503		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
PRAI	US 1985-782671	A2	19851002	<--	
	EP 1986-307580	A	19861001	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4749782	ICM	A61K037-02
	INCL	530328000
US 4749782	NCL	530/328.000; 530/329.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.566; 930/DIG.567; 930/DIG.803 <--

OS MARPAT 110:135741  
 GI



I

Mpr(Et) — D— Tyr(Et) — Phe— Val— Asn— Cys— Pro— Arg— Gly— NH<sub>2</sub>

II

AB The title compds. [I; A = bond, D- or L-Pro, MeArg, HArg, Arg; B = D- or L-MeArg, HArg, Arg, Lys, Orn, etc; C = Gly-OH, Gly-NH<sub>2</sub>, OH, NH<sub>2</sub>, null; W = D- or L-Phe(4'-alk), Phe, Ile, Cha, D-Tyr, D-Tyr(Oalk); alk = C1-4 alkyl; X = Phe, Phe(4'-alk), Tyr(Oalk), Ile, Tyr; Y = Val, Ile, Abu, Chg, Gln, Lys, Cha, Nle, Leu, Ala, Gly; Z = D- or L-Cys; HArg = homoarginyl; Cha = cyclohexylalanyl] and salts and esters prodrugs were prepared as vasopressin U2 antagonists. BOC-D-Tyr(Et)-Phe-Val-Asn-Cys(SBzl)-Pro-Arg(Tos)-Gly-Benzhydrylamine resin (Bzl = CH<sub>2</sub>Ph) was coupled with β-(S-benzylmercapto)-β,β-diethylpropionic acid and the product was resin cleaved/deprotected with Na/MeOH and then Na/NH<sub>3</sub> and oxidatively cyclized with K<sub>3</sub>Fe(CN)<sub>6</sub> to give vasopressin analog II [Mpr(Et) = β-mercapto-β,β-diethylpropionyl]. The latter had an ED<sub>300</sub> of 27.0 μg/kg in hydropenic rats. an ampoule containing II 0.5 and mannitol

20mg was prepared

ST vasopressin antagonist prepn cardiovascular agent; peptide amide prepn  
antihypertensive diuretic

IT Antihypertensives  
Cardiotonics  
(vasopressin analogs)

IT Peptides, preparation  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(vasopressin analogs, preparation of, as cardiovascular agents)

IT 867-13-0, Triethyl phosphonoacetate  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(Wittig reaction of, with pentanone)

IT 96-22-0, 3-Pentanone  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(Wittig reaction of, with tri-Et phosphonoacetate)

IT 100-53-8, Benzylmercaptan 4498-99-1, p-Methylbenzylmercaptan  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(condensation of, with diethylacrylic acid Et ester)

IT 51644-96-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(coupling of, with heptaopeptide derivative, in preparation of vasopressin antagonist)

IT 76757-91-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of vasopressin V2 antagonist)

IT 13734-34-4 76757-92-1 104054-99-1D, resin bound  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of vasopressin antagonist)

IT 109212-85-3DP, benzhydrylamine resin bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and coupling of, with mercapto di-Et propionic acid derivative)

IT 119624-06-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and cyclization of, in preparation of V2 antagonist)

IT 109212-87-5P 119624-04-3P 119624-07-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative cyclization of, in preparation of vasopressin V2 antagonist)

IT 119624-09-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative cyclization of, in preparation of vasopressin antagonist)

IT 119624-01-0DP, resin bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and resin cleavage of, in preparation of vasopressin antagonist)

IT 119624-03-2DP, benzyhydrylamine resin bound 119624-05-4DP,  
benzhydrylamine resin bound 119624-08-7DP, benzhydrylamine resin bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and resin cleavage reaction of, in preparation of vasopressin V2 antagonist)

IT 109212-86-4DP, benzhydrylamine resin bound 119642-07-8DP,  
benzhydrylamine resin bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and resin cleavage reaction of, in preparation of vasopressin antagonist)

IT 15249-93-1P 36038-80-9P 104532-41-4P 109212-79-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as intermediate for vasopressin antagonist)

IT 104532-37-8P 104532-38-9P 104532-39-0P 109212-76-2P  
109212-77-3P 109212-83-1DP, benzhydrylamine resin bound 109230-47-9P

119623-99-3P 119624-00-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin V2 antagonist)  
 IT 11000-17-2DP, Vasopressin, analogs  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin V2 antagonists)  
 IT 109212-80-8DP, resin-bound 109212-84-2DP, benzhydramine resin bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin antagonist intermediate)  
 IT 119624-02-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, deprotection, and oxidative cyclization of, in preparation of  
 vasopressin antagonist)  
 IT 109212-88-6DP, resin bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation, resin cleavage reaction, and oxidative cyclization of, in  
 preparation of vasopressin antagonist)  
 IT 119624-06-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and cyclization of, in preparation of V2 antagonist)  
 IT 119624-04-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidative cyclization of, in preparation of vasopressin V2  
 antagonist)  
 IT 104532-38-9P 104532-39-0P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin V2 antagonist)

L52 ANSWER 26 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:406978 HCAPLUS

DN 109:6978

ED Entered STN: 09 Jul 1988

TI Preparation of (7-arginine-8-arginine-9-arginine)-vasopressin analogs as  
 vasopressin antagonists and antihypertensives

IN Ali, Fadia E.

PA SmithKline Beckman Corp., USA

SO U.S., 7 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07K007-16

ICS A61K037-34

INCL 514011000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 2, 63

FAN.CNT 1

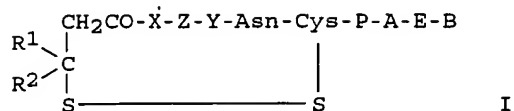
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4724229	A	19880209	US 1986-913439	19860930 <--
	AU 8778887	A1	19880414	AU 1987-78887	19870923 <--
	DK 8705105	A	19880331	DK 1987-5105	19870928 <--
	EP 270214	A2	19880608	EP 1987-308532	19870928 <--
	EP 270214	A3	19900509		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	JP 63096199	A2	19880427	JP 1987-245728	19870929 <--
	ZA 8707305	A	19880928	ZA 1987-7305	19870929 <--
PRAI	US 1986-913439	A	19860930	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4724229	ICM	C07K007-16
	ICS	A61K037-34
	INCL	514011000
US 4724229	NCL	514/011.000; 514/807.000; 530/315.000; 930/020.000;

930/021.000; 930/150.000; 930/DIG.567

&lt;--

OS MARPAT 109:6978  
GI

AB The title peptides [I; P,A,E = D or L - Arg, Lys, Orn, HArg, Me-Lys, or Me-HArg; B = OH, NH<sub>2</sub>, alkylamino; Z = Phe, 4'-alkyl Ppe, O-alkylTyr, Ile, or Tyr; X = D or L-Phe, 4'-alkyl Phe, Val, Nva, Leu, Ile, Pba, Nle, Cha, Abu, Met, Chg, Tyr, O-alkyl Tyr; Y = Val, Ile, Abn, Ala, Chg, Gln, Lys, Cha, Me, Thr, Phe, Leu, Gly; R1, R2 = H, Me, Et; CR1R2 = 4-6 membered cycloalkylene ring; HArg = homoarginine; Pba =  $\alpha$ -aminophenylbutyric acid; Cha = cyclohexylalanine; Abu =  $\alpha$ -amino-n-butyric acid; Chg = cyclohexylglycine] were prepared as vasopressin antagonists and antihypertensives. I [CR1R2CH<sub>2</sub>CO =  $\beta$ -mercapto- $\beta$ , $\beta$ -(cyclopentamethylene)propionic acid residue (Pmp) P-A-E-B=Arg-Arg-Arg-NH<sub>2</sub>, X = D-Tyr(Et), Z = Phe,Y = Val] (II) was synthesized using the solid-phase method on an automated synthesizer. II exhibited a ED300 (the dose of the compound  $\mu\text{g/kg}$  required to lower urine osmolality to 300 mOsm/kg H<sub>2</sub>O) of  $22.1 \pm 4.6 \mu\text{g/mL i.p.}$  in rats. Parenteral dosage unit compns. containing 0.10 I and 20 mg mannitol were prepared

ST vasopressin analog prepn vasopressin antagonist antihypertensive  
IT Edema  
(treatment of, vasopressin analogs for)

IT Antihypertensives  
Diuretics  
(vasopressin analogs)

IT Peptides, preparation  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(vasopressin analogs, preparation of, as vasopressin antagonists and antihypertensives)

IT Heart, disease or disorder  
(failure, treatment of, vasopressin analogs for)

IT 76757-91-0 76757-92-1 87242-91-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, in preparation of vasopressin antagonist)

IT 114736-06-ODP, benzhydrylamine resin-bound 114736-07-1DP,  
benzhydrylamine resin-bound 114736-08-2DP, benzhydrylamine resin-bound  
114736-09-3DP, benzhydrylamine resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and resin cleavage of, in preparation of vasopressin antagonist)

IT 90332-82-4P 94497-37-7P 110500-75-9P 114735-93-2P  
114735-94-3P 114735-95-4P 114735-96-5P 114735-97-6P 114735-98-7P  
114735-99-8P 114736-00-4P 114736-01-5P 114736-02-6P 114736-03-7P  
114736-04-8P 114736-05-9P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonist and antihypertensive)

IT 11000-17-2DP, Vasopressin, analogs  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonists and antihypertensives)

IT 90332-82-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonist and antihypertensive)

L52 ANSWER 27 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1987:637298 HCAPLUS  
DN 107:237298  
ED Entered STN: 25 Dec 1987  
TI Copper(II) oxidation of 1,6-dimercapto-containing peptides  
IN Kalbag, Suresh M.; Voelker, Paul J.



PA SmithKline Beckman Corp., USA

SO U.S., 3 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07K007-16

INCL 530315000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 2

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4656248	A	19870407	US 1985-726433	19850423 <--
PRAI US 1985-726433		19850423	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4656248	ICM	C07K007-16
	INCL	530315000
US 4656248	NCL	530/315.000; 530/345.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567

OS CASREACT 107:237298

GI For diagram(s), see printed CA Issue.

AB Cyclic peptide amide I is obtained by oxidation of linear peptide II with a Cu (II) salt. II.HOAc in MeOH was treated with one equivalent of CuSO<sub>4</sub>.5H<sub>2</sub>O and the resulting mixture was stirred at room temperature for 5 min to give 38% I.

ST dimercapto peptide prepn vasopressin antagonist; oxidn dimercapto peptide cupric sulfate

IT Oxidation

(of linear dimercapto peptide, cyclic disulfide by)

IT 7758-98-7, Cupric sulfate, reactions

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidation by, of linear dimercapto peptide)

IT 111450-99-8 111451-00-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidation of, by cupric sulfate, cyclic disulfide from)

IT 90332-82-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, via oxidation of linear dimercapto peptide by cupric sulfate)

IT 111450-99-8 111451-00-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidation of, by cupric sulfate, cyclic disulfide from)

IT 90332-82-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of, via oxidation of linear dimercapto peptide by cupric sulfate)

L52 ANSWER 28 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1986:515414 HCAPLUS

DN 105:115414

ED Entered STN: 03 Oct 1986

TI Vasopeptides as vasopressin antagonists

IN Yim, Nelson C.

PA SmithKline Beckman Corp., USA

SO U.S., 9 pp.

CODEN: USXXAM

DT Patent

LA English

IC ICM C07K007-16

INCL 530328000

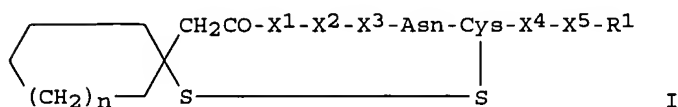
CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 1

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4597901	A	19860701	US 1984-681461	19841214 <--
US 4684716	A	19870804	US 1986-852696	19860416 <--

US 4719199 A 19880112 US 1986-852697 19860416 <--  
 PRAI US 1984-681461 A3 19841214 <--  
 CLASS  
 PATENT NO. CLASS PATENT FAMILY CLASSIFICATION CODES  
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 US 4597901 ICM C07K007-16  
 INCL 530328000  
 US 4597901 NCL 530/328.000; 930/020.000; 930/021.000; 930/150.000;  
 930/DIG.565; 930/DIG.803 <--  
 US 4684716 NCL 530/328.000; 530/329.000; 930/020.000; 930/021.000;  
 930/150.000; 930/260.000; 930/DIG.802 <--  
 US 4719199 NCL 514/009.000; 514/010.000; 930/020.000; 930/021.000;  
 930/150.000; 930/260.000; 930/DIG.565; 930/DIG.803 <--  
 GI



AB Peptides I [n = 0, 1, 2; X<sup>1</sup> = Trp, D-Phe, D-Tyr, Tyr, etc.; X<sup>2</sup> = Phe, Phe(4-alkyl), Trp; X<sup>3</sup> = Val, Ile, Abn, Ala, Gly, etc.; X<sup>4</sup> = D-Pro, Pro; X<sup>5</sup> = D-Arg, Arg, D-Lys, Lys, Harg; R<sup>1</sup> = NH<sub>2</sub>, alkylamino, OH, Gly-OH, etc.], which exhibited diuretic activity, were prepared Among the polypeptides prepared was I (n = 1, X<sup>1</sup> = D-Trp, X<sup>2</sup> = Phe, X<sup>3</sup> = Val, X<sup>4</sup> = Pro, X<sup>5</sup> = Gly, R<sup>1</sup> = NH<sub>2</sub>).

ST peptide prepn diuretic; vasopressin antagonist peptide prepn

IT Diuretics  
 (polypeptides)

IT 11000-17-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonists of, polypeptides as)

IT 4530-20-5D, resin-bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (peptide synthesis with)

IT 77446-72-1DP, resin-bound 104054-89-9P 104054-90-2DP,  
 p-methylbenzhydrylamine resin-bound 104054-93-5P 104054-95-7DP,  
 resin-bound 104054-97-9DP, p-methylbenzhydrylamine resin-bound  
 104055-00-7DP, resin-bound 104055-04-1P 104075-53-8DP,  
 p-methylbenzhydrylamine resin-bound 104075-54-9DP, p-  
 methylbenzhydrylamine resin-bound 104075-56-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reaction of)

IT 6747-15-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

IT 102992-26-7P 103065-80-1P 104054-91-3P 104054-92-4P 104054-94-6P  
 104054-96-8P 104054-98-0P 104055-01-8P 104055-02-9P  
 104055-03-0P 104055-06-3P 104075-57-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as diuretic)

IT 5241-64-5 13139-14-5 55154-80-8 58237-94-8 64905-10-8 87242-91-9  
 93449-74-2D, p-methylbenzhydrylamine resin-bound 104054-99-1D,  
 resin-bound 104075-55-0D, p-methylbenzhydrylamine resin-bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of)

IT 104054-96-8P 104054-98-0P 104075-57-2P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as diuretic)

L52 ANSWER 29 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1986:443335 HCAPLUS

DN 105:43335  
 ED Entered STN: 09 Aug 1986  
 TI Octapeptide vasopressin antagonists  
 IN Huffman, William F.; Moore, Michael L.  
 PA SmithKline Beckman Corp., USA  
 SO U.S., 13 pp. Cont.-in-part of U.S. 4,469,679.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC A61K037-00; C07C103-52  
 INCL 514011000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 1

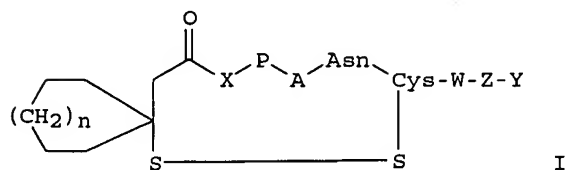
## FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4542124	A	19850917	US 1984-624542	19840626 <--
	US 4469679	A	19840904	US 1983-467117	19830216 <--
	EP 119705	A2	19840926	EP 1984-300692	19840203 <--
	EP 119705	A3	19870422		
	EP 119705	B1	19890906		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4587045	A	19860506	US 1985-734522	19850516 <--
PRAI	US 1983-467117	A2	19830216	<--	
	EP 1984-300692	A	19840203	<--	
	US 1984-624542	A3	19840626	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4542124	IC	A61K037-00IC C07C103-52
	INCL	514011000
US 4542124	NCL	514/011.000; 514/807.000; 530/328.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567 <--
US 4469679	NCL	514/011.000; 514/807.000; 530/315.000; 530/328.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567 <--
US 4587045	NCL	530/328.000; 930/020.000; 930/021.000; 930/150.000 <--

GI



AB The title compds. I [P = (substituted) Phe; X = D-Phe, -Val, -Nva, -Leu, -Ile, -Ala, -Pba, -Nle, -Cha, -Abu, -Met, -Chg, D- or L-Tyr, D- or L-(alkyl)Tyr; Y = OH, substituted amino; W = D-Pro, L-Pro, dehydro-Pro; A = Val, Ile, Abu, Ala, Gly, Lys, Cha, Nle, Phe, Leu, Chg, Nva; Z = D-Arg, L-Arg, D-Lys, L-Lys; n = 0, 1, or 2], useful as antihypertensives (anti-vasopressin activity measured in hypopenic rats) were prepared I [X = D-Tyr(Et), P=Phe, A=Abu, W=Pro, Z=Arg, Y=NH<sub>2</sub>] was among the prepared

ST octapeptide prepn antihypertensive; vasopressin antagonist octapeptide

IT Antihypertensives (octapeptides)

IT Peptides, preparation  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (preparation of octapeptides as vasopressin antagonist)

IT 107-10-8, reactions  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (amidation by, of vasopressin analog)

IT 13836-37-8  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amidation of, by benzhydrylamine resin)

IT 93449-76-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(amidation of, by propylamine)

IT 11000-17-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(antagonist, octapeptides as)

IT 15761-39-4 61925-77-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of, by (chloromethyl)phenyl resin)

IT 2788-83-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, with heptapeptide)

IT 102995-63-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide coupling of, with pentapeptide)

IT 102995-68-6P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and deblocking of)

IT 102995-64-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and esterification of, by diazomethane)

IT 93449-72-0P 93449-73-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative cyclization of)

IT 98612-58-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and peptide coupling of, with dipeptide derivative)

IT 93957-04-1DP, resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and resin cleavage-deblocking of)

IT 93449-75-3DP, benzhydrylamine resin-bound 99753-62-5DP, resin-bound  
102995-56-2DP, benzhydrylamine resin-bound 102995-58-4DP,  
benzhydrylamine resin-bound 102995-59-5DP, p-methylbenzhydrylamine  
resin-bound 102995-61-9DP, p-methylbenzhydrylamine resin-bound  
103022-87-3DP, benzhydrylamine resin-bound 103062-54-0DP,  
benzhydrylamine resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and sequential resin cleavage-deblocking and oxidative  
cyclization of)

IT 102995-55-1DP, benzhydrylamine resin-bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and solid-phase peptide coupling of)

IT 15761-39-4DP, resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and solid-phase peptide synthesis with)

IT 102995-65-3P 102995-66-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 90332-82-4P 93449-69-5P 93449-70-8P  
93472-64-1P 102995-54-0P 102995-57-3P  
102995-60-8P 102995-62-0P 102995-67-5P  
103022-88-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as vasopressin antagonist)

IT 98612-55-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation, esterification and peptide coupling of)

IT 33294-54-1 33294-55-2 33294-56-3 64817-62-5 68059-30-3

93449-74-2D, p-methylbenzhydrylamine resin-bound 102995-52-8  
 102995-53-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid-phase peptide coupling of)

IT 13836-37-8D, resin-bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid-phase peptide synthesis with)

IT 93449-72-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidative cyclization of)

IT 90332-82-4P 93449-69-5P 93449-70-8P  
 102995-54-0P 102995-57-3P 102995-60-8P  
 102995-62-0P 102995-67-5P 103022-88-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as vasopressin antagonist)

L52 ANSWER 30 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1985:25046 HCAPLUS  
 DN 102:25046  
 ED Entered STN: 26 Jan 1985  
 TI Iodinated vasopressin antagonists  
 IN Huffman, William F.; Moore, Michael L.  
 PA SmithKline Beckman Corp., USA  
 SO U.S., 5 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC A61K037-00; A61K043-00; G01N033-00; C07C103-52  
 INCL 424177000  
 CC 34-3 (Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 2

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4469680	A	19840904	US 1983-511120,	19830706 <--
PRAI US 1983-511120		19830706	<--	

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4469680	IC	A61K037-00IC A61K043-00IC G01N033-00IC C07C103-52
	INCL	424177000
US 4469680	NCL	424/001.690; 514/011.000; 514/807.000; 530/315.000; 530/328.000; 530/334.000; 530/345.000; 930/021.000; 930/023.000; 930/150.000; 930/DIG.565; 930/DIG.662 <--

GI For diagram(s), see printed CA Issue.

AB Iodinated vasopressin analogs I (R = OH, C1-2 alkoxy; R1 = H, iodo; X = D-  
 or L-Arg; R2 = NH2, OH, Gly-OH, or Gly-NH2) were prepared as vasopressin  
 antagonists. Thus, Pmp(CH2Ph)-D-Tyr(CO2CH2C6H4Br-p)-Phe-Val-Asn-  
 Cys(CH2C6H4OMe-p)-Pro-Arg(Tos)-resin (Pmp =  $\beta$ -mercapto- $\beta$ , $\beta$ -  
 cyclopentamethylenepropionic acid, Tos = tosyl) was prepared by the  
 solid-phase method and then it was cleaved by NH3/MeOH and deblocked by  
 Na/NH3 to give Pmp-D-Tyr-Phe-Val-Asn-Cys-Pro-Arg-NH2, which was oxidized  
 by K3[Fe(CN)6] to give [Pmp1, D-Tyr2, Val4, desGly9]AVP (II, AVP =  
 arginine-vasopressin). II was iodinated to give [Pmp1, D-Tyr(I)2, Val4,  
 desGly9]AVP. [Pmp1, D-Tyr(I)2, Val4]AVP exhibited in vivo  
 anti-antidiuretic hormone activity in rats with an ED300 of 92.6  $\mu$ g/kg.

ST iodinated vasopressin analog prepn antagonist

IT Peptides, preparation  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (iodo, vasopressin-related, preparation and vasopressin antagonistic  
 activity of)

IT 11000-17-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (antagonist, iodinated vasopressin analogs as)

IT 91919-89-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(antagonists, for vasopressin)

IT 61543-38-2  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(esterification of, with chloromethylated resin)

IT 81094-15-7  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(iodination of)

IT 93449-69-5P 93472-64-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and iodination of)

IT 93449-72-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative cyclization of)

IT 93957-04-1DP, resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and resin cleavage and deblocking of)

IT 13836-37-8DP, resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and solid-phase peptide synthesis with)

IT 11000-17-2DP, iodinated analogs 91919-86-7P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and vasopressin antagonistic activity of)

IT 93957-06-3P 93957-07-4P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 93449-69-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and iodination of)

IT 93449-72-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and oxidative cyclization of)

IT 93957-06-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

L52 ANSWER 31 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN

AN 1985:7098 HCAPLUS

DN 102:7098

ED Entered STN: 12 Jan 1985

TI Octapeptide vasopressin antagonists

IN Huffman, William F.; Moore, Michael L.

PA SmithKline Beckman Corp., USA

SO U.S., 8 pp.

CODEN: USXXAM

DT Patent

LA English

IC A61K037-00; C07C103-52

INCL 424177000

CC 34-3 (Amino Acids, Peptides, and Proteins)

Section cross-reference(s): 2

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4469679	A	19840904	US 1983-467117	19830216 <--
	EP 119705	A2	19840926	EP 1984-300692	19840203 <--
	EP 119705	A3	19870422		
	EP 119705	B1	19890906		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	AT 46174	E	19890915	AT 1984-300692	19840203 <--
	AU 8424534	A1	19840823	AU 1984-24534	19840213 <--

AU 577778	B2	19881006		
IL 70944	A1	19901129	IL 1984-70944	19840213 <--
IL 83945	A1	19901129	IL 1984-83945	19840213 <--
DK 8400652	A	19840817	DK 1984-652	19840214 <--
FI 8400577	A	19840817	FI 1984-577	19840214 <--
NO 8400563	A	19840817	NO 1984-563	19840215 <--
JP 59155348	A2	19840904	JP 1984-27986	19840215 <--
HU 34042	O	19850128	HU 1984-597	19840215 <--
HU 196227	B	19881028		
ZA 8401104	A	19850424	ZA 1984-1104	19840215 <--
ES 529738	A1	19860401	ES 1984-529738	19840215 <--
US 4542124	A	19850917	US 1984-624542	19840626 <--
ES 535842	A1	19851001	ES 1984-535842	19840912 <--
US 4587045	A	19860506	US 1985-734522	19850516 <--
PRAI US 1983-467117	A	19830216	<--	
EP 1984-300692	A	19840203	<--	
IL 1984-70944	A	19840213	<--	
US 1984-624542	A3	19840626	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4469679	IC	A61K037-00IC C07C103-52
	INCL	424177000
US 4469679	NCL	514/011.000; 514/807.000; 530/315.000; 530/328.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567 <--
US 4542124	NCL	514/011.000; 514/807.000; 530/328.000; 930/020.000; 930/021.000; 930/150.000; 930/DIG.567 <--
US 4587045	NCL	530/328.000; 930/020.000; 930/021.000; 930/150.000 <--
GI		For diagram(s), see printed CA Issue.
AB		Vasopressin analogs I [X = D-Phe, D-Val, D-Leu, D-Ile, D-Nva, D-Nle, D-NHCH <sub>2</sub> CO, D-Met, D-Tyr, D-Tyr(R <sub>1</sub> ) (R <sub>1</sub> = C1-4 alkyl); X <sub>1</sub> = Pro, dehydroproline residue; X <sub>2</sub> = D-Arg, Arg, D-Lys, Lys; R = NHR <sub>2</sub> (R <sub>2</sub> = H, C1-4 alkyl, CH <sub>2</sub> Ph), OH] were prepared as vasopressin antagonists. Thus, Pmp(CH <sub>2</sub> Ph)-D-Tyr(CO <sub>2</sub> CH <sub>2</sub> C <sub>6</sub> H <sub>4</sub> Br-2)-Phe-Val-Asn-Cys(CH <sub>2</sub> C <sub>6</sub> H <sub>4</sub> OMe-4)-Pro-Arg(Tos)-R <sub>3</sub> (II; Pmp = β-mercapto-β,β-cyclopentamethylenepropionic acid residue, Tos = tosyl, R <sub>3</sub> = resin) was prepared by the solid-phase method and was cleaved by ammonolysis to give II (R <sub>3</sub> = NH <sub>2</sub> ). The latter was deblocked by Na/NH <sub>3</sub> to give Pmp-D-Tyr-Phe-Val-Asn-Cys-Pro-Arg-NH <sub>2</sub> , which was oxidized by K <sub>3</sub> Fe(CN) <sub>6</sub> to give vasopressin analog III. III at 63 μg/kg lowered urine osmolality in rats to 300 m-Osmoles/kg.
ST		mercaptocyclopentamethylenepropionic vasopressin analog
IT		Peptides, preparation
		RL: SPN (Synthetic preparation); PREP (Preparation) (vasopressin-related, preparation and vasopressin antagonistic activity of)
IT		13836-37-8
		RL: RCT (Reactant); RACT (Reactant or reagent) (amidation of, with benzhydrylamine resin)
IT		93449-76-4
		RL: RCT (Reactant); RACT (Reactant or reagent) (amidation of, with propylamine)
IT		11000-17-2
		RL: RCT (Reactant); RACT (Reactant or reagent) (antagonist, mercaptocyclopentamethylenepropionic acid-containing vasopressin analog as)
IT		87242-92-0
		RL: RCT (Reactant); RACT (Reactant or reagent) (coupling of, with peptidyl resin)
IT		93449-77-5P
		RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deblocking of)
IT		93449-75-3DP, benzhydrylamine resin-bound
		RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deblocking-oxidative cyclization of)

IT 93449-72-0P 93449-73-1P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidative cyclization of)

IT 93449-71-9DP, resin bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and resin cleavage of, by ammonolysis)

IT 93449-74-2DP, benzhydrylamine resin-bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and solid-phase peptide synthesis with)

IT 90332-82-4P 93449-69-5P 93472-64-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and vasopressin antagonistic activity of)

IT 93449-70-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

IT 7536-55-2 76757-92-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid-phase peptide coupling of)

IT 13836-37-8D, resin-bound  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (solid-phase peptide synthesis with)

IT 80148-24-9 81094-15-7  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (vasopressin antagonistic activity of)

IT 93449-77-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deblocking of)

IT 93449-72-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and oxidative cyclization of)

IT 90332-82-4P 93449-69-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and vasopressin antagonistic activity of)

IT 93449-70-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

L52 ANSWER 32 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1980:94693 HCAPLUS  
 DN 92:94693  
 ED Entered STN: 12 May 1984  
 TI Polypeptide agents for blocking the human allergic response  
 IN Hamburger, Robert N.  
 PA University of California, Berkeley, USA  
 SO U.S., 10 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC C07C103-52  
 INCL 260112500R  
 CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)  
 Section cross-reference(s): 15, 63

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4171299	A	19791016	US 1976-652868	19760127 <--
	JP 51118702	A2	19761018	JP 1976-7400	19760126 <--
	JP 60002318	B4	19850121		
	BE 840193	A1	19760930	BE 1976-165690	19760330 <--
	US 4161522	A	19790717	US 1978-940323	19780907 <--
	AU 8065181	A1	19810416	AU 1980-65181	19801208 <--
	AU 531075	B2	19830811		
PRAI	US 1975-565425	A2	19750404	<--	



US 1976-652868 A2 19760127 <--  
 AU 1976-12303 A 19760324 <--

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4171299	IC	C07C103-52
	INCL	260112500R
US 4171299	NCL	530/329.000; 530/328.000; 530/330.000; 530/331.000; 930/010.000 <--
US 4161522	NCL	514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/328.000; 530/329.000; 530/330.000; 530/331.000; 930/010.000 <--
AB	Tri- to decapeptides related to the epsilon chain of Ig E were prepared as agents for the blocking of the allergic response. Thus, BOC-Arg(NO2)-O-resin (BOC = Me3CO2C) was extended by stepwise solid-phase couplings to BOC-Asp(OCH2Ph)-Pro-Arg(NO2)-O-resin, which was cleaved and deblocked by HBr/CF3CO2H to give H-Asp-Pro-Arg(NO2)-OH, which was hydrogenated to give H-Asp-Pro-Arg-OH (I). H-Ser-Asp-Pro-Arg-OH, H-Asp-Ser-Asp-Pro-Arg-OH, and H-Ala-Asp-Ser-Asp-Pro-Arg-OH were prepared similarly. I had an average 15% allergic response-blocking activity according to the Prausnitz-Kustner reaction.	
ST	Ig tripeptide decapeptide allergy blocking	
IT	Peptides, preparation RL: SPN (Synthetic preparation); PREP (Preparation) (Ig E epsilon chain-related, preparation and allergic response-blocking activity of)	
IT	Allergy (inhibitors, tri- to decapeptides related to Ig E epsilon chain)	
IT	Immunoglobulins RL: SPN (Synthetic preparation); PREP (Preparation) (E, tri- to decapeptides related to epsilon chain of, preparation and allergic response-blocking activity of)	
IT	62087-80-3 RL: RCT (Reactant); RACT (Reactant or reagent) (allergic response-blocking activity of)	
IT	31948-52-4 RL: PROC (Process) (conversion of, to cesium salt)	
IT	62087-70-1P 62087-72-3P 62087-73-4P 71658-92-9DP, resin-bound RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and allergic response-blocking activity of)	
IT	62087-75-6P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and conversion of, to azide)	
IT	62087-75-6P 71659-00-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and deblocking of)	
IT	72504-07-5P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and esterification of, with methanol)	
IT	62087-81-4P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and hydrogenolysis of)	
IT	71658-93-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, with chloromethylated resins)	
IT	62087-75-6DP, resin-bound RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and resin cleavage of)	
IT	71658-90-7DP, resin-bound 71658-91-8DP, resin-bound 71658-94-1DP, resin-bound RL: SPN (Synthetic preparation); PREP (Preparation)	

(preparation and resin cleavage-deblocking of)

IT 2188-18-3DP, resin-bound 31948-52-4DP, resin-bound 62087-77-8DP,  
resin-bound 71658-97-4DP, resin-bound  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and solid-phase peptide synthesis with)

IT 62087-78-9DP, resin-bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and O-acylation of, with hexanoic acid)

IT 62087-76-7P 71658-95-2P 71658-96-3P 71659-01-3P  
72504-05-3P 72504-06-4P 72510-60-2P 72529-34-1P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

IT 25692-95-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with carboxy hydrazide resin)

IT 2188-18-3  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloromethylated resin)

IT 7536-58-5 15761-38-3 15761-39-4 23680-31-1 26048-69-1 39747-65-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(solid-phase peptide coupling of)

IT 142-62-1, reactions  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(O-acylation by, of serine-containing peptide resins)

IT 71659-01-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

L52 ANSWER 33 OF 33 HCAPLUS COPYRIGHT 2005 ACS on STN  
AN 1979:558110 HCAPLUS  
DN 91:158110  
ED Entered STN: 12 May 1984  
TI Blocking allergic responses  
IN Hamburger, Robert N.  
PA University of California, Berkeley, USA  
SO U.S., 12 pp.  
CODEN: USXXAM  
DT Patent  
LA English  
IC A61K037-00; C07C103-52  
INCL 424177000  
CC 34-3 (Synthesis of Amino Acids, Peptides, and Proteins)  
Section cross-reference(s): 63

## FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4161522	A	19790717	US 1978-940323	19780907 <--
	US 4171299	A	19791016	US 1976-652868	19760127 <--
	AU 8065181	A1	19810416	AU 1980-65181	19801208 <--
	AU 531075	B2	19830811		
PRAI	US 1975-565425	A2	19750404	<--	
	US 1976-652868	A2	19760127	<--	
	AU 1976-12303	A	19760324	<--	

## CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
US 4161522	IC	A61K037-00IC C07C103-52
	INCL	424177000
US 4161522	NCL	514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/328.000; 530/329.000; 530/330.000; 530/331.000; 930/010.000 <--
US 4171299	NCL	530/329.000; 530/328.000; 530/330.000; 530/331.000; 930/010.000 <--
AB		Tripeptides to decapeptides from the 265-537 sequence of the Fc region of Ig E, useful as agents for blocking the mammalian allergic response, were

prepared by solid-phase methods. Thus, BOC-Asp-(OCH<sub>2</sub>Ph)-Pro-Arg(NO<sub>2</sub>)-O-resin (I, BOC = Me<sub>3</sub>CO<sub>2</sub>C) was prepared by stepwise solid-phase couplings and then was resin-cleaved and deblocked by HBr/CF<sub>3</sub>CO<sub>2</sub>H to give H-Asp-Pro-Arg(NO<sub>2</sub>)-OH, which was hydrogenated to give H-Asp-Pro-Arg-OH. I was used in the solid-phase preparation of BOC-Ser(CH<sub>2</sub>Ph)-Asp(OCH<sub>2</sub>Ph)-Pro-Arg(NO<sub>2</sub>)-O-resin (II), which was cleaved and deblocked to give H-Ser-Asp-Pro-Arg-OH, and II was used in the solid-phase preparation of H-Asp-Ser-Asp-Pro-OH (III). H-Ala-Asp-Ser-Asp-Pro-Arg-OH was also prepared. III exhibited an average allergic inhibition of 72% in an assay of the Prausnitz-Kustner reaction.

ST peptide Ig prepn allergy blocking

IT Allergy

(inhibitors, tri- to decapeptides from sequence 265-537 of Fc region of Ig E)

IT Peptides, preparation

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiallergic activity of, from sequence 265-537 of Fc region of Ig E)

IT Immunoglobulins

RL: SPN (Synthetic preparation); PREP (Preparation)

(E, tri- to decapeptides from sequence 265-537 of Fc region of, preparation and antiallergic activity of)

IT 62087-80-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(antiallergic activity of)

IT 31948-52-4

RL: PROC (Process)

(conversion of, to cesium salt)

IT 62087-70-1P 62087-71-2P 62087-72-3P 62087-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiallergic activity of)

IT 62087-75-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and conversion of, to azide)

IT 71658-99-6P 71659-00-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deblocking of)

IT 71658-98-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and esterification of, with methanol)

IT 62087-81-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrogenolysis of)

IT 71658-93-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, with chloromethylated resin)

IT 71658-90-7DP, resin-bound 71658-91-8DP, resin-bound 71658-92-9DP, resin-bound 71658-94-1DP, resin-bound

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and resin cleavage and deblocking of)

IT 62087-75-6DP, resin-bound

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and resin cleavage of)

IT 2188-18-3DP, resin-bound 31948-52-4DP, resin-bound 62087-77-8DP, resin-bound 70689-04-2DP, resin-bound 71658-97-4DP, resin-bound

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and solid-phase peptide synthesis with)  
IT 62087-71-2P 62087-76-7P 62087-78-9DP, resin-bound 62087-79-0P  
71658-95-2P 71658-96-3P 71659-01-3P 71659-02-4P  
71659-03-5P 71659-04-6P 71659-05-7P 71659-06-8P 71659-07-9P  
71659-08-0P 71659-09-1P 71659-10-4P 71659-11-5P 71659-12-6P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
IT 25692-95-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with solid-phase resin)  
IT 7536-58-5 15761-38-3 15761-39-4 23680-31-1 39747-65-4 62087-78-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(solid-phase peptide coupling of)  
IT 71659-01-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

=> b reg

FILE 'REGISTRY' ENTERED AT 12:42:05 ON 15 JUN 2005  
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 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
 provided by InfoChem.

STRUCTURE FILE UPDATES: 14 JUN 2005 HIGHEST RN 852282-01-0  
 DICTIONARY FILE UPDATES: 14 JUN 2005 HIGHEST RN 852282-01-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when  
 conducting SmartSELECT searches.

\*\*\*\*\*  
 \*  
 \* The CA roles and document type information have been removed from \*  
 \* the IDE default display format and the ED field has been added, \*  
 \* effective March 20, 2005. A new display format, IDERL, is now \*  
 \* available and contains the CA role and document type information. \*  
 \*  
 \*\*\*\*\*

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data a:  
 information enter HELP PROP at an arrow prom  
 to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.ht>

*L53: hit registry  
 numbers from  
 set L52*

=> d sqide l53 tot

L53 ANSWER 1 OF 63 REGISTRY COPYRIGHT 200  
 RN 636593-90-3 REGISTRY  
 CN L-Argininamide, N-acetyl-L-leucyl-L-arg  
 tyrosyl-L-arginyl-L-alanyl-L-isoleucyl-  
 prolyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 776: PN: US20040058881 PAGE: 84 claimed  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 14  
 NTE modified

type	location
terminal mod. Leu-1	N-
terminal mod. Arg-14	C-terminal amide

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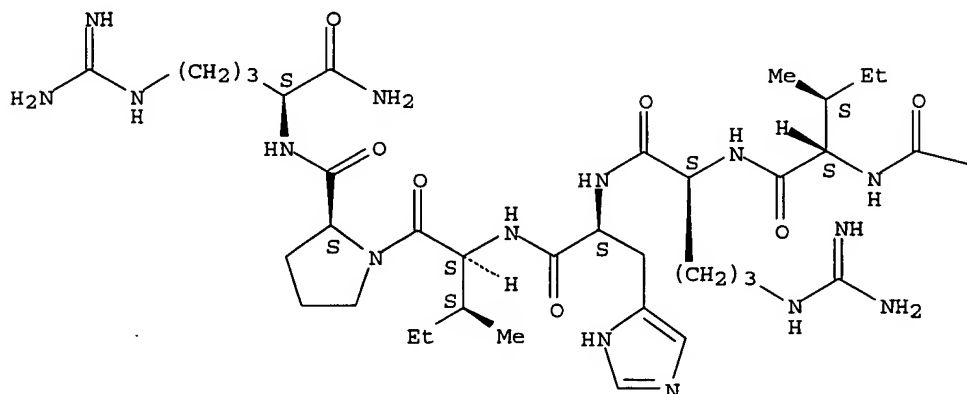
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Source	Reference
Not Given	US2004058881 claimed PAGE 84

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 SR CA

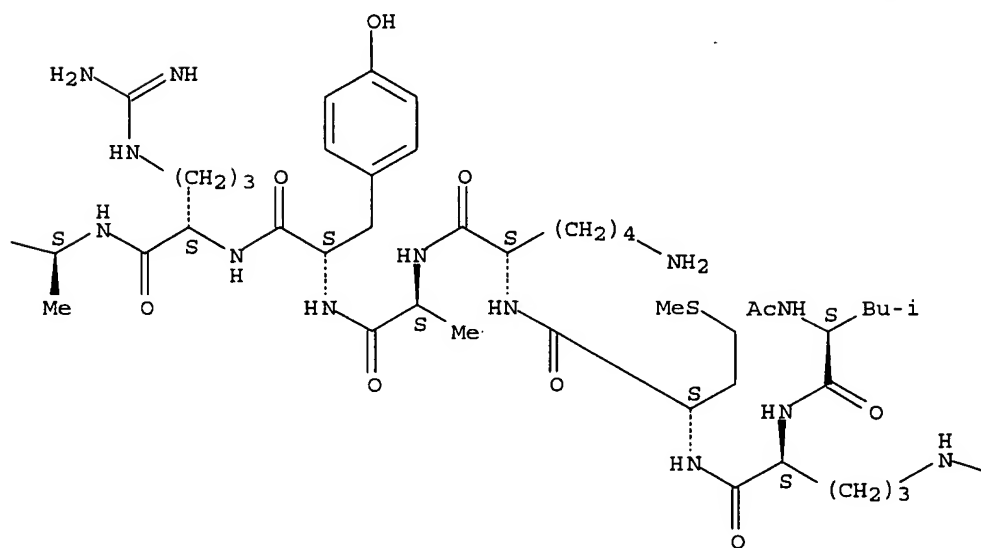
Search done by Noble Jarrell

Absolute stereochemistry.

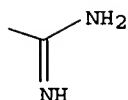
PAGE 1-A



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PAGE 1-C



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 2 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 636593-89-0 REGISTRY  
 CN L-Argininamide, N-acetyl-L-leucyl-L-arginyl-L-methionyl-L-lysyl-5-aminopentanoyl-L-tyrosyl-L-arginyl-L-alanyl-L-isoleucyl-L-arginyl-L-histidyl-L-isoleucyl-L-prolyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 775: PN: US20040058881 PAGE: 84 claimed protein

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 14

NTE modified

type	location	description
terminal mod.	Leu-1	N-acetyl
terminal mod.	Arg-14	C-terminal amide
uncommon	Oaa-5	-

PATENT ANNOTATIONS (PNTE):

Sequence	Patent
Source	Reference
Not Given	US2004058881 claimed PAGE 84

SEQ 1 LRMKXYRAIR HIPR

MF C83 H144 N30 O16 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Journal; Patent

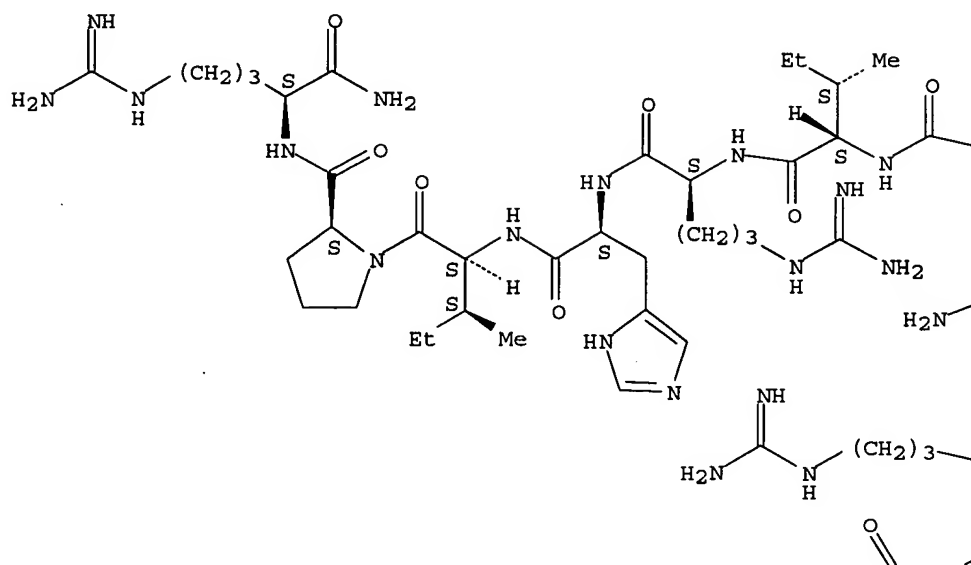
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 (Uses)

Search done by Noble Jarrell

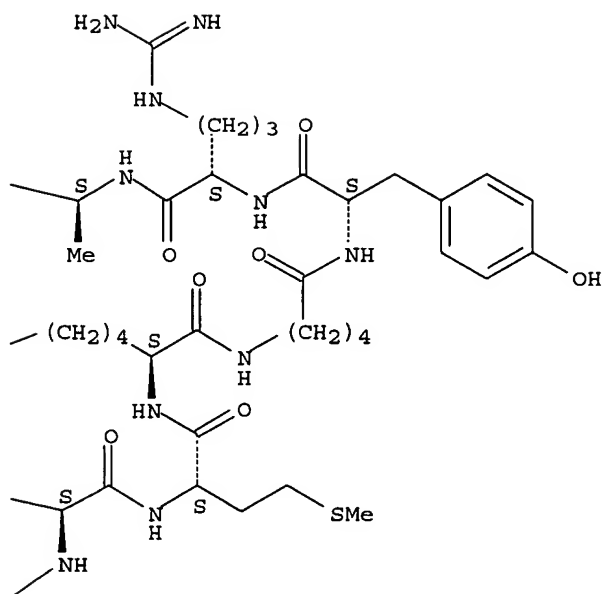
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Absolute stereochemistry.

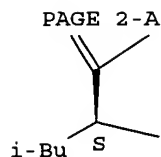
PAGE 1-A



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PAGE 2-B

NHAc

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 3 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 636593-88-9 REGISTRY

CN L-Argininamide, N-acetyl-L-leucyl-L-arginyl-L-methionyl-L-lysyl-5-aminopentanoyl-L-alanyl-L-tyrosyl-L-arginyl-L-alanyl-L-isoleucyl-L-arginyl-L-histidyl-L-isoleucyl-L-prolyl- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 774: PN: US20040058881 PAGE: 84 claimed protein

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 15

NTE modified

type	location	description
terminal mod.	Leu-1	N-acetyl
terminal mod.	Arg-15	C-terminal amide
uncommon	Oaa-5	-

PATENT ANNOTATIONS (PNTE):

Sequence | Patent

Source | Reference

=====+=====

Not Given | US2004058881

| claimed PAGE

| 84

SEQ 1 LRMKXAYRAI RHIPR

MF C86 H149 N31 O17 S

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES (Uses)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 4 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 636593-87-8 REGISTRY  
CN L-Argininamide, N-acetyl-L-leucyl-L-arginyl-L-methionyl-L-lysyl-5-aminopentanoyl-5-aminopentanoyl-L-alanyl-L-tyrosyl-L-arginyl-L-alanyl-L-isoleucyl-L-arginyl-L-histidyl-L-isoleucyl-L-prolyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 773: PN: US20040058881 PAGE: 84 claimed protein  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 16  
NTE modified

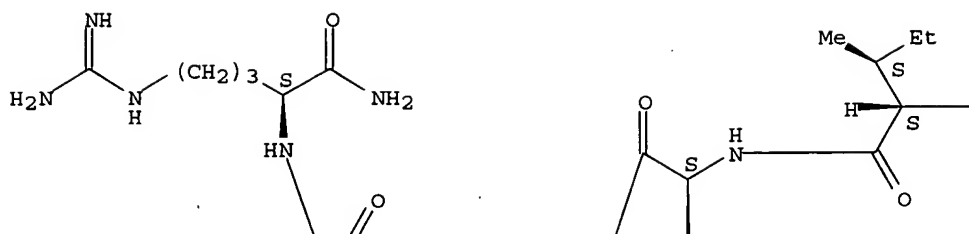
type	location	description
terminal mod.	Leu-1	N-acetyl
terminal mod.	Arg-16	C-terminal amide
uncommon	Oaa-5	-
uncommon	Oaa-6	-

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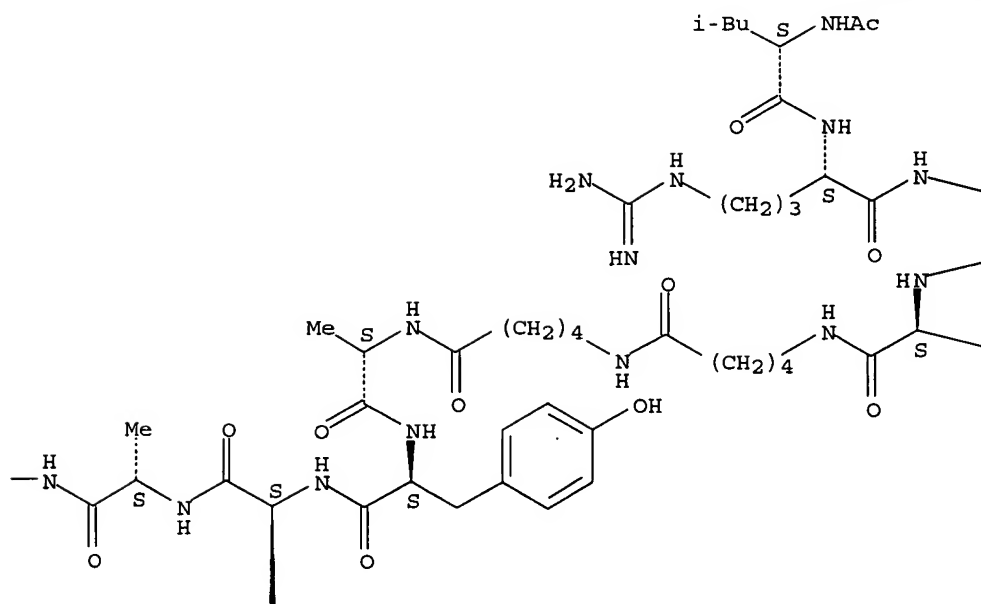
Sequence	Patent
Source	Reference
Not Given	US2004058881
	claimed PAGE
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SEQ 1 LRMKXXAYRA IRHIPR  
MF C91 H158 N32 O18 S  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA CAplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES (Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PRP (Properties)  
Absolute stereochemistry.

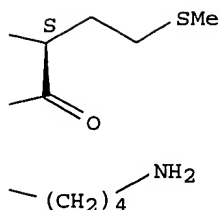
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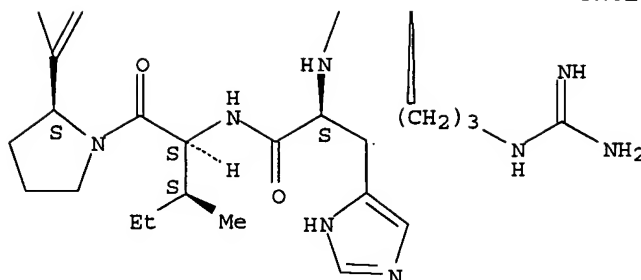
PAGE 1-B



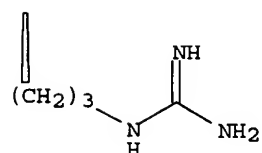
PAGE 1-C



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PAGE 2-B



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 5 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 586954-22-5 REGISTRY  
 CN Peptide nucleic acid, ([ (9H-fluoren-9-ylmethoxy) carbonyl] - [(4R)-1-(2-aminoethyl)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-Pro] 10) - Lys-NH<sub>2</sub> (9CI) (CA INDEX NAME)  
 FS NUCLEIC ACID SEQUENCE; STEREOSEARCH  
 SQL 10  
 NA 10 t  
 NTE modified

type	location	description
modified base	t-1	modified thymidine
modified base	t-1	5'-substituted

Search done by Noble Jarrell

modified base	t-2	modified thymidine
modified base	t-3	modified thymidine
modified base	t-4	modified thymidine
modified base	t-5	modified thymidine
modified base	t-6	modified thymidine
modified base	t-7	modified thymidine
modified base	t-8	modified thymidine
modified base	t-9	modified thymidine
modified base	t-10	modified thymidine
modified base	t-10	3'-deoxy
modified base	t-10	3'-nh2

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MF C141 H185 N43 O33

SR CA

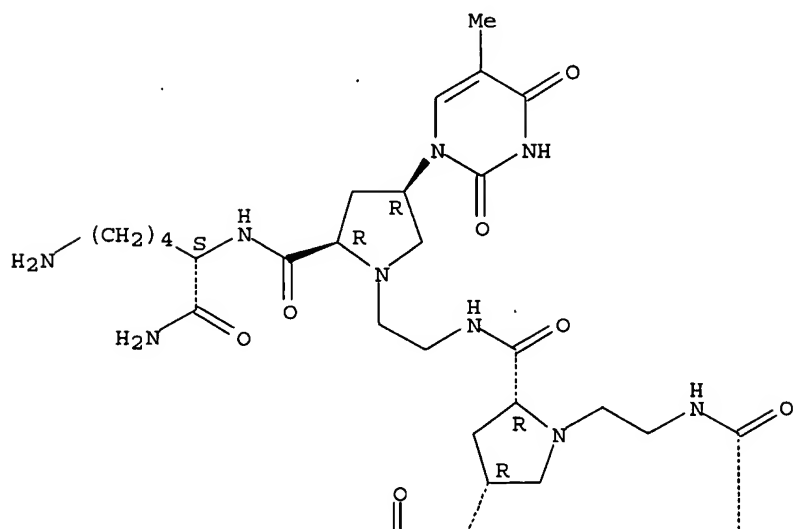
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

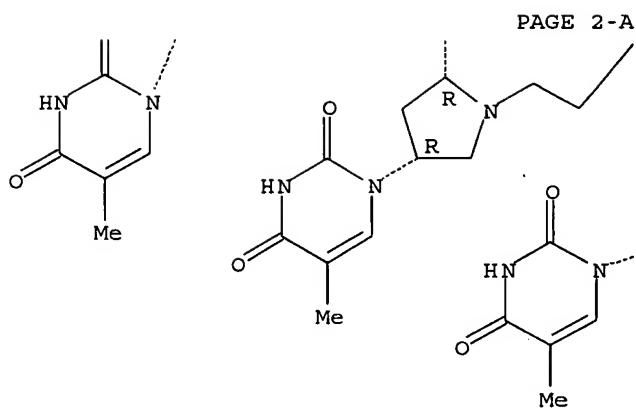
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

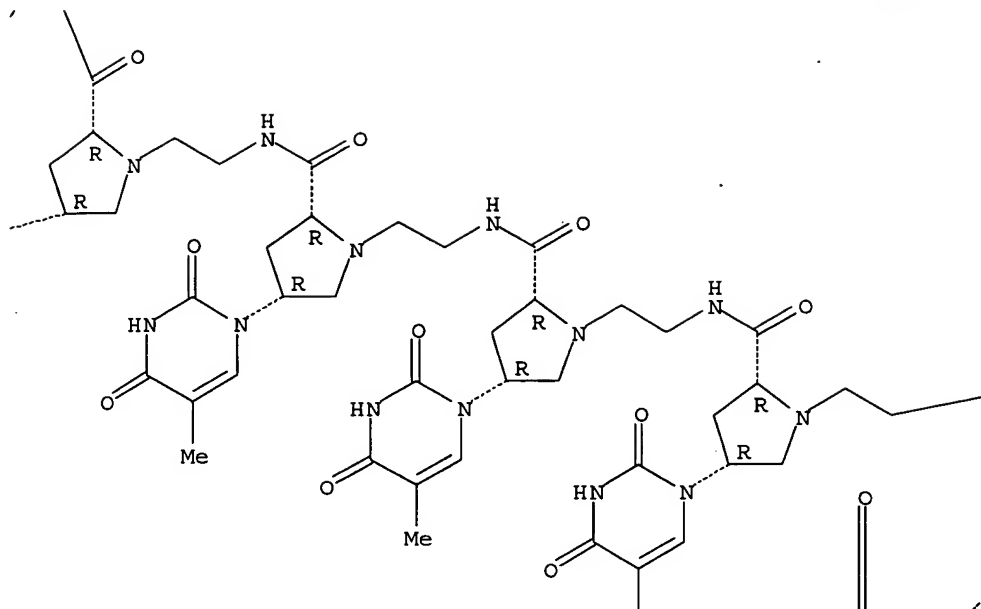
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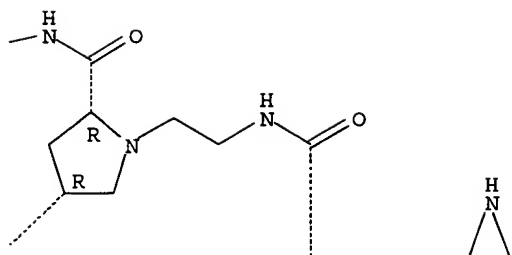
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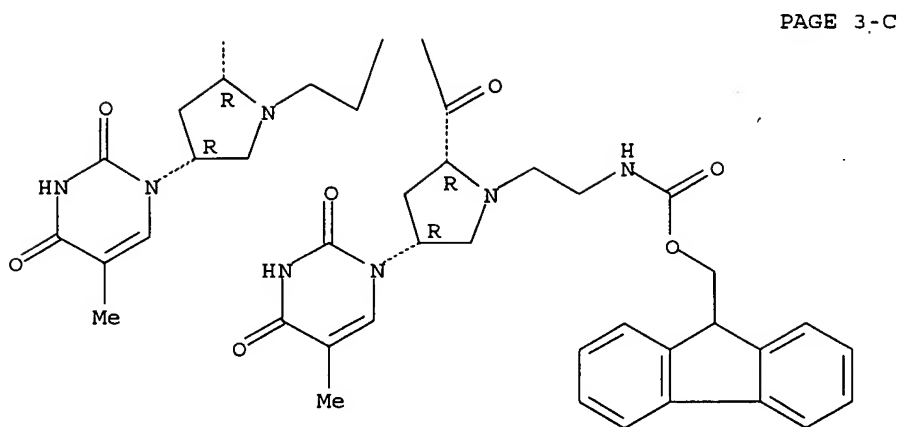
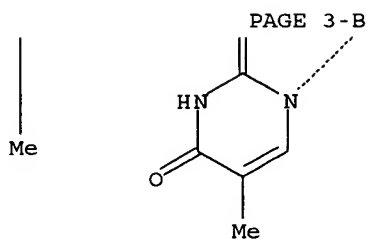
PAGE 2-B



PAGE 2-C







\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 6 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 586954-19-0 REGISTRY  
CN Peptide nucleic acid, (H-[(4R)-1-(2-aminoethyl)-4-(3,4-dihydro-5-methyl-2,4-dioxo-1(2H)-pyrimidinyl)-D-Pro]10)-Lys-NH2 (9CI) (CA INDEX NAME)  
FS NUCLEIC ACID SEQUENCE; STEREOSEARCH  
SQL 10  
NA 10 t  
NTE modified

type	location	description
modified base	t-1	modified thymidine
modified base	t-2	modified thymidine
modified base	t-3	modified thymidine
modified base	t-4	modified thymidine
modified base	t-5	modified thymidine
modified base	t-6	modified thymidine
modified base	t-7	modified thymidine
modified base	t-8	modified thymidine
modified base	t-9	modified thymidine
modified base	t-10	modified thymidine
modified base	t-10	3'-deoxy
modified base	t-10	3'-nh2

SEQ 1 tttttttttt

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

MF C126 H175 N43 O31

CI COM

SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA CAPLUS document type: Patent

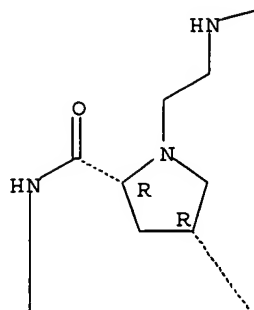
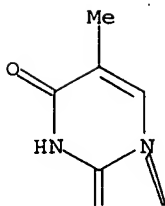
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

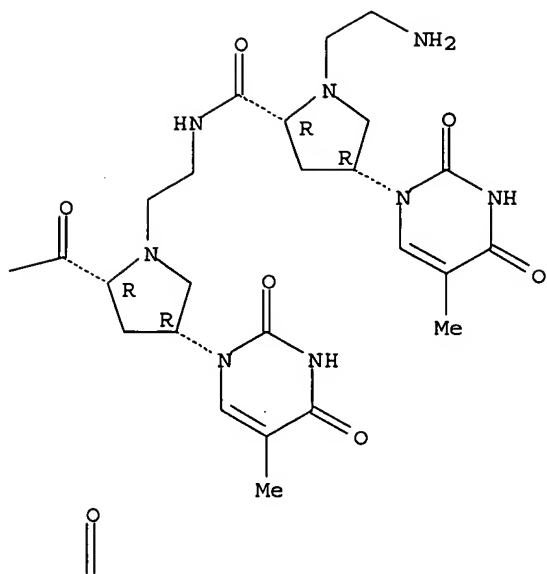
PAGE 1-A

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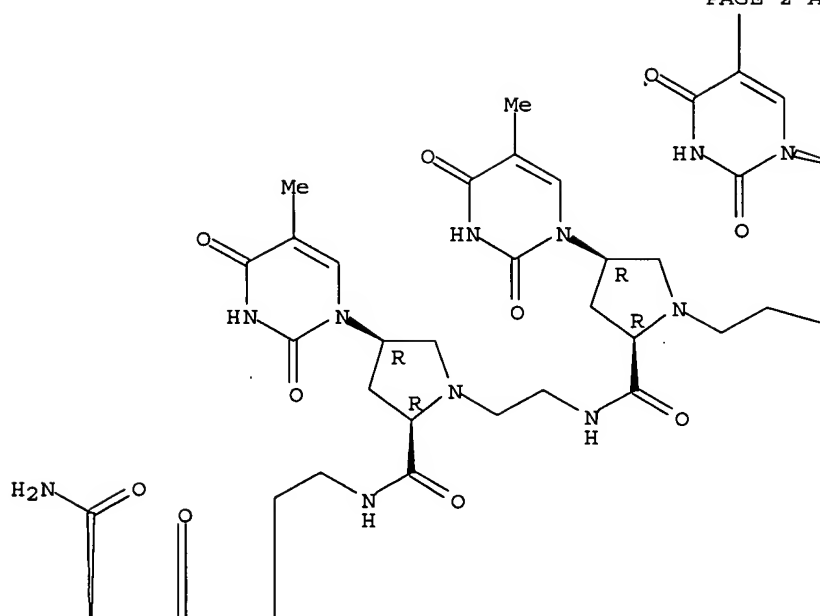
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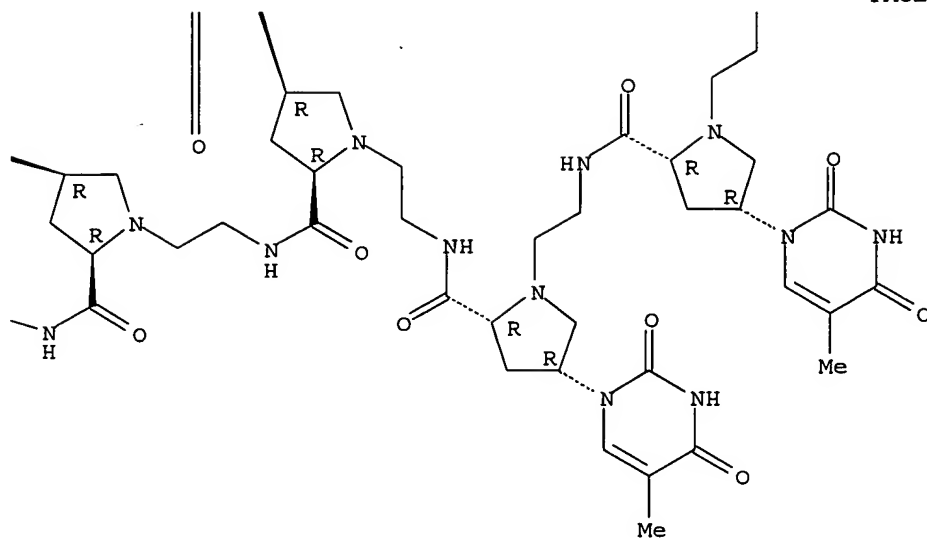
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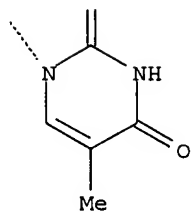
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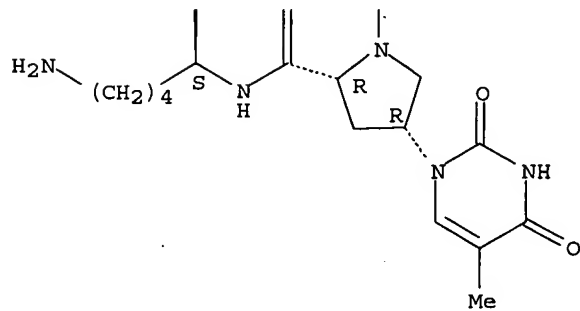
PAGE 2-B



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PAGE 3-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 7 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 544448-59-1 REGISTRY  
CN L-Lysinamide, N-acetyl-L-valyl-D-isoleucyl-L-threonyl-L-norvalyl-L-isoleucyl-L-arginyl-L-prolyl-N6-acetyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

Search done by Noble Jarrell

FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location		description
terminal mod.	Val-1	-	N-acetyl
terminal mod.	Lys-8	-	C-terminal amide
uncommon	Nva-4	-	-
modification	-	-	undetermined modification
modification	Lys-8	-	acetyl<Ac>

SEQ 1 VITXIRPK

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C47 H85 N13 O11 . C2 H F3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

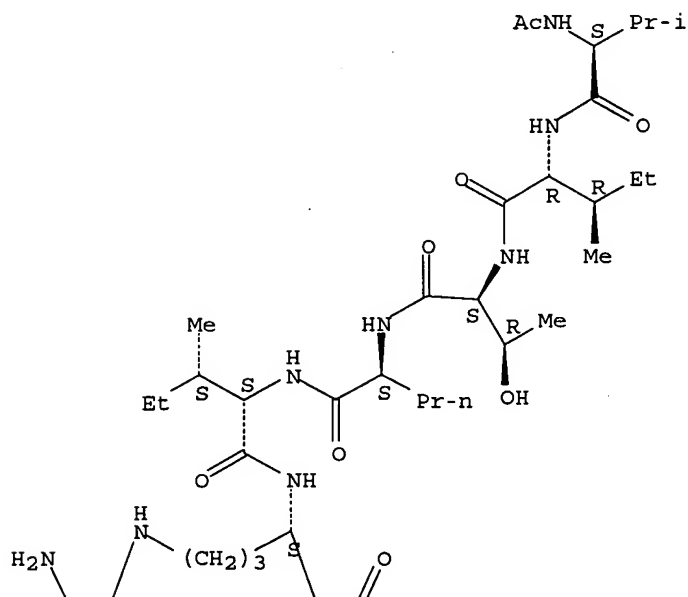
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CRN 544448-58-0

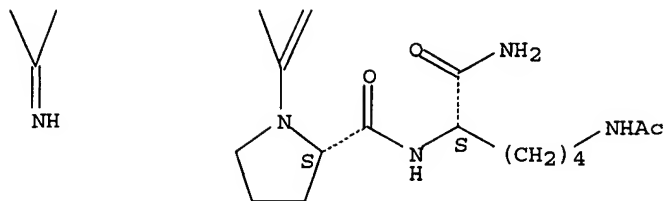
CMF C47 H85 N13 O11

Absolute stereochemistry.

PAGE 1-A



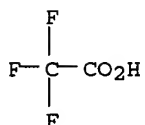
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 8 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 544448-58-0 REGISTRY

CN L-Lysinamide, N-acetyl-L-valyl-D-isoleucyl-L-threonyl-L-norvalyl-L-isoleucyl-L-arginyl-L-prolyl-N6-acetyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Val-1	N-acetyl
terminal mod.	Lys-8	C-terminal amide
uncommon	Nva-4	-
modification	Lys-8	acetyl<Ac>

SEQ 1 VITXIRPK

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C47 H85 N13 O11

CI COM

SR CA

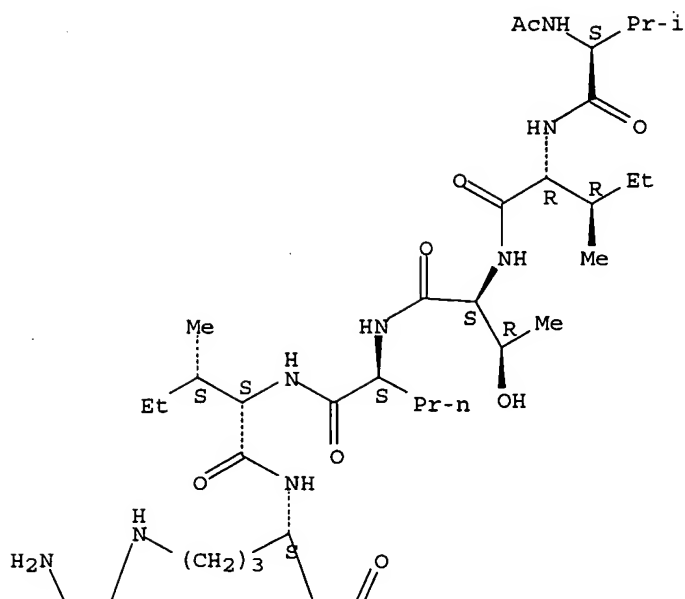
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Cplus document type: Patent

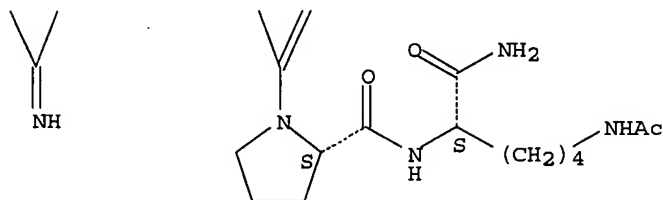
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 9 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 540737-98-2 REGISTRY  
 CN L-Argininamide, N2-acetyl-L-glutaminyl-L-valyl-D-isoleucyl-L-threonyl-L-norvalyl-L-prolyl-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified

type	location	description
terminal mod.	Gln-1	N-acetyl
uncommon	Nva-5	-
modification	-	undetermined modification

SEQ 1 QVITXPR

Search done by Noble Jarrell

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C40 H72 N12 O10 . C2 H F3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Patent

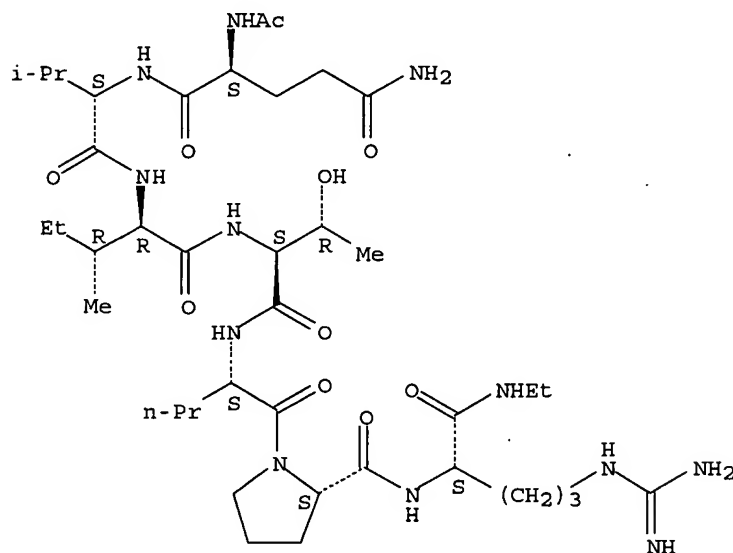
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

CM 1

CRN 521943-71-5

CMF C40 H72 N12 O10

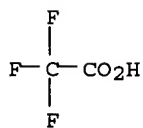
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 10 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 539853-66-2 REGISTRY

CN L-Argininamide, N-acetyl-L-tryptophyl-L-prolyl-N-ethyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 75: PN: US20030109456 SEQID: 75 claimed sequence.

FS STEREOSEARCH

MF C26 H38 N8 O4 . C2 H F3 O2

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Search done by Noble Jarrell

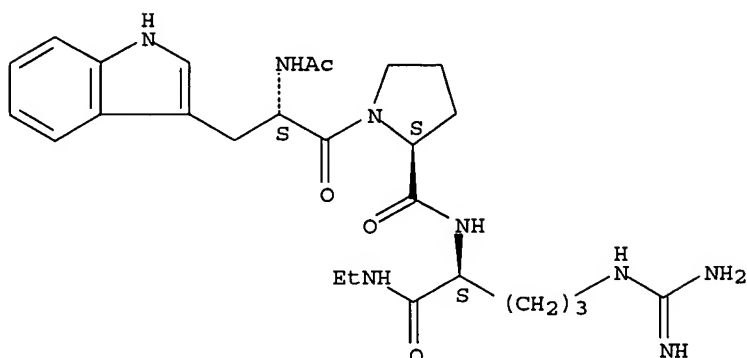


DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP  
 (Properties); USES (Uses)

CM 1

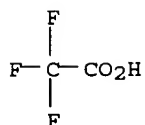
CRN 521292-36-4  
 CMF C26 H38 N8 O4

Absolute stereochemistry.



CM 2

CRN 76-05-1  
 CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 11 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 522609-87-6 REGISTRY  
 CN D-Lysinamide, N-acetyl-D-isoleucyl-L-threonyl-L-norvalyl-L-isoleucyl-L-  
 arginyl-L-prolyl-N6-acetyl-, mono(trifluoroacetate) (salt) (9CI) (CA  
 INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified

type	location	description
terminal mod.	Ile-1	N-acetyl
terminal mod.	Lys-7	C-terminal amide
uncommon	Nva-3	-
modification	-	undetermined modification
modification	Lys-7	acetyl<Ac>

SEQ 1 ITXIRPK

\*\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*  
 MF C42 H76 N12 O10 . C2 H F3 O2

Search done by Noble Jarrell

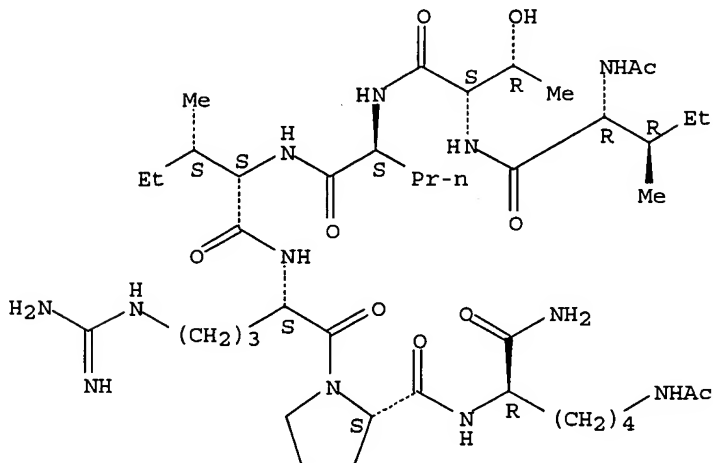
SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

CM 1

CRN 522609-86-5

CMF C42 H76 N12 O10

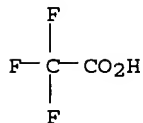
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 12 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 522609-86-5 REGISTRY

CN D-Lysinamide, N-acetyl-D-isoleucyl-L-threonyl-L-norvalyl-L-isoleucyl-L-arginyl-L-prolyl-N6-acetyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 7

NTE modified

type	location	description
terminal mod.	Ile-1	N-acetyl
terminal mod.	Lys-7	C-terminal amide
uncommon	Nva-3	-

Search done by Noble Jarrell

modification      Lys-7                      -                      acetyl<Ac>

-----

SEQ            1 ITXIRPK

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

MF    C42 H76 N12 O10

CI    COM

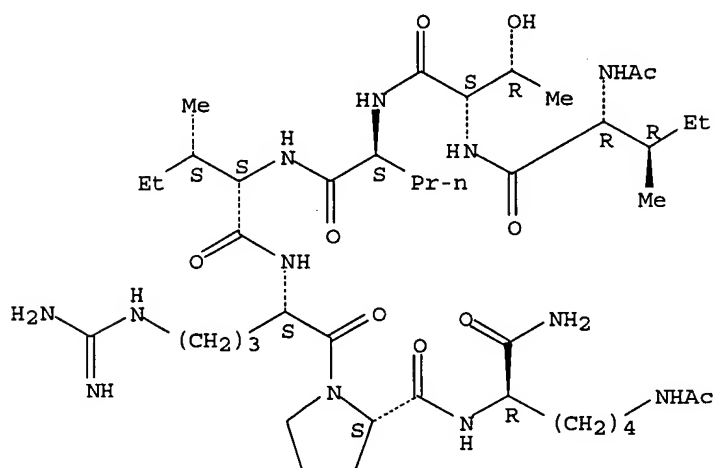
SR    CA

LC    STN Files:    CA, CAPLUS, USPATFULL

DT.CA    Caplus document type: Patent

RL.P    Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.



**\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\***

3 REFERENCES IN FILE CA (1907 TO DATE)

3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53    ANSWER 13 OF 63    REGISTRY    COPYRIGHT 2005 ACS on STN

RN    521943-71-5    REGISTRY

CN    L-Argininamide, N2-acetyl-L-glutaminy-L-valyl-D-isoleucyl-L-threonyl-L-norvalyl-L-prolyl-N-ethyl- (9CI)    (CA INDEX NAME)

FS    PROTEIN SEQUENCE; STEREOSEARCH

SQL    7

NTE    modified

type	location	description
terminal mod.	Gln-1	N-acetyl
uncommon	Nva-5	-

SEQ            1 QVITXPR

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

MF    C40 H72 N12 O10

CI    COM

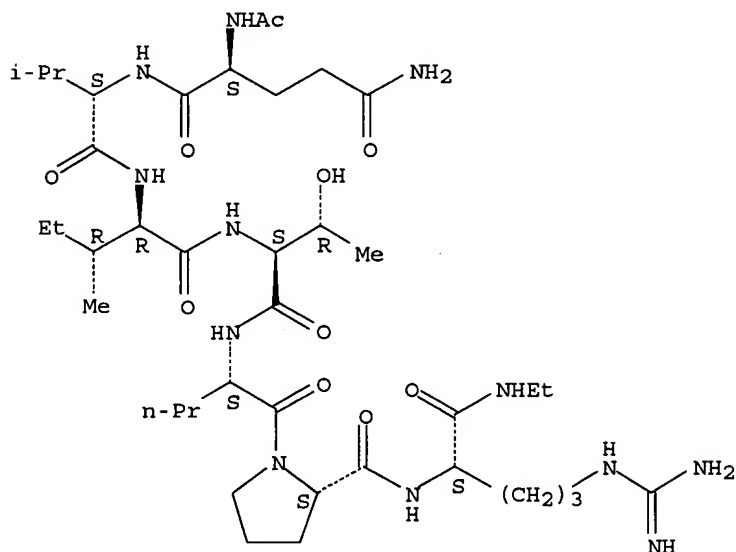
SR    CA

LC    STN Files:    CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA    Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

Absolute stereochemistry.

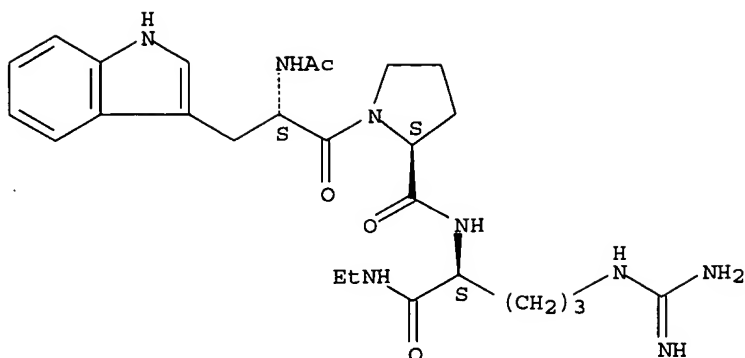


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3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 14 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 521292-36-4 REGISTRY  
CN L-Argininamide, N-acetyl-L-tryptophyl-L-prolyl-N-ethyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 38: PN: US20030109456 SEQID: 38 claimed sequence  
FS STEREOSEARCH  
MF C26 H38 N8 O4  
CI COM  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

Absolute stereochemistry.

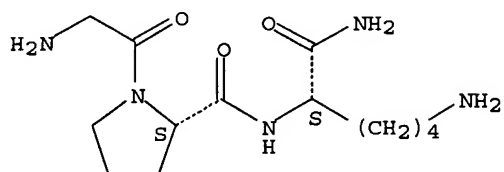


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2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 15 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 502620-59-9 REGISTRY  
CN L-Lysinamide, glycy-L-prolyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 13: PN: US20030060599 PAGE: 15 claimed sequence  
FS STEREOSEARCH  
MF C13 H25 N5 O3  
SR CA  
LC STN Files: CA, CAPLUS, USPAT2, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES (Uses)

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 16 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 282096-82-6 REGISTRY  
CN L-Lysinamide, N-acetyl-L-threonyl-L-threonyl-L-serylglycyl-L-isoleucyl-L-histidyl-L-prolyl- (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN 2: PN: WO0042069 SEQID: 18 claimed protein  
CN Ac-Thr-Thr-Ser-Gly-Ile-His-Pro-Lys-NH2  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 8  
NTE modified

type	location	description
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terminal mod.	Thr-1	-	N-acetyl
terminal mod.	Lys-8	-	C-terminal amide

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## PATENT ANNOTATIONS (PNTE):

Sequence	Patent
Source	Reference
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Not Given	WO2000042069
	claimed
	SEQID 18

SEQ 1 TTSGIHPK

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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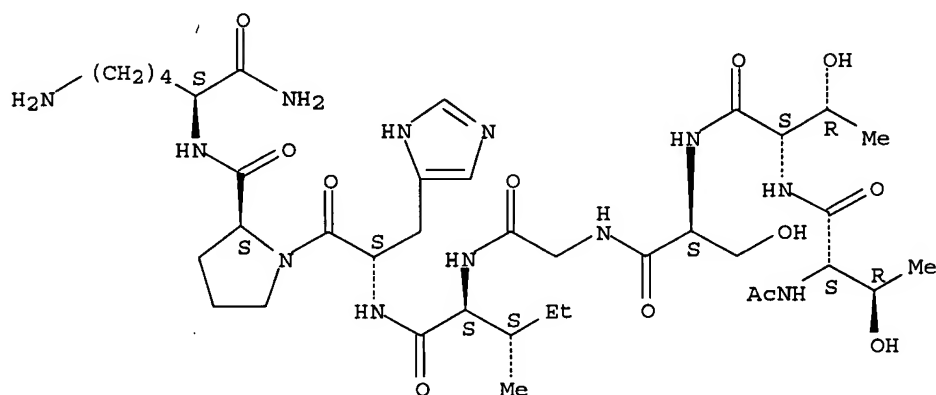
SR CA

LC STN Files: CA, CAPLUS, USPAT2, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PRP (Properties); USES (Uses)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 17 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 226714-20-1 REGISTRY

CN L-Argininamide, L-α-aspartyl-L-seryl-L-asparaginyl-L-prolyl- (9CI)  
(CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 5

NTE modified

type	-----	location	-----	description
terminal mod.	Arg-5	-		C-terminal amide

-----

SEQ 1 DSNPR

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C22 H38 N10 O9

SR CA

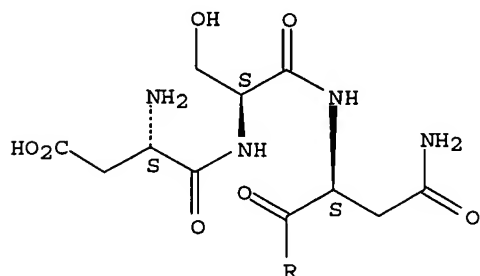
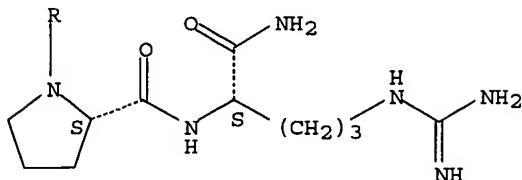
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES

(Uses)

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 18 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 226714-12-1 REGISTRY  
 CN L-Argininamide, L- $\alpha$ -aspartyl-L-seryl-L- $\alpha$ -aspartyl-L-prolyl-  
 (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 5  
 NTE modified

type	location	description
terminal mod.	Arg-5	C-terminal amide

SEQ 1 DSDPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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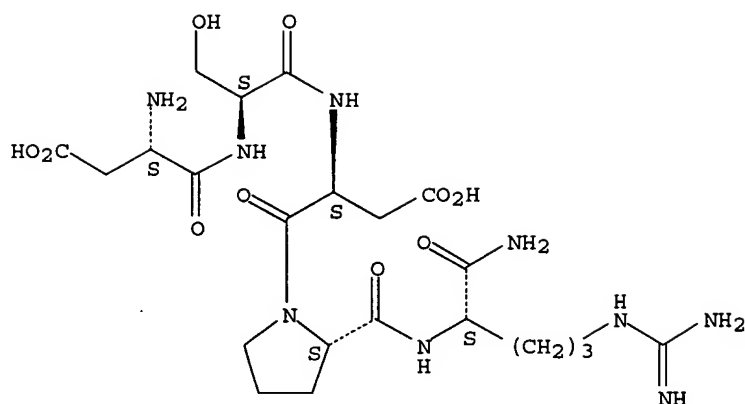
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES  
 (Uses)

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 19 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 217433-25-5 REGISTRY  
CN D-Argininamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-histidyl-D-arginyl-D-tryptophyl-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 10  
NTE modified

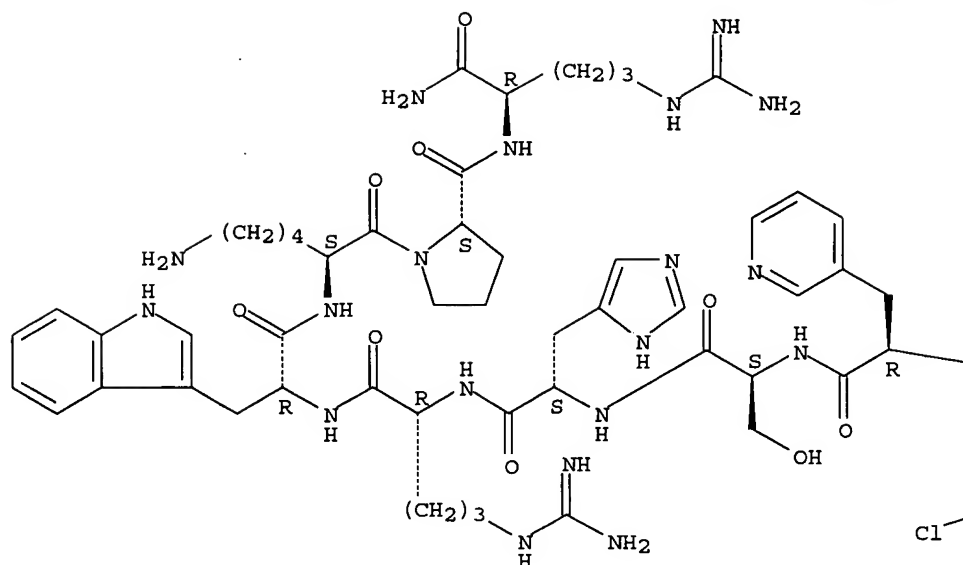
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terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Arg-10	-	C-terminal amide
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>

SEQ 1 AFASHRWKPR  
MF C75 H97 Cl N22 O12  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA CPlus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); USES (Uses)

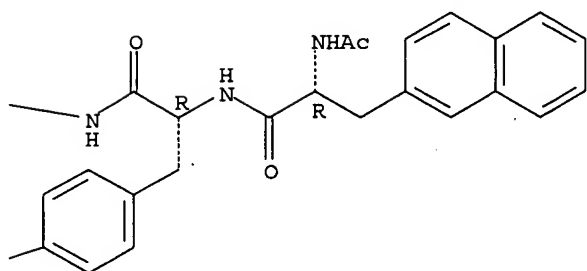
Absolute stereochemistry.



PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 20 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 212955-65-2 REGISTRY  
 CN L-Argininamide, N-acetyl-L-phenylalanyl-L-tyrosyl-L-arginyl-L-alanyl-L-  
 α-aspartyl-L-glutaminyl-L-prolyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location	description
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Search done by Noble Jarrell

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-----
terminal mod.   Phe-1           -           N-acetyl
terminal mod.   Arg-8           -           C-terminal amide
-----

```

SEQ 1 FYRADQPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C49 H72 N16 O13

SR CA

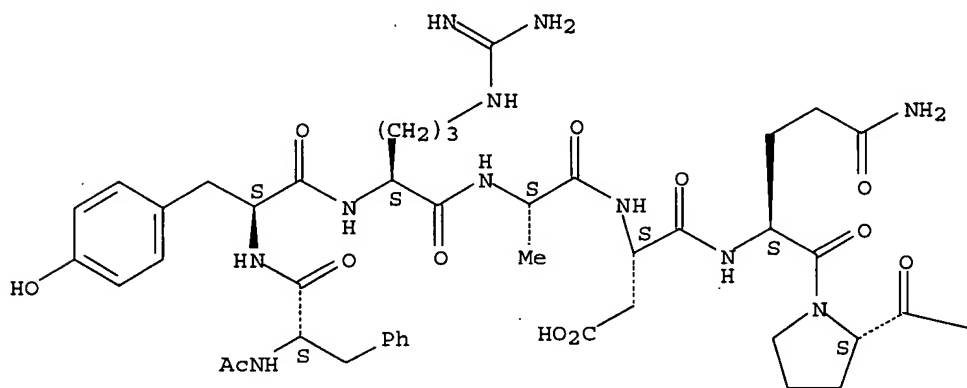
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DT.CA Caplus document type: Patent

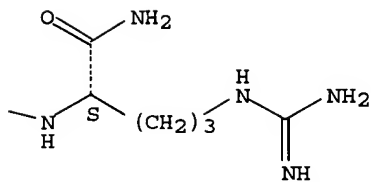
RL.P Roles from patents: ANST (Analytical study); PRP (Properties); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 21 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 209595-31-3 REGISTRY

CN L-Argininamide, L-threonyl-L-arginylglycyl-L-methionyl-L-tyrosyl-L-alanyl-L-cysteinyl-L-histidyl-L-methionylglycyl-L-prolyl-L-glutaminyl-L-threonyl-L-tryptophyl-L-valyl-L-cysteinyl-L-arginyl-L-prolyl-L-threonyl-L-

Search done by Noble Jarrell

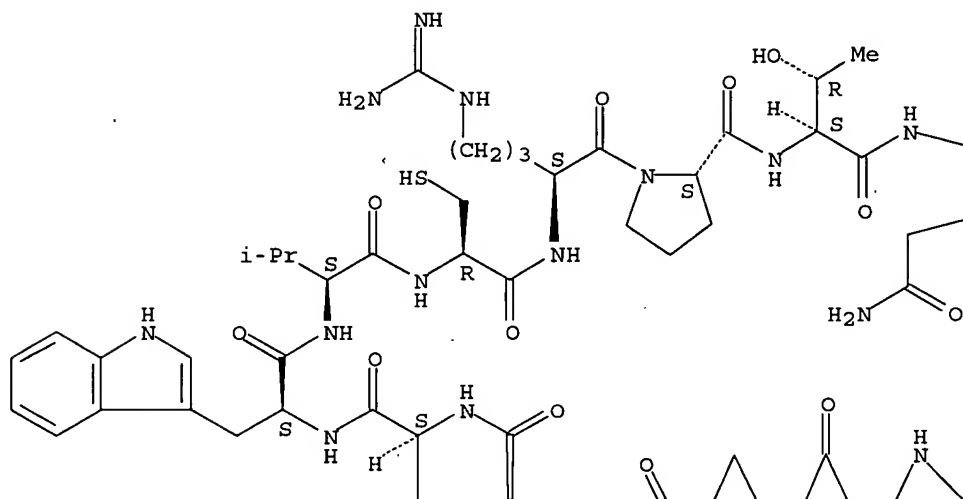
glutaminyl-L-prolyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 22  
 NTE modified

type	location	description
terminal mod.	Arg-22	C-terminal amide

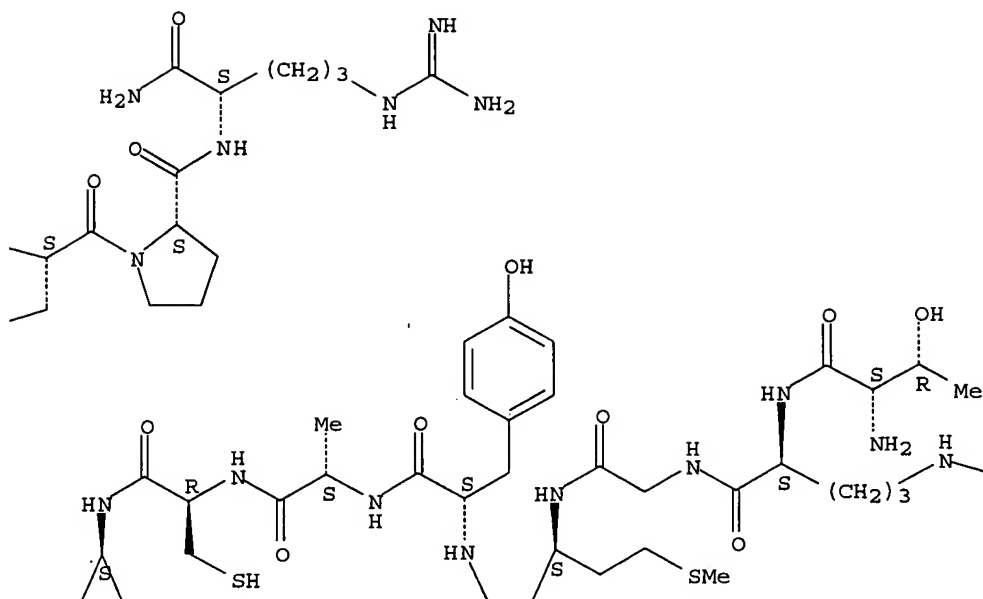
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 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PRP (Properties)

Absolute stereochemistry.

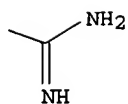
PAGE 1-A

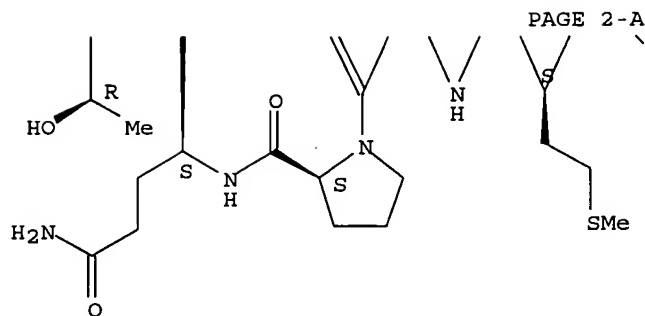


PAGE 1-B

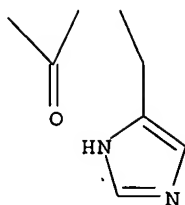


PAGE 1-C





PAGE 2-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

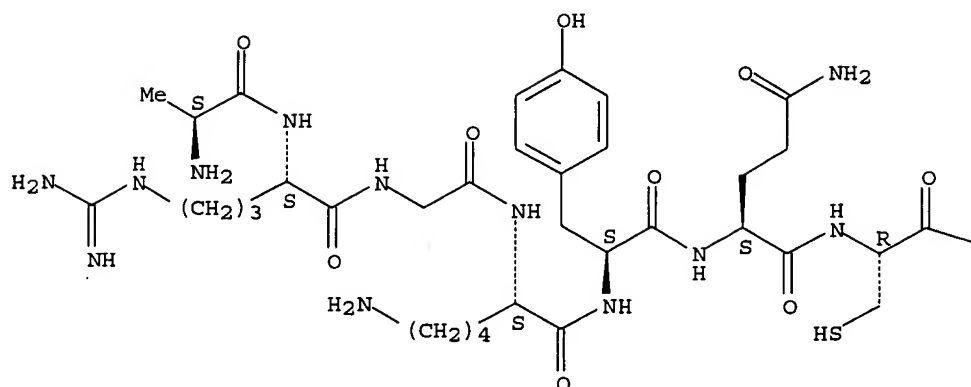
L53 ANSWER 22 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 209595-18-6 REGISTRY  
CN L-Argininamide, L-alanyl-L-arginylglycyl-L-lysyl-L-tyrosyl-L-glutaminyl-L-cysteinyl-L-glutaminyl-L-phenylalanyl-glycyl-L-prolyl-L-leucyl-L-threonyl-L-tryptophyl-L- $\alpha$ -glutamyl-L-cysteinyl-L-leucyl-L-prolyl-L-isoleucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 22  
NTE modified

type	location	description
terminal mod.	Arg-22	C-terminal amide

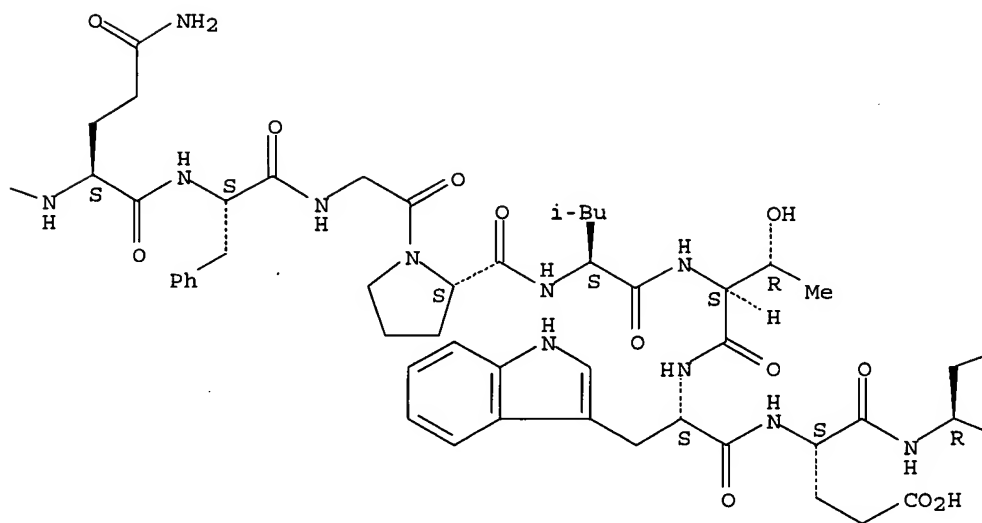
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MF C118 H184 N36 O28 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA CAplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); PRP (Properties)

Absolute stereochemistry.

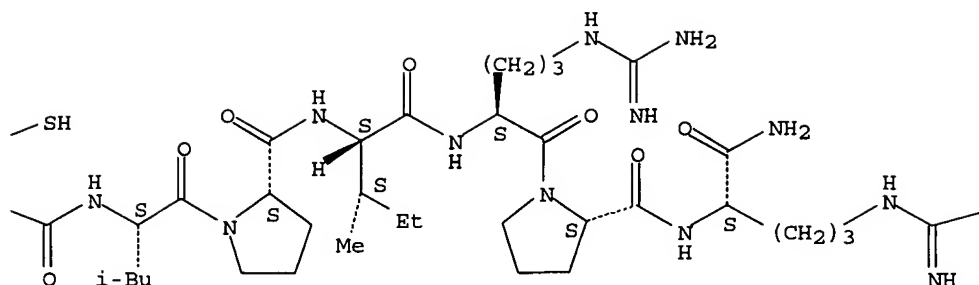
PAGE 1-A



PAGE 1-B



PAGE 1-C



PAGE 1-D

—NH<sub>2</sub>

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 23 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
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 threonyl-L-tryptophyl-L-valyl-L-cysteinyl-L-arginyl-L-seryl-L-seryl-L-  
 lysyl-L-prolyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 22  
 NTE modified

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 type                    location                    description

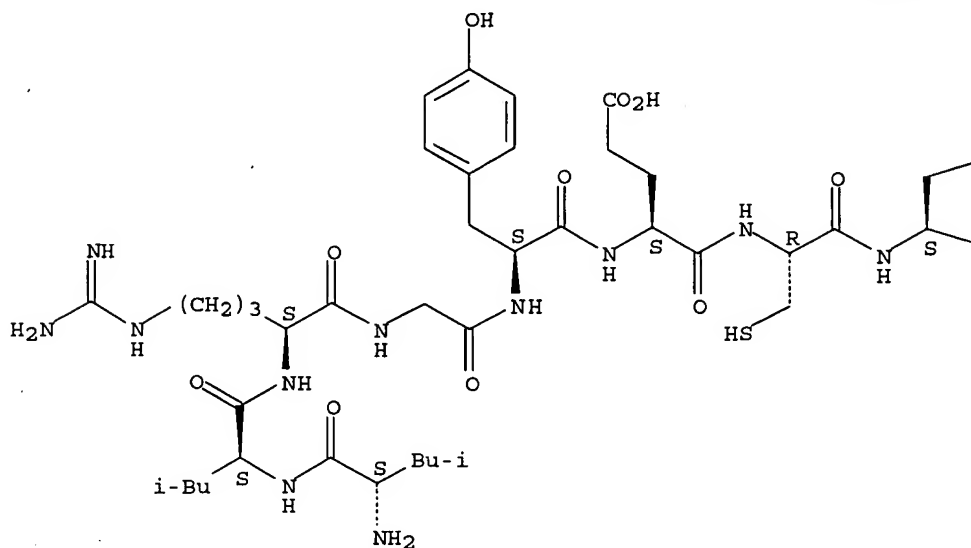
Search done by Noble Jarrell

-----  
 terminal mod.    Arg-22                    -                    C-terminal amide  
 -----

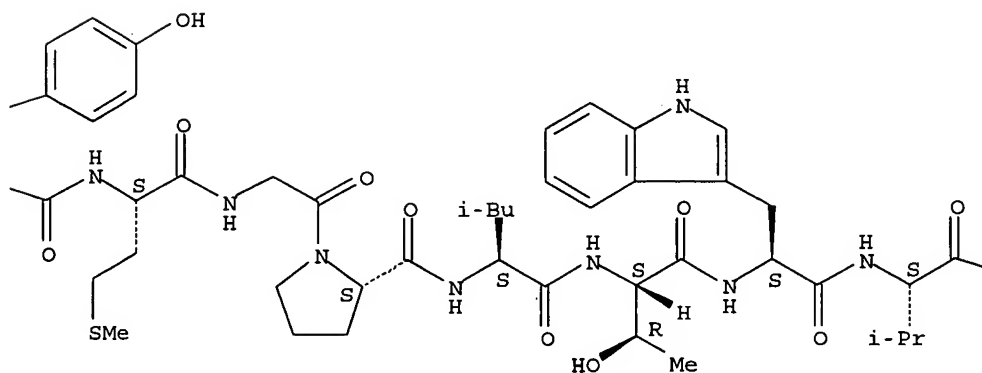
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 MF    C116 H184 N34 O29 S3  
 SR    CA  
 LC    STN Files:    CA, CAPLUS, USPATFULL  
 DT.CA    Caplus document type: Patent  
 RL.P    Roles from patents: BIOL (Biological study); PRP (Properties)

Absolute stereochemistry.

PAGE 1-A

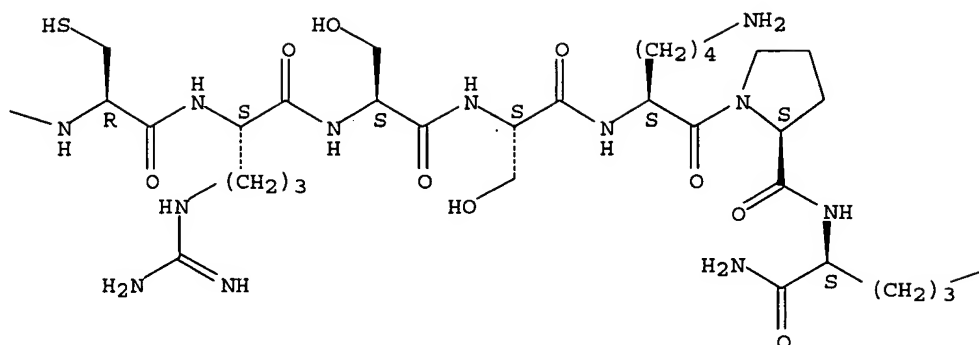


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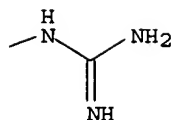




PAGE 1-C



PAGE 1-D



1 REFERENCES IN FILE CA (1907 TO DATE) .  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 24 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 186654-70-6 REGISTRY  
 CN L-Argininamide, L-tryptophyl-L-tryptophyl-L-prolyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 4  
 NTE modified

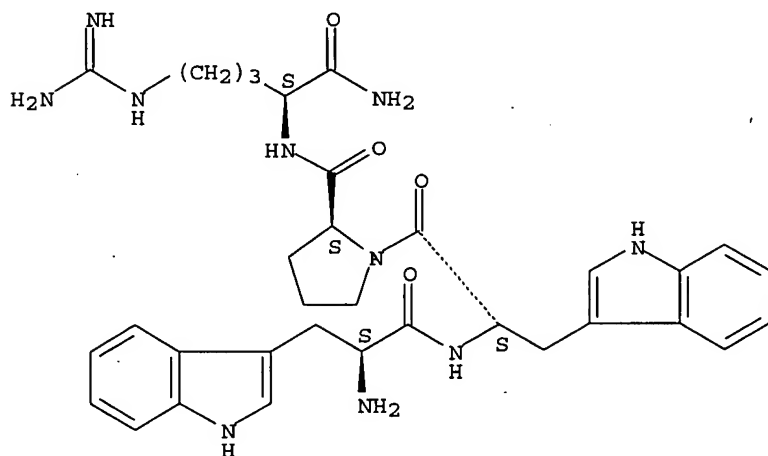
type	location	description
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Search done by Noble Jarrell

terminal mod. Arg-4 - C-terminal amide

SEQ 1 WWPR  
 MF C33 H42 N10 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PROC (Process); PRP  
 (Properties); USES (Uses)

Absolute stereochemistry.



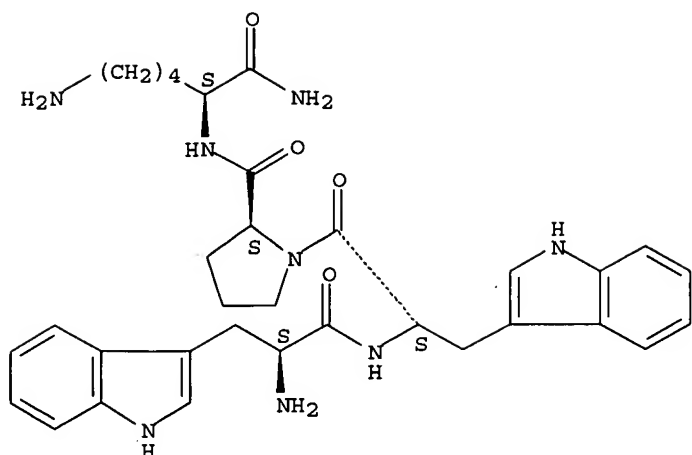
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 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 25 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 186654-69-3 REGISTRY  
 CN L-Lysinamide, L-tryptophyl-L-tryptophyl-L-prolyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 4  
 NTE modified

type	location	description
terminal mod.	Lys-4	C-terminal amide

SEQ 1 WWPK  
 MF C33 H42 N8 O4  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PROC (Process); PRP  
 (Properties); USES (Uses)

Absolute stereochemistry.



3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

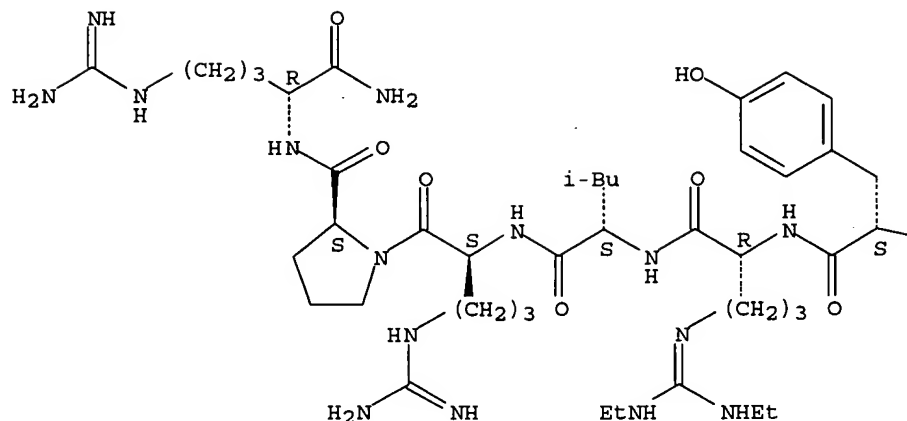
L53 ANSWER 26 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 184686-56-4 REGISTRY  
CN D-Argininamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-N5-[bis(ethylamino)methylene]-D-ornithyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 10  
NTE modified

type	location	description
terminal mod.	Ala-1	N-acetyl
terminal mod.	Arg-10	C-terminal amide
modification	Ala-1	2-naphthalenyl<2-Naph>
modification	Phe-2	chloro<Cl>
modification	Arg-6	ethyl<2; Et>

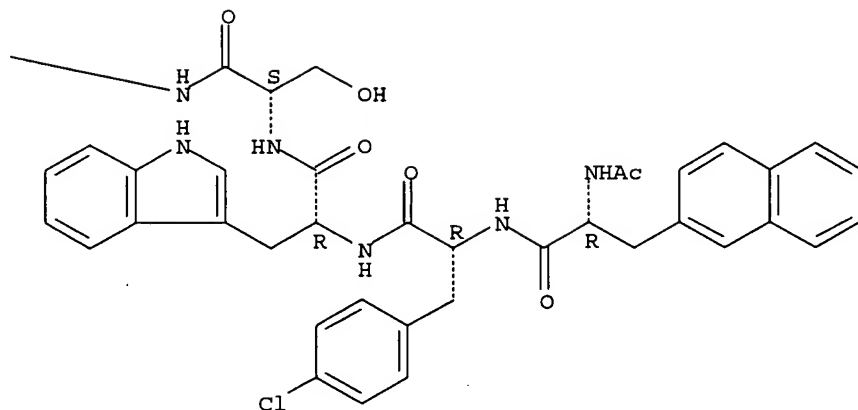
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MF C80 H110 Cl N21 O13  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: BIOL (Biological study); USES (Uses)

Absolute stereochemistry.

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PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 27 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 184686-55-3 REGISTRY  
 CN D-Argininamide, N-acetyl-4-chloro-D-phenylalanyl-4-chloro-D-phenylalanyl-D-tryptophyl-L-seryl-L-tyrosyl-D-arginyl-L-leucyl-L-arginyl-L-prolyl- (9CI)  
 (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 10  
 NTE modified

type	location	description
terminal mod.	Phe-1	N-acetyl

Search done by Noble Jarrell

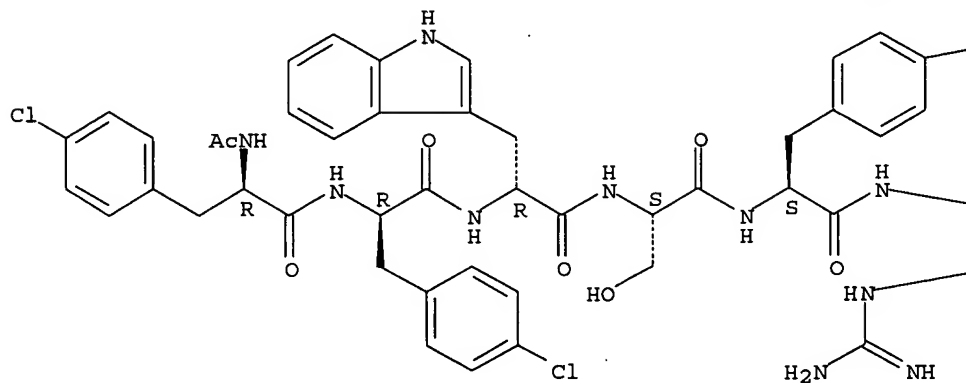
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modification	Phe-1	-	chloro<Cl>
modification	Phe-2	-	chloro<Cl>

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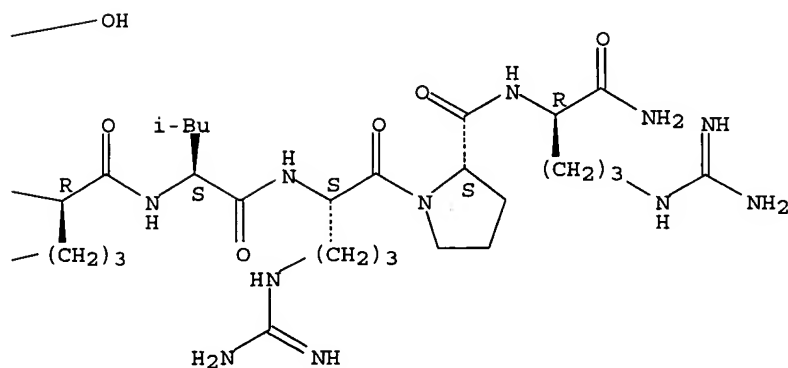
SEQ 1 FFWSYRLRPR  
 MF C72 H99 Cl2 N21 O13  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); USES (Uses)

Absolute stereochemistry.

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PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 28 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 179555-43-2 REGISTRY  
 CN L-Argininamide, glycylglycylglycyl-D-phenylalanyl-L-prolyl- (9CI) (CA  
 INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 6  
 NTE modified

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type	location	description
terminal mod.	Arg-6	C-terminal amide

SEQ 1 GGGFPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C26 H40 N10 O6

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

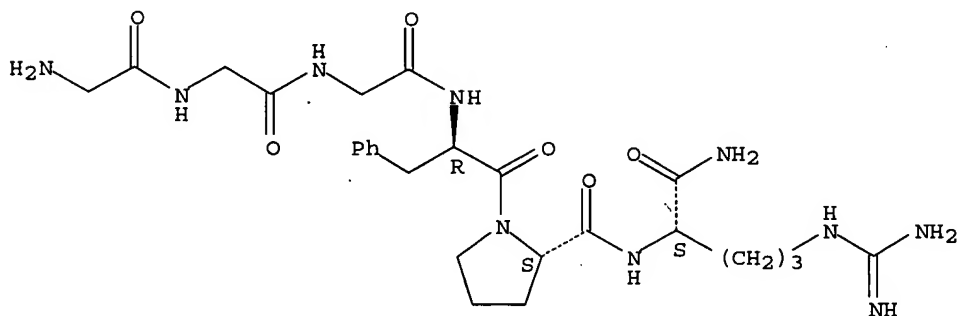
DT.CA CAPLUS document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

RLD.P Roles for non-specific derivatives from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

RLD.NP Roles for non-specific derivatives from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 29 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 162071-80-9 REGISTRY

CN L-Argininamide, N-acetyl-L-threonyl-L-threonyl-L-seryl-L-glutaminyl-L-valyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Thr-1	N-acetyl
terminal mod.	Arg-8	C-terminal amide

SEQ 1 TTSQVRPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C40 H72 N16 O13

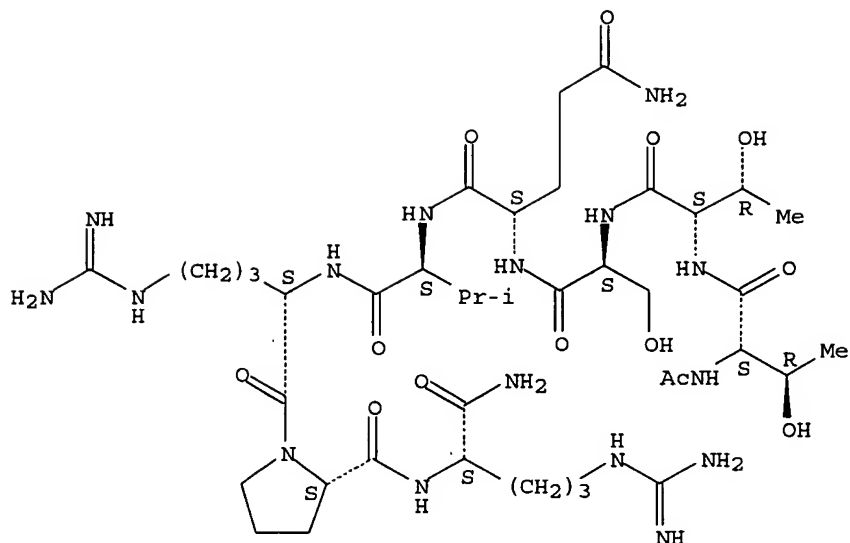
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAPLUS document type: Patent

RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES (Uses)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

LS3 ANSWER 30 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 162071-42-3 REGISTRY  
CN L-Argininamide, L-threonyl-L-threonyl-L-seryl-L-glutaminyl-L-valyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 8  
NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide

SEQ 1 TTSQVRPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C38 H70 N16 O12

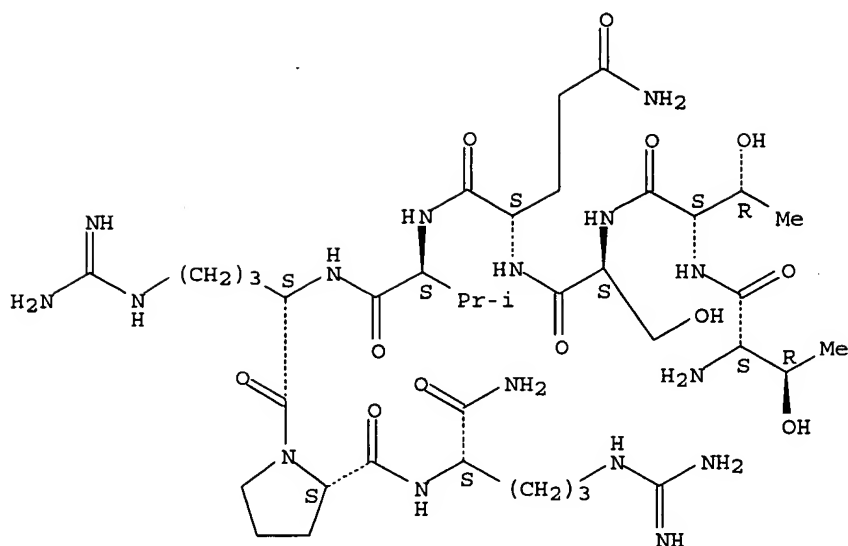
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PRP (Properties); USES (Uses)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
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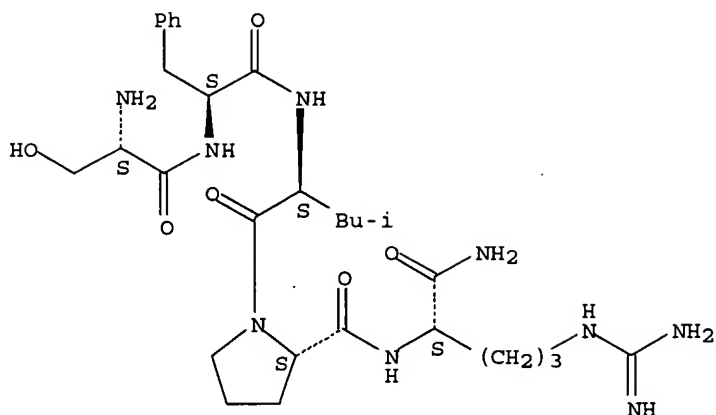
L53 ANSWER 31 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 145230-68-8 REGISTRY  
CN L-Argininamide, L-seryl-L-phenylalanyl-L-leucyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 5  
NTE modified

type	location	description
terminal mod.	Arg-5	C-terminal amide

SEQ 1 SFLPR  
MF C29 H47 N9 O6  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL  
DT.CA Caplus document type: Journal; Patent  
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)  
RL.NP Roles from non-patents: BIOL (Biological study); PROC (Process); PRP (Properties)

Absolute stereochemistry.





3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 32 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 142689-18-7 REGISTRY  
CN Dermorphin, 7-L-lysineamide- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 7  
NTE modified

type	location	description
terminal mod.	Lys-7	C-terminal amide

SEQ 1 YAFGYPK

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C43 H57 N9 O9

SR CA

LC STN Files: CA, CAPLUS, CHEMCATS, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: RACT (Reactant or reagent)

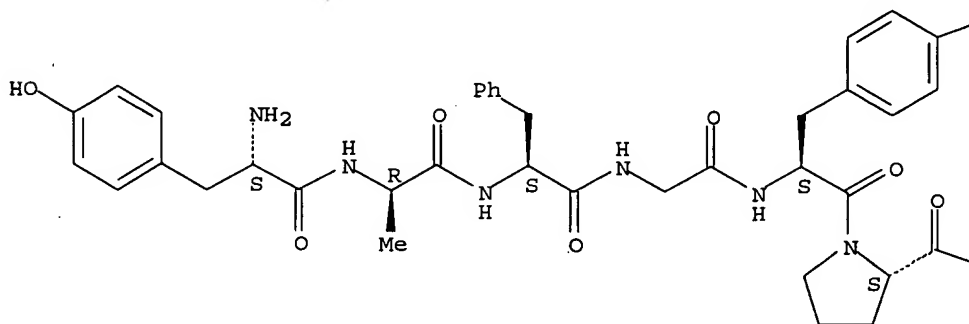
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RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

RLD.NP Roles for non-specific derivatives from non-patents: BIOL (Biological study); PROC (Process)

Absolute stereochemistry.

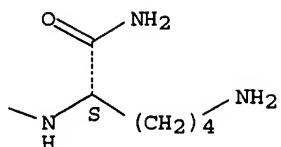
PAGE 1-A



Search done by Noble Jarrell

PAGE 1-B

—OH



6 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 33 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 130309-32-9 REGISTRY  
 CN Bradykinin, N2-D-arginyl-7-(D-1,2,3,4-tetrahydro-3-isoquinolinecarboxylic acid)-8-[L-(2α,3αβ,6αβ)-octahydrocyclopenta[b]pyrrole-2-carboxylic acid]-9-L-argininamide- (9CI) (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN Cyclopenta[b]pyrrole, bradykinin deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10

NTE modified

type	----- location -----	description
terminal mod.	Arg-10 -	C-terminal amide
uncommon	Tic-8 -	-
uncommon	Aaa-9 -	-
stereo	Arg-1 -	D
stereo	Tic-8 -	D

SEQ 1 RRPPGFSXXR

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C60 H90 N20 O11

SR CA

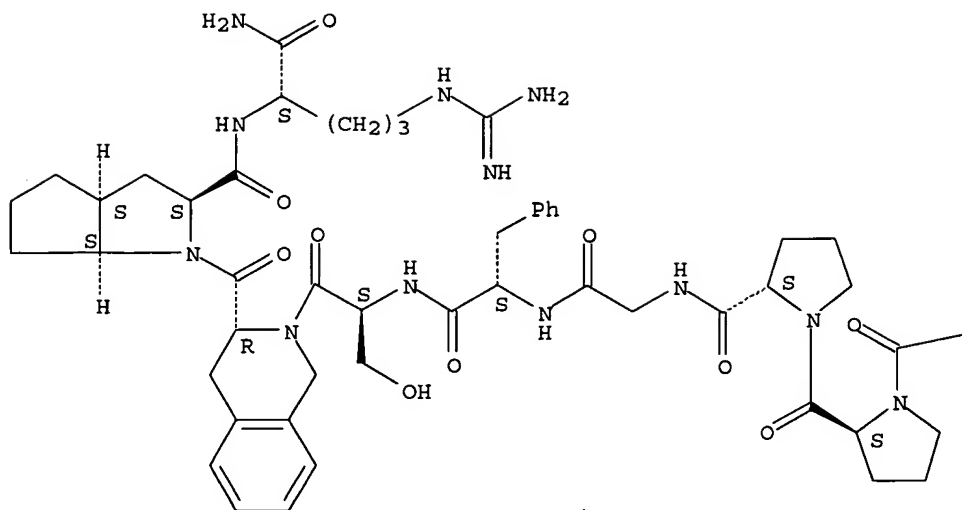
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

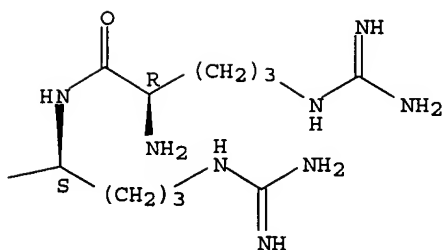
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

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PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 34 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 130309-31-8 REGISTRY  
 CN L-Argininamide, D-arginyl-L-arginyl-(4R)-4-hydroxy-L-prolyl-L-prolylglucyl-L-phenylalanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-(2S,3aS,6aS)-octahydrocyclopenta[b]pyrrole-2-carbonyl- (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Cyclopenta[b]pyrrole, L-argininamide deriv.  
 CN L-Argininamide, D-arginyl-L-arginyl-trans-4-hydroxy-L-prolyl-L-prolylglucyl-L-phenylalanyl-L-seryl-D-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-L-(2 $\alpha$ ,3 $\alpha$ ,6 $\alpha$ )-octahydrocyclopenta[b]pyrrole-2-carbonyl-  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 10  
 NTE modified

type	location	description
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Search done by Noble Jarrell

terminal mod.	Arg-10	-	C-terminal amide
uncommon	Hyp-3	-	-
uncommon	Tic-8	-	-
uncommon	Aaa-9	-	-
stereo	Arg-1	-	D
stereo	Tic-8	-	D

SEQ 1 RRXPFGSXXR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C60 H90 N20 O12

SR CA

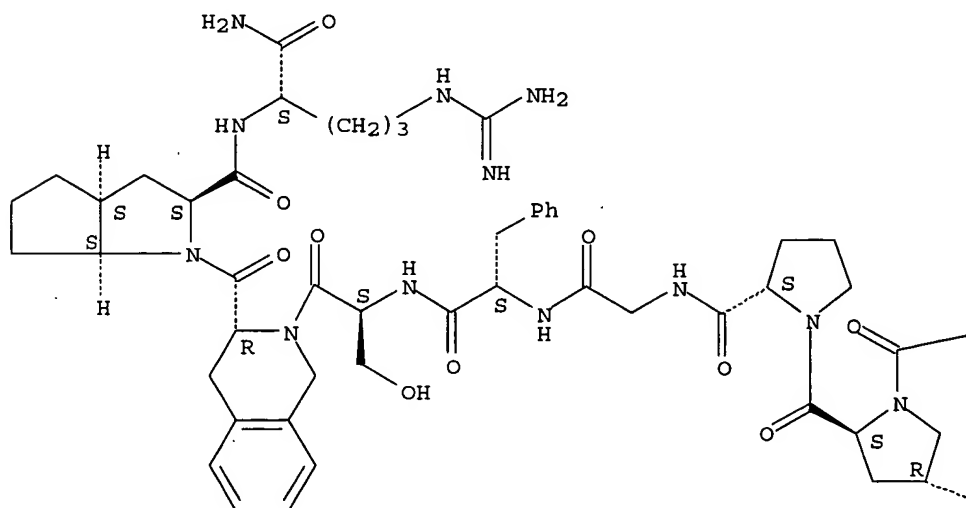
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DT.CA Caplus document type: Patent

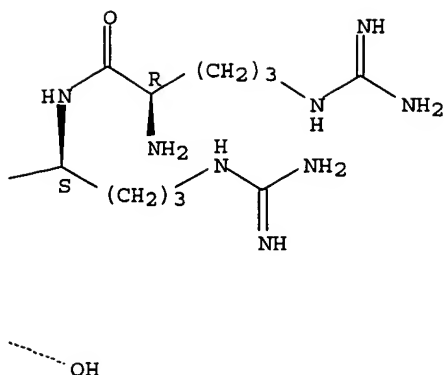
RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 35 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 130309-30-7 REGISTRY  
CN L-Argininamide, D-arginyl-L-arginyl-L-prolyl-(4R)-4-hydroxy-L-prolyl-glycyl-L-phenylalanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-(2S,3aS,6aS)-octahydrocyclopenta[b]pyrrole-2-carbonyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Cyclopenta[b]pyrrole, L-argininamide deriv.  
CN L-Argininamide, D-arginyl-L-arginyl-L-prolyl-trans-4-hydroxy-L-prolyl-glycyl-L-phenylalanyl-L-seryl-D-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-L-(2 $\alpha$ ,3 $\alpha\beta$ ,6 $\alpha\beta$ )-octahydrocyclopenta[b]pyrrole-2-carbonyl-  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 10  
NTE modified

type	location		description
terminal mod.	Arg-10	-	C-terminal amide
uncommon	Hyp-4	-	-
uncommon	Tic-8	-	-
uncommon	Aaa-9	-	-
stereo	Arg-1	-	D
stereo	Tic-8	-	D

SEQ 1 RRPXGFSXXR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C60 H90 N20 O12

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

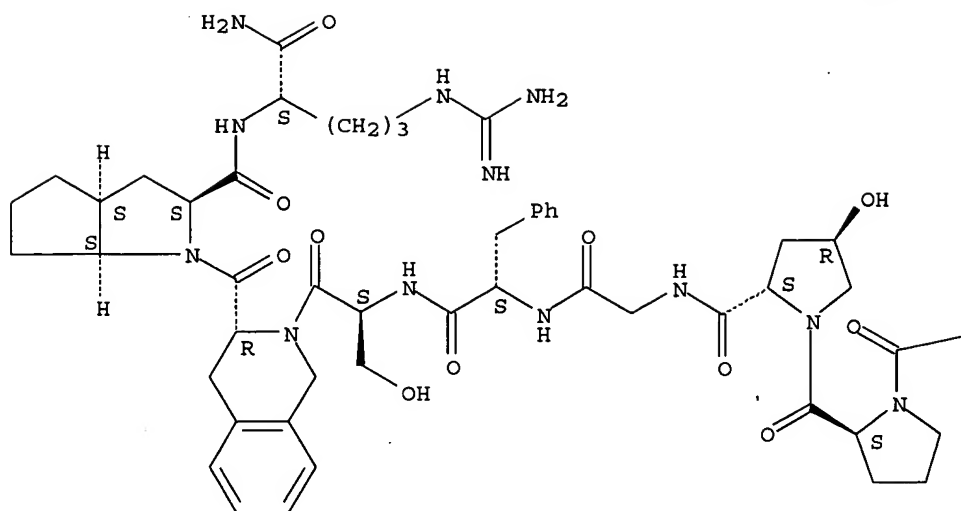
DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

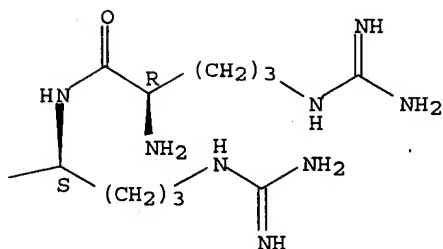
Absolute stereochemistry.

Search done by Noble Jarrell

PAGE 1-A



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2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 36 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 119834-04-7 REGISTRY  
 CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]norvalyl-L-prolyl-, acetate (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]-DL-norvalyl-L-prolyl-, acetate  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
uncommon	Nva-5	-
modification	-	undetermined modification
modification	Tyr-1	ethyl<Et>

Search done by Noble Jarrell

modification	Nva-5	-	carboxymethyl<Cm>
modification	Nva-5	-	1-(carboxymethyl) cyclohexyl

-----

SEQ 1 YFVNXPR

**\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\***

MF C53 H80 N12 O11 . x C2 H4 O2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

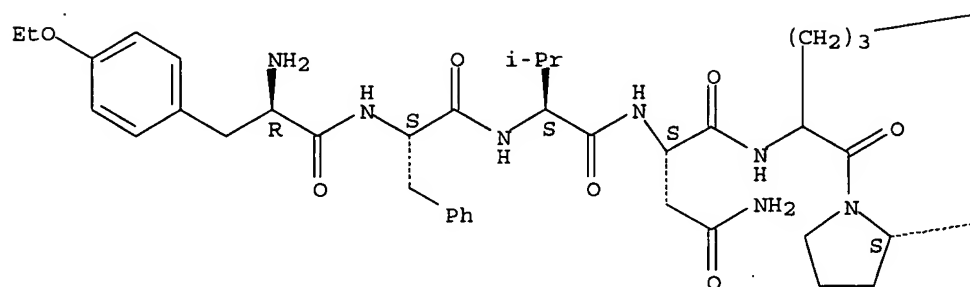
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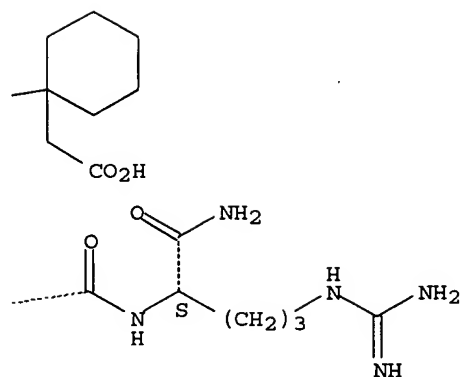
CMF C53 H80 N12 O11

Absolute stereochemistry.

PAGE 1-A



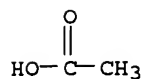
PAGE 1-B



CM 2

CRN 64-19-7

CMF C2 H4 O2



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 37 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 119834-02-5 REGISTRY

CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]norvalyl-L-prolyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]-DL-norvalyl-L-prolyl-

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 7

NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
uncommon	Nva-5	-
modification	Tyr-1	ethyl<Et>
modification	Nva-5	carboxymethyl<Cm>
modification	Nva-5	1-(carboxymethyl) cyclohexyl

SEQ 1 YFVNXP

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C53 H80 N12 O11

CI COM

SR CA

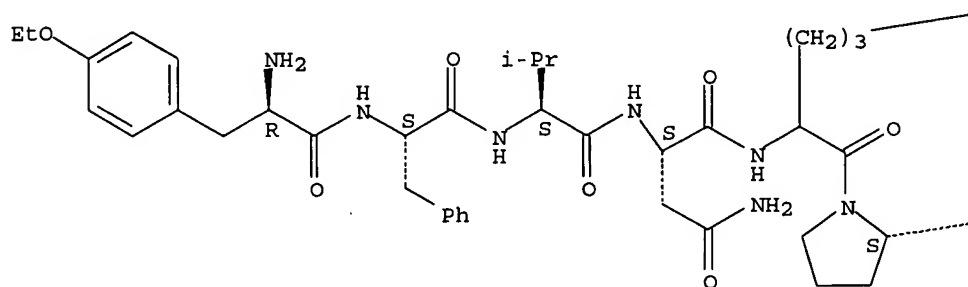
LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

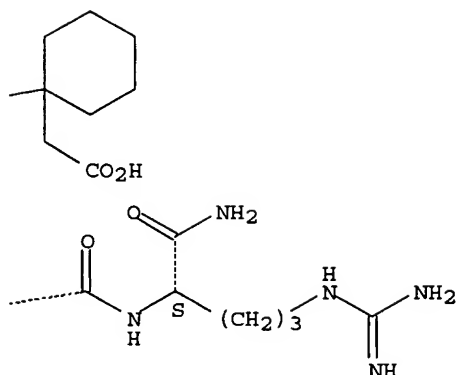
Absolute stereochemistry:

PAGE 1-A





PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 38 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 119624-06-5 REGISTRY  
CN L-Argininamide, O-ethyl-N-(3-ethyl-3-mercapto-1-oxopentyl)-L-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 7  
NTE modified

type	location		description
terminal mod.	Arg-7	-	C-terminal amide
modification	Tyr-1	-	ethyl<Et>
modification	Tyr-1	-	undetermined modification

SEQ 1 YFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C50 H76 N12 O10 S2

SR CA

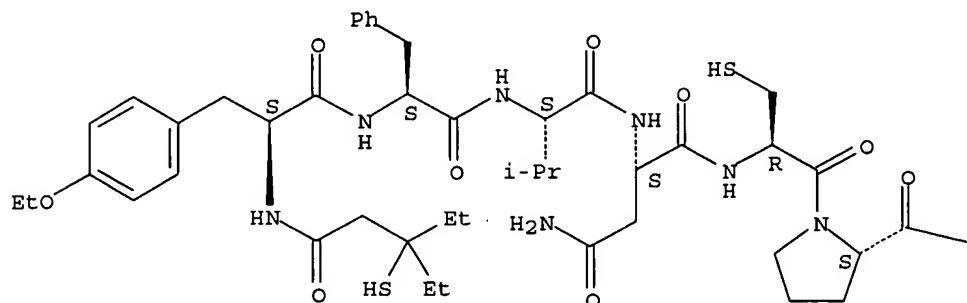
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

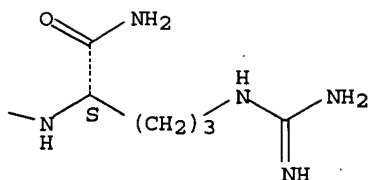
Absolute stereochemistry.

PAGE 1-A



Search done by Noble Jarrell

PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L53 ANSWER 39 OF 63  REGISTRY  COPYRIGHT 2005 ACS on STN
RN  119624-04-3  REGISTRY
CN  L-Argininamide, O-ethyl-N-(3-ethyl-3-mercapto-1-oxopentyl)-D-tyrosyl-L-
    phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl- (9CI)  (CA INDEX
    NAME)
FS  PROTEIN SEQUENCE; STEREOSEARCH
SQL 7
NTE modified
```

type	location		description
terminal mod.	Arg-7	-	C-terminal amide
modification	Tyr-1	-	ethyl<Et>
modification	Tyr-1	-	undetermined modification

SEQ 1 YFVNCPR

\*\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*\*

MF C50 H76 N12 O10 S2

SR CA

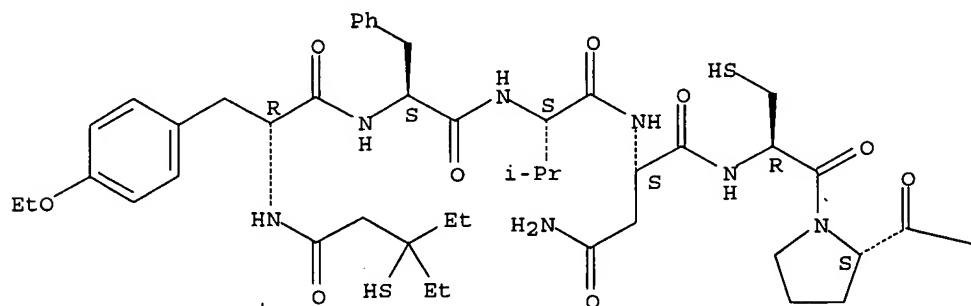
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAlplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

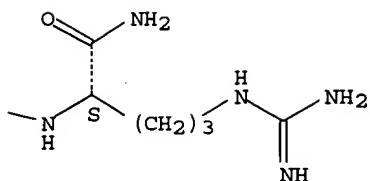
Absolute stereochemistry.

PAGE 1-A



Search done by Noble Jarrell

PAGE 1-B



1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 40 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 114359-25-0 REGISTRY  
CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]-L-norvalyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 7  
NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
uncommon	Nva-5	-
modification	Tyr-1	ethyl<Et>
modification	Nva-5	carboxymethyl<Cm>
modification	Nva-5	1-(carboxymethyl) cyclohexyl

SEQ 1 YFVNXP

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C53 H80 N12 O11

CI COM

SR CA

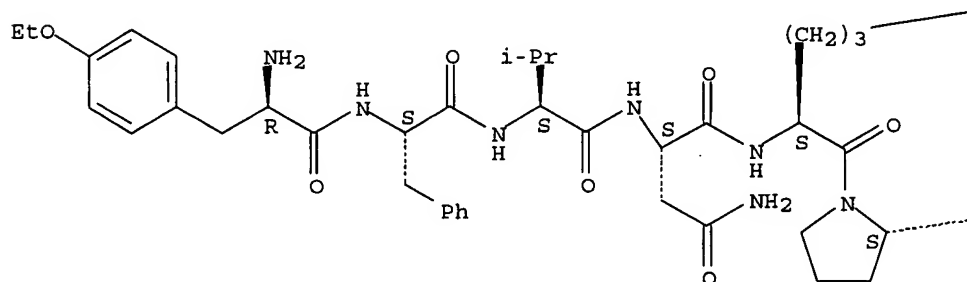
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DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

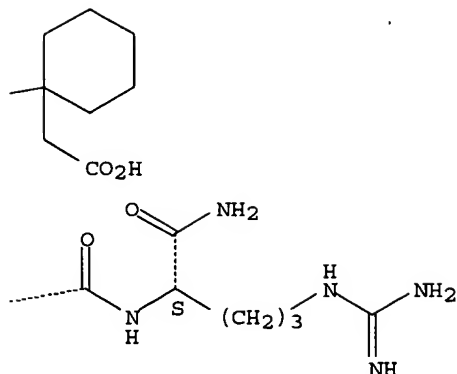
Absolute stereochemistry.

PAGE 1-A



Search done by Noble Jarrell

PAGE 1-B



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 41 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 114359-18-1 REGISTRY  
 CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginy-5-[1-(carboxymethyl)cyclohexyl]-D-norvalyl-D-prolyl-, cyclic (5→1)-peptide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 9,12,15,18,21-Pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified (modifications unspecified)

type	location	description
bridge	Tyr-1 - Asu-5	lactam
uncommon	Asu-5	-
stereo	Tyr-1	D
stereo	Pro-6	D

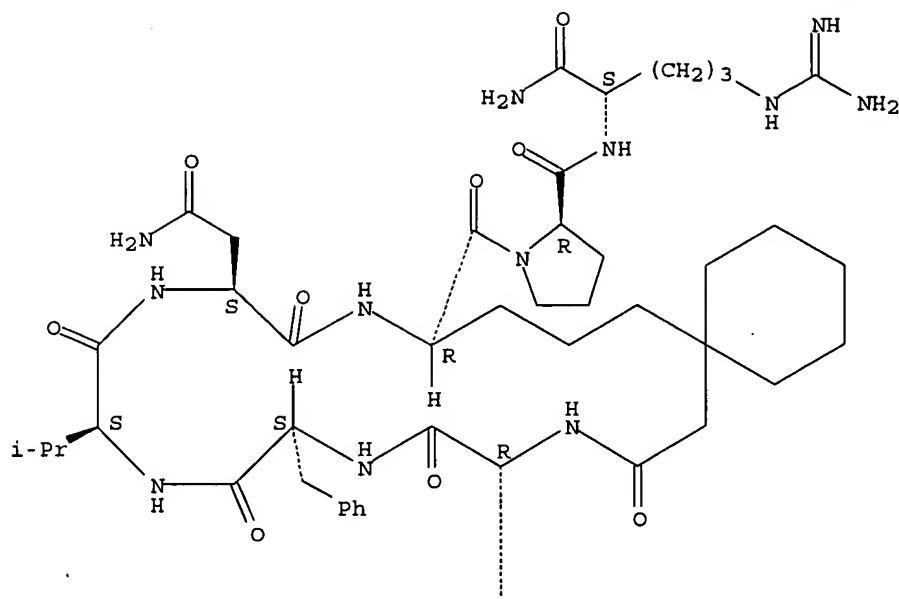
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\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

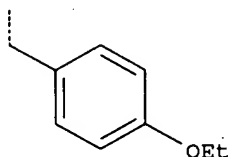
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 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.

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2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 42 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 114359-16-9 REGISTRY  
 CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyll-5-[1-(carboxymethyl)cyclohexyl]-L-norvalyl-L-prolyl-, cyclic (5→1)-peptide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 9,12,15,18,21-Pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.  
 OTHER NAMES:  
 CN SKF 104222  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified (modifications unspecified)

type	----- location -----		description
bridge	Tyr-1	- Asu-5	lactam
uncommon	Asu-5	-	-
stereo	Tyr-1	-	D

SEQ 1 YFVNXPQR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Search done by Noble Jarrell

MF C53 H78 N12 O10

SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT, PROUSDDR, USPATFULL  
(\*File contains numerically searchable property data)

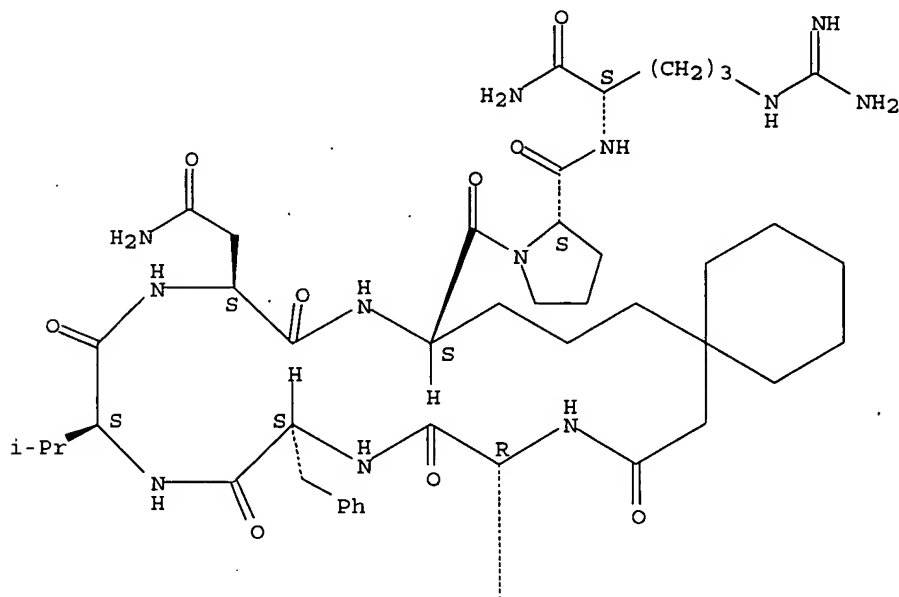
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RL.P Roles from patents: BIOL (Biological study); PREP (Preparation)

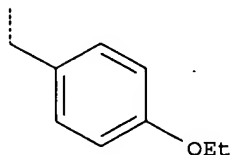
RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

7 REFERENCES IN FILE CA (1907 TO DATE)

7 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 43 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 114359-15-8 REGISTRY

CN L-Argininamide, O-ethyl-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-5-[1-(carboxymethyl)cyclohexyl]-D-norvalyl-L-prolyl-, cyclic (5→1)-peptide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 9,12,15,18,21-Pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

OTHER NAMES:

CN 'SKF 104223

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 7

Search done by Noble Jarrell

NTE modified (modifications unspecified)

type	-----	location	-----	description
bridge	Tyr-1	-	Asu-5	lactam
uncommon	Asu-5	-		-
stereo	Tyr-1	-		D

SEQ 1 YFVNXPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C53 H78 N12 O10

SR CA

LC STN Files: CA, CAPLUS, CASREACT, DDFU, DRUGU, USPATFULL

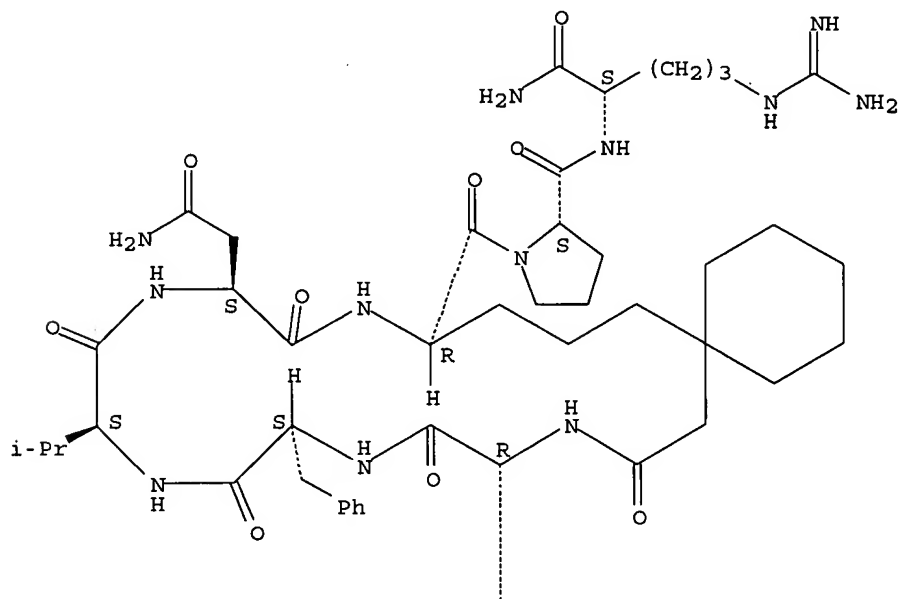
DT.CA Caplus document type: Journal; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation)

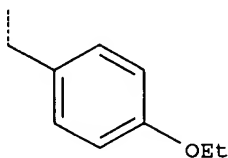
RL.NP Roles from non-patents: BIOL (Biological study)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

4 REFERENCES IN FILE CA (1907 TO DATE)

4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Search done by Noble Jarrell

L53 ANSWER 44 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 111451-00-4 REGISTRY  
 CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, monoacetate (salt) (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified

type	location		description
terminal mod.	Arg-7	-	C-terminal amide
modification	-	-	undetermined modification
modification	Tyr-1	-	(1-mercaptocyclohexyl) acetyl
modification	Tyr-1	-	ethyl<Et>

SEQ 1 YFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C51 H76 N12 O10 S2 . C2 H4 O2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: RACT (Reactant or reagent)

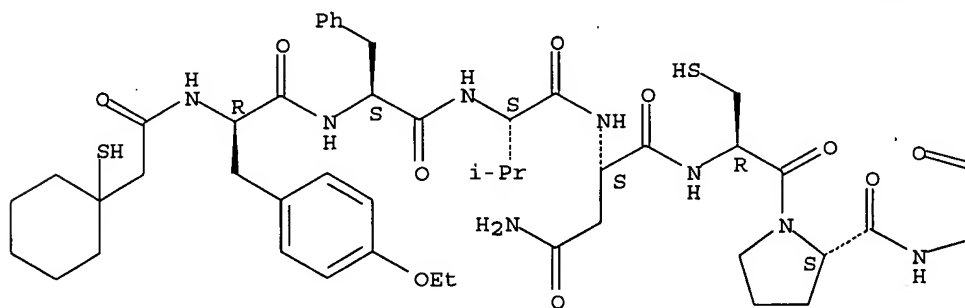
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CRN 111450-99-8

CMF C51 H76 N12 O10 S2

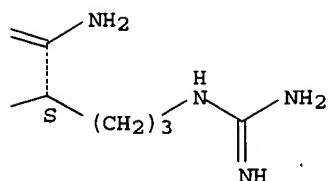
Absolute stereochemistry.

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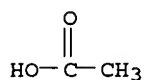
PAGE 1-B



CM 2

CRN 64-19-7

CMF C2 H4 O2



1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 45 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 111450-99-8 REGISTRY

CN L-Argininamide, O-ethyl-N-[(1-mercaptopropyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 7

NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
modification	Tyr-1	(1-mercaptopropyl) acetyl
modification	Tyr-1	ethyl<Et>

SEQ 1 YFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C51 H76 N12 O10 S2

CI COM

SR CA

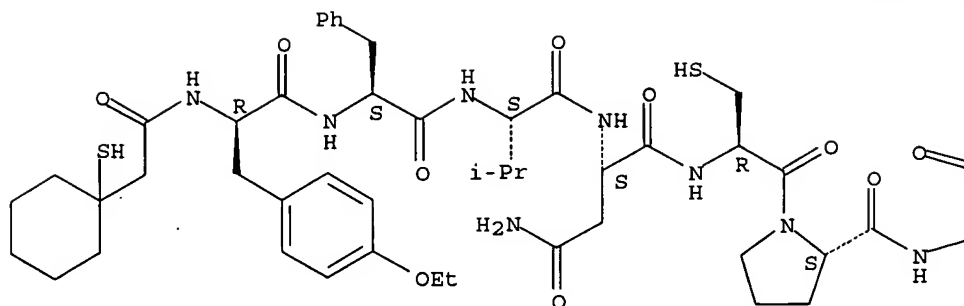
LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT, USPATFULL  
(\*File contains numerically searchable property data)

DT.CA Caplus document type: Patent

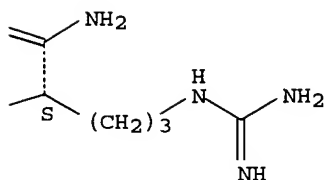
RL.P Roles from patents: RACT (Reactant or reagent)

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 46 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 104532-39-0 REGISTRY  
CN L-Argininamide, O-ethyl-N-(3-ethyl-3-mercapto-1-oxopentyl)-L-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 1,2-Dithia-5,8,11,14,17-pentaazacycloeicosane, cyclic peptide deriv.  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 8  
NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C50 H74 N12 O10 S2

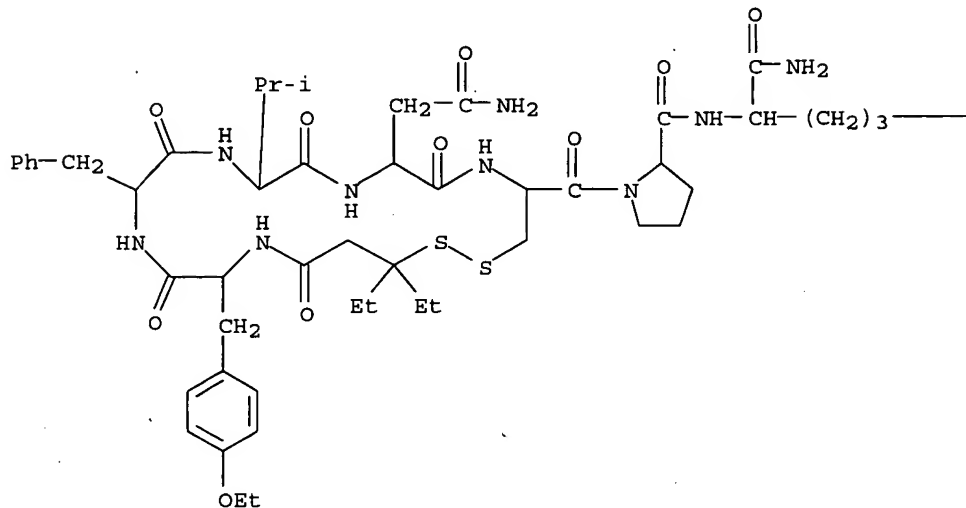
SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS, USPATFULL  
(\*File contains numerically searchable property data)

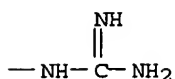
Search done by Noble Jarrell

DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: PREP (Preparation)  
 RL.NP Roles from non-patents: PREP (Preparation)

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3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 47 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 104532-38-9 REGISTRY  
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 OTHER CA INDEX NAMES:  
 CN 1,2-Dithia-5,8,11,14,17-pentaazacycloeicosane, cyclic peptide deriv.  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

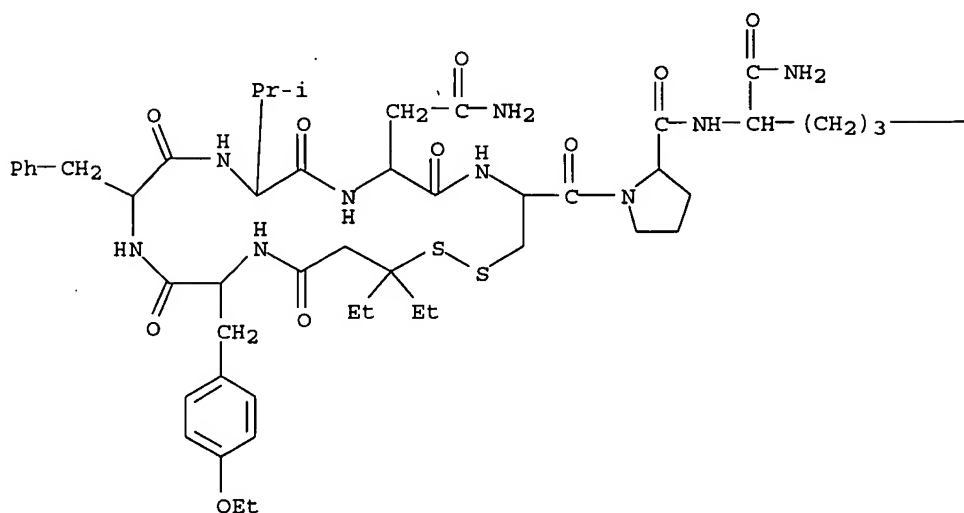
SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

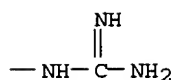
Search done by Noble Jarrell

MF C50 H74 N12 O10 S2  
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 LC STN Files: BEILSTEIN\*, CA, CAPLUS, USPATFULL  
 (\*File contains numerically searchable property data)  
 DT.CA Caplus document type: Journal; Patent  
 RL.P Roles from patents: PREP (Preparation)  
 RL.NP Roles from non-patents: PREP (Preparation)

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3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 48 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 104075-57-2 REGISTRY  
 CN L-Argininamide, N-[(1-mercaptopcyclohexyl)acetyl]-D-tryptophyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification

Search done by Noble Jarrell

SEQ 1 XWVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

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SR CA

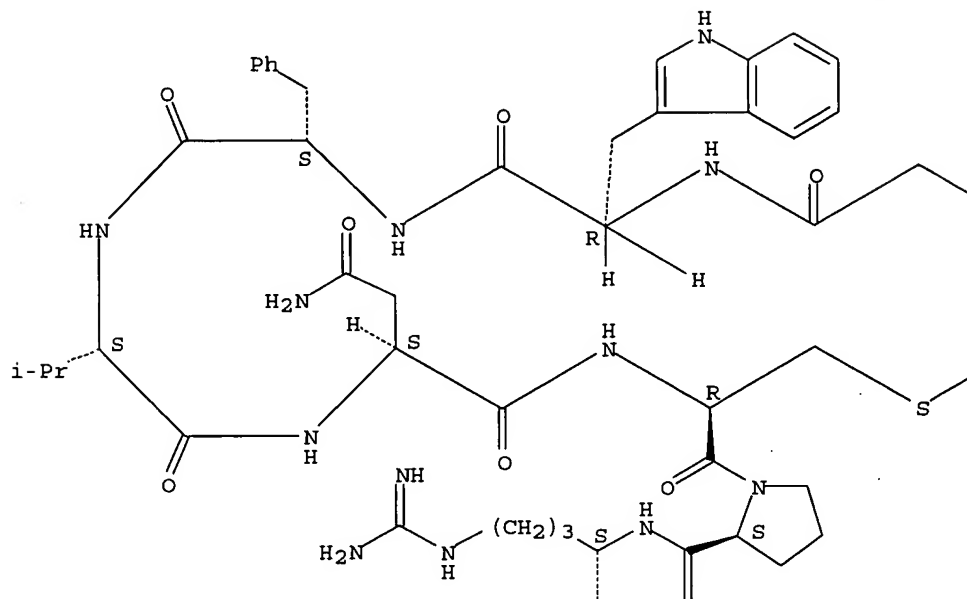
LC STN Files: CA, CAPLUS, USPATFULL

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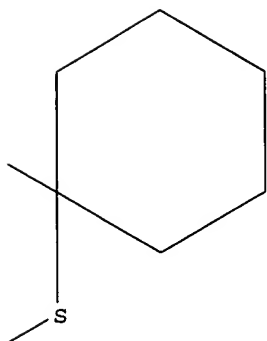
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

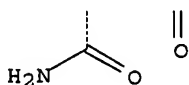
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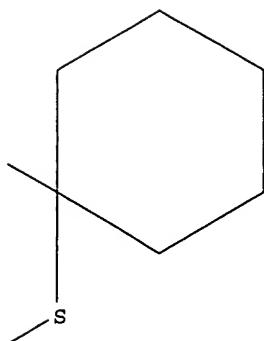
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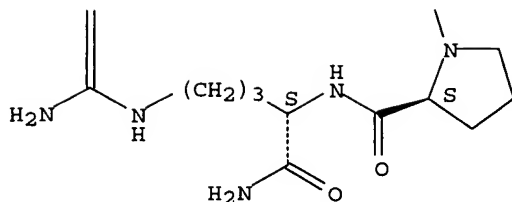
Search done by Noble Jarrell



PAGE 1-B



PAGE 2-A



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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

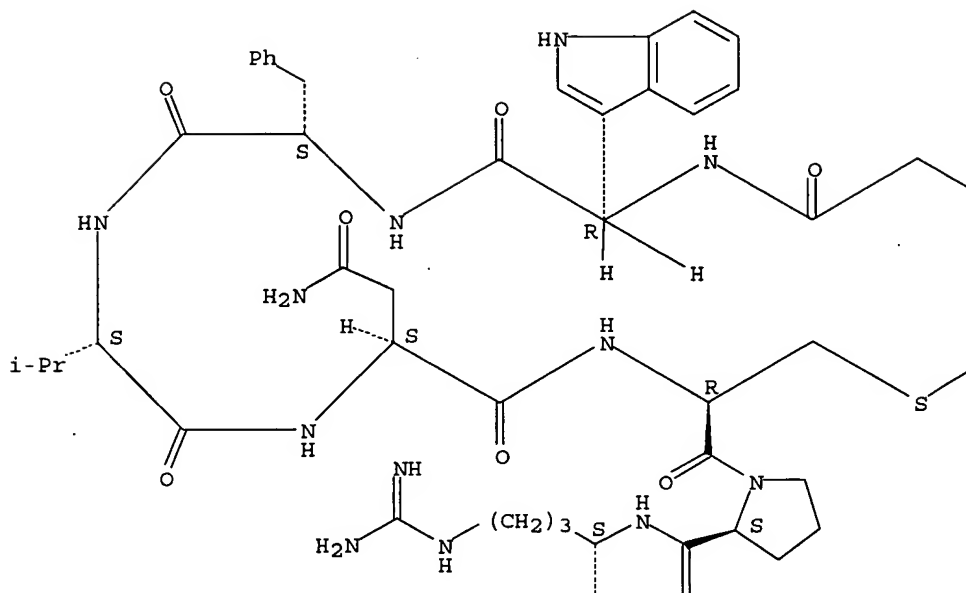
L53 ANSWER 50 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 104054-96-8 REGISTRY  
CN L-Argininamide, D-2-(1H-indol-3-yl)-N-[(1-mercaptocyclohexyl)acetyl]glycyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)  
OTHER CA INDEX NAMES:  
CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 8  
NTE modified

type	location	description
bridge	Maa-1 - Cys-6	disulfide bridge
uncommon	Maa-1 -	-
uncommon	Aaa-2 -	-

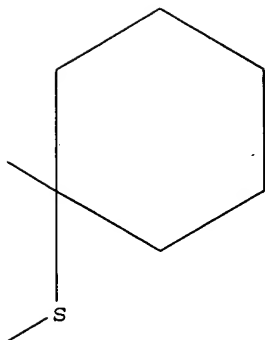
SEQ 1 XXFVNCPR  
MF C50 H69 N13 O9 S2  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL  
DT.CA Caplus document type: Patent  
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

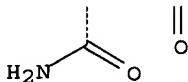
PAGE 1-A



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PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 51 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 103022-88-4 REGISTRY  
 CN L-Argininamide, O-ethyl-N-[(1-mercaptopcyclohexyl)acetyl]-D-tyrosyl-4-ethyl-L-phenylalanyl-L-valyl-L-asparaginy-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide

Search done by Noble Jarrell



deriv.  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>
modification	Phe-3	ethyl<Et>

SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C53 H78 N12 O10 S2

SR CA

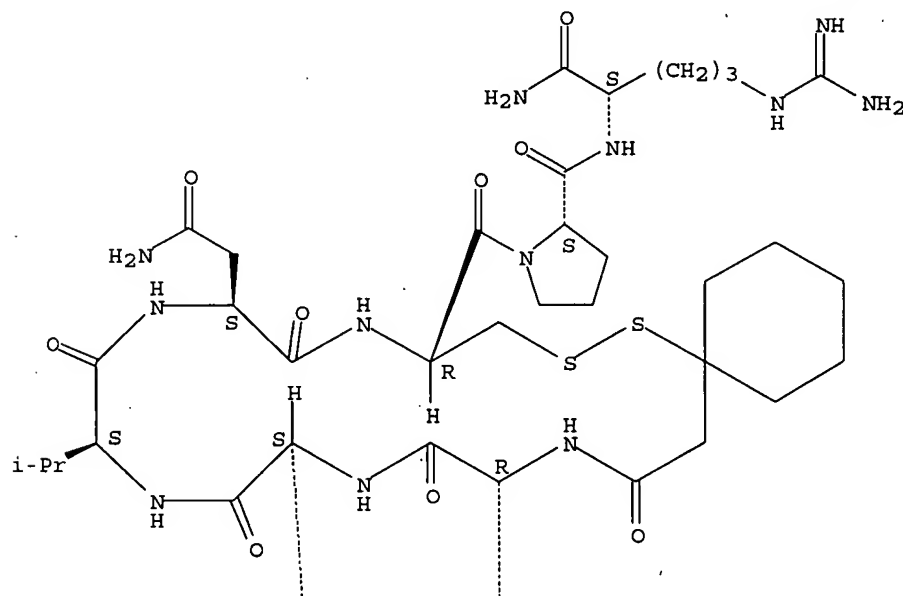
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

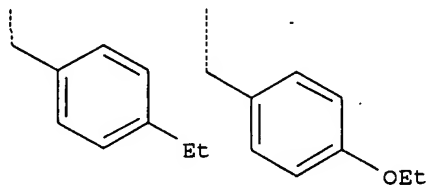
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

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PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 52 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 102995-67-5 REGISTRY

CN D-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C51 H74 N12 O10 S2

SR CA

LC STN Files: BEILSTEIN\*, CA, CAPLUS, USPATFULL

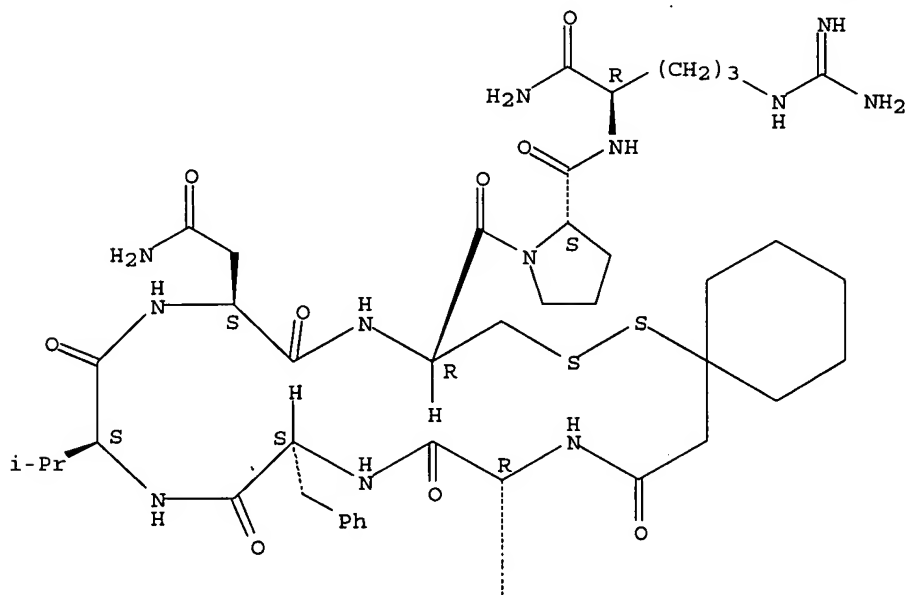
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DT.CA Caplus document type: Patent

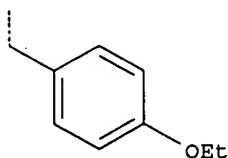
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 53 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 102995-62-0 REGISTRY

CN L-Argininamide, O-ethyl-N-[(1-mercaptopcyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-2-cyclohexylglycyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
uncommon	Aaa-4	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

SEQ 1 XYFXNCPR

MF C54 H78 N12 O10 S2

SR CA

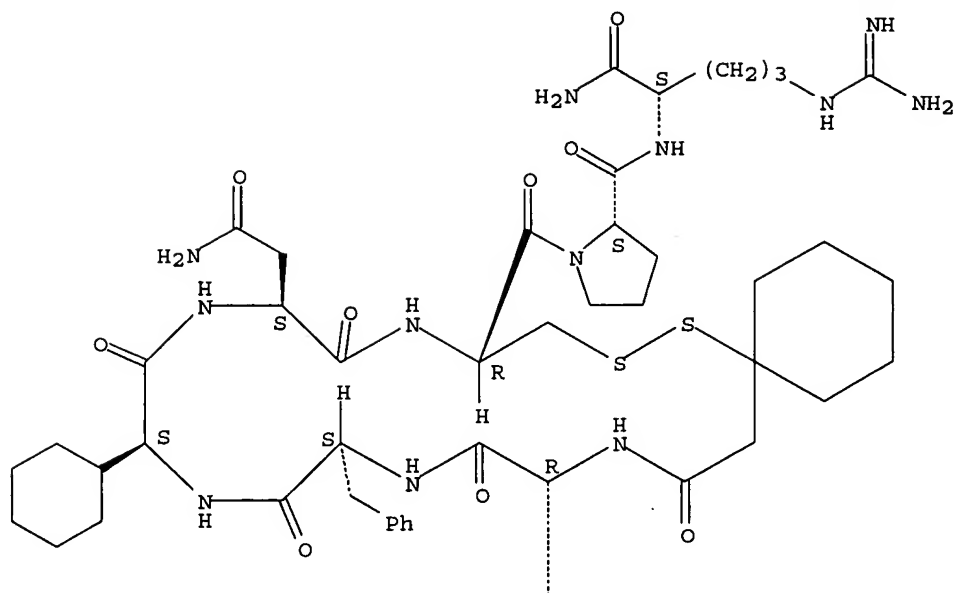
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DT.CA Cplus document type: Patent

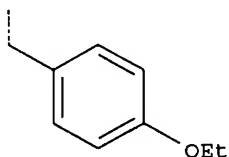
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

PAGE 1-A



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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 54 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 102995-60-8 REGISTRY

CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanylglycyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

SEQ 1 XYFGNCPR

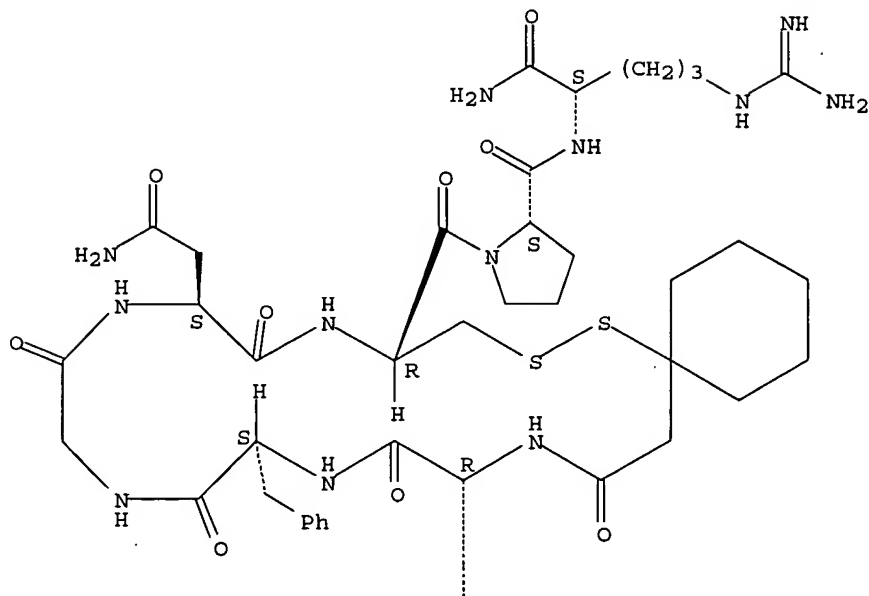
MF C48 H68 N12 O10 S2

Search done by Noble Jarrell

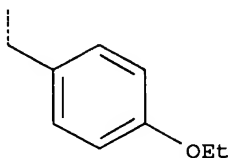
SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA CAplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 55 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 102995-57-3 REGISTRY

CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-alanyl-L-asparaginy-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-

Search done by Noble Jarrell

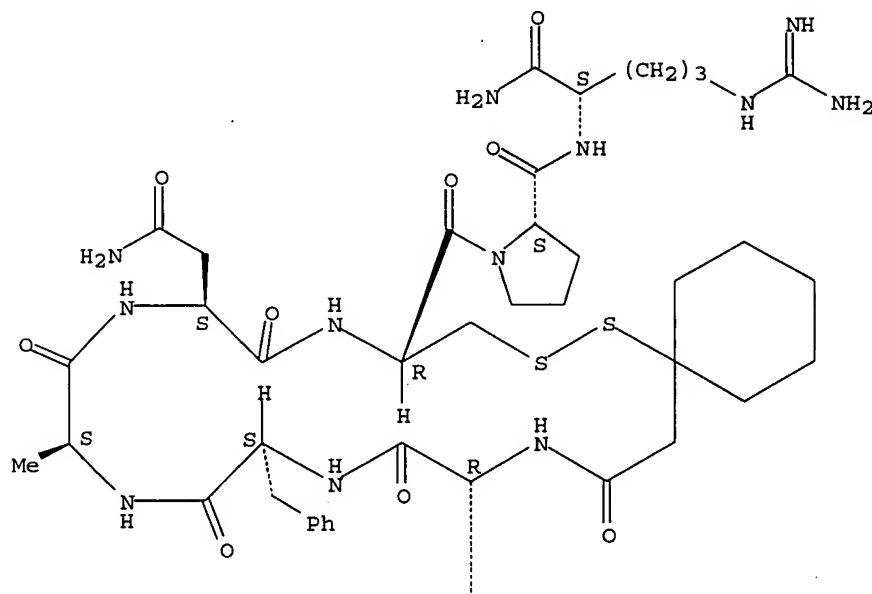
modification	Mpa-1	-	undetermined modification
modification	Tyr-2	-	ethyl<Et>

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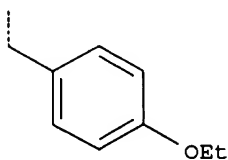
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 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL  
 DT.CA Caplus document type: Patent  
 RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 56 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 102995-54-0 REGISTRY  
 CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-2-aminobutanoyl-L-asparaginyll-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.  
 CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-α-aminobutyryl-L-asparaginyll-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide

Search done by Noble Jarrell

FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 8  
 NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
uncommon	Abu-4	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	ethyl<Et>

SEQ 1 XYFXNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C50 H72 N12 O10 S2

SR CA

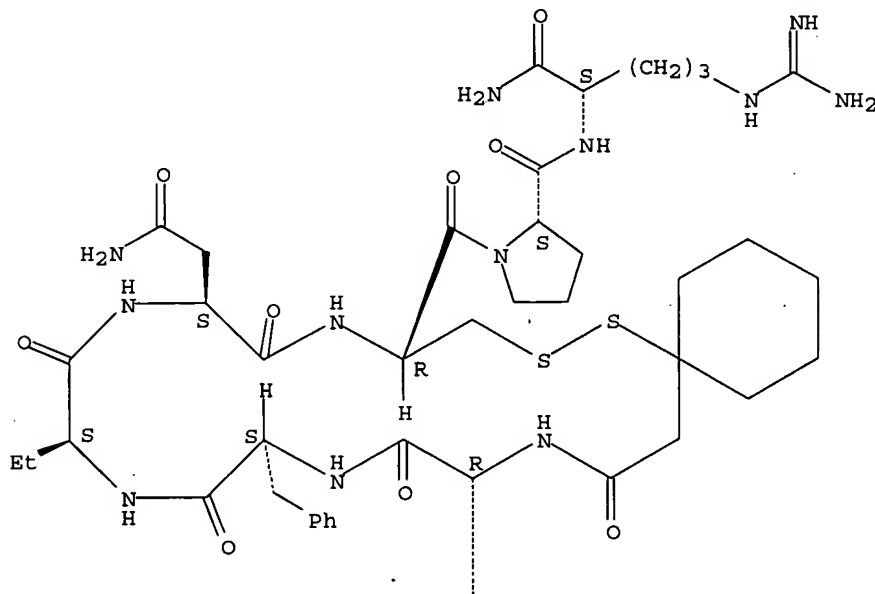
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

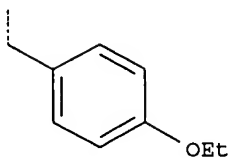
RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Search done by Noble Jarrell

L53 ANSWER 57 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 93957-06-3 REGISTRY

CN L-Argininamide, 3-iodo-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification
modification	Tyr-2	iodo<I>

SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C49 H69 I N12 O10 S2

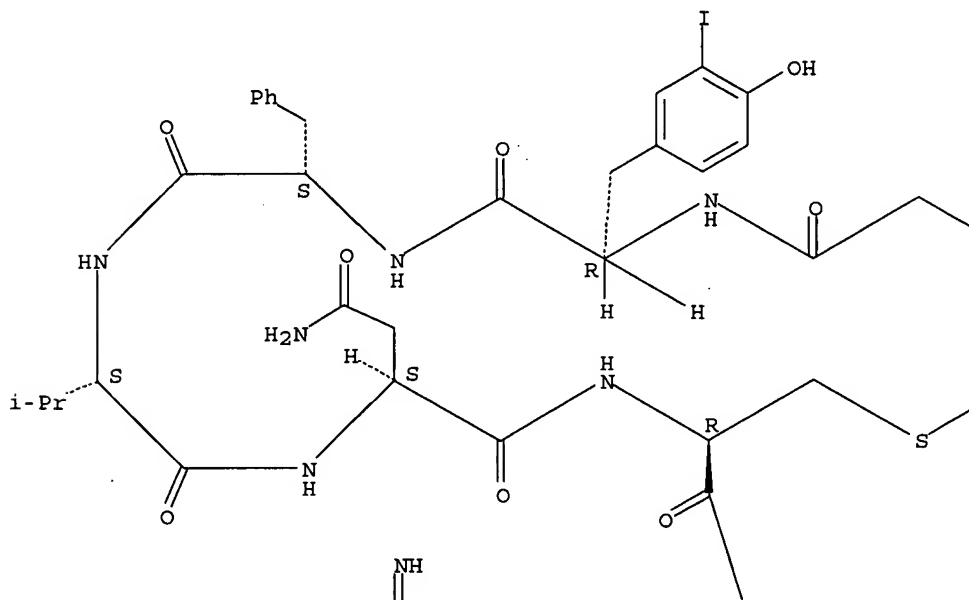
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

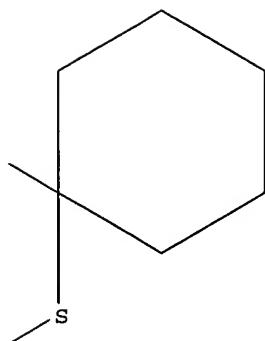
Absolute stereochemistry.

PAGE 1-A

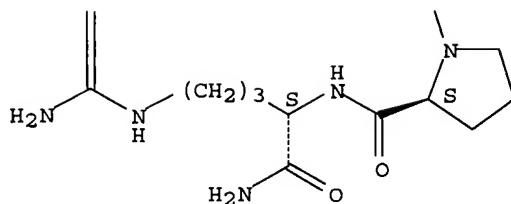




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PAGE 2-A



1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 58 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 93449-77-5 REGISTRY  
 CN L-Argininamide, O-[[[(2-bromophenyl)methoxy]carbonyl]-N-[[1-  
 [(phenylmethyl)thio]cyclohexyl]acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-  
 asparaginyl-S-[(4-methoxyphenyl)methyl]-L-cysteinyl-L-prolyl- (9CI) (CA  
 INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 7  
 NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
modification	Tyr-1	undetermined modification
modification	Tyr-1	[(2-bromophenyl)methoxy] carbonyl<2BZ>
modification	Cys-5	(4-methoxyphenyl)methyl<MOB>

SEQ 1 YFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C72 H91 Br N12 O13 S2

LC STN Files: CA, CAPLUS, USPATFULL

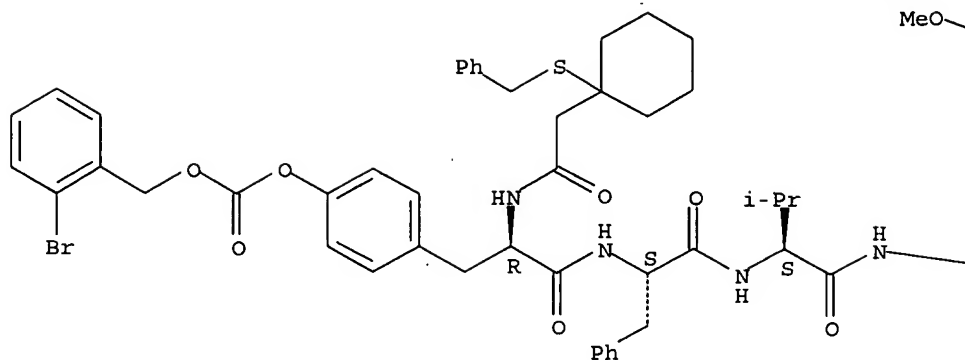
DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

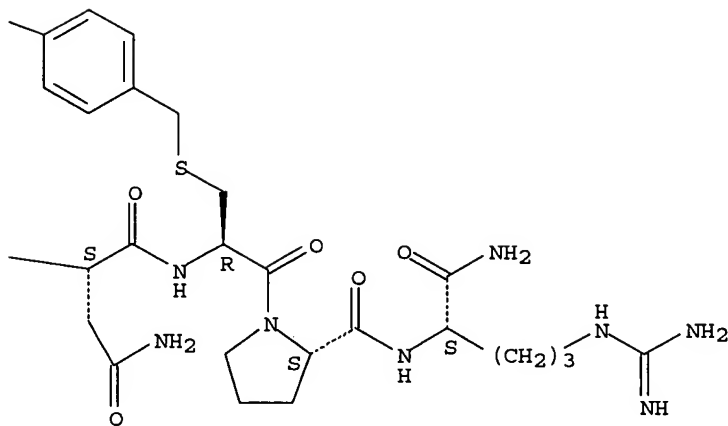
Absolute stereochemistry.

Search done by Noble Jarrell

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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 59 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 93449-72-0 REGISTRY  
CN L-Argininamide, N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
SQL 7  
NTE modified

type	location	description
terminal mod.	Arg-7	C-terminal amide
modification	Tyr-1	(1-mercaptocyclohexyl) acetyl

SEQ 1 YFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C49 H72 N12 O10 S2

LC STN Files: CA, CAPLUS, USPATFULL

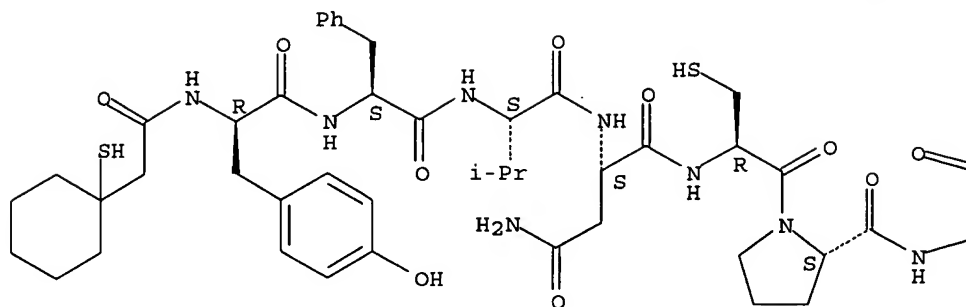
DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

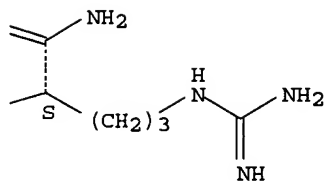
Search done by Noble Jarrell

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 60 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
 RN 93449-70-8 REGISTRY  
 CN L-Argininamide, N-[(1-mercaptopcyclohexyl)acetyl]-D-leucyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-N-propyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified (modifications unspecified)

type	-----	location	-----	description
bridge	Mpa-1	-	Cys-6	disulfide bridge
uncommon	Mpa-1	-	-	-
modification	Mpa-1	-	-	undetermined modification

SEQ 1 XLFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

MF C49 H78 N12 O9 S2

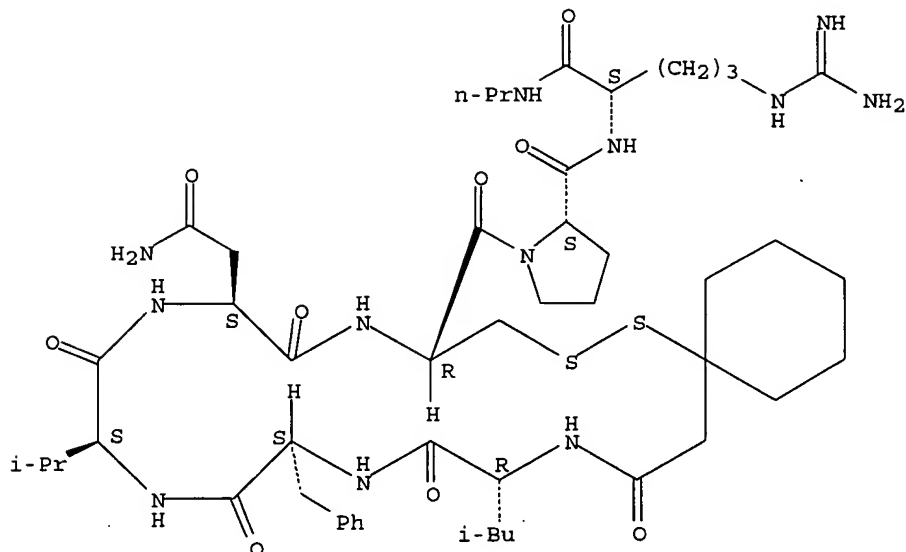
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

Search done by Noble Jarrell

RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 61 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN  
RN 93449-69-5 REGISTRY  
CN L-Argininamide, N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location	description
terminal mod.	Arg-8	C-terminal amide
bridge	Mpa-1 - Cys-6	disulfide bridge
uncommon	Mpa-1	-
modification	Mpa-1	undetermined modification

SEQ 1 XYFVNCPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

DR 93957-05-2

MF C49 H70 N12 O10 S2

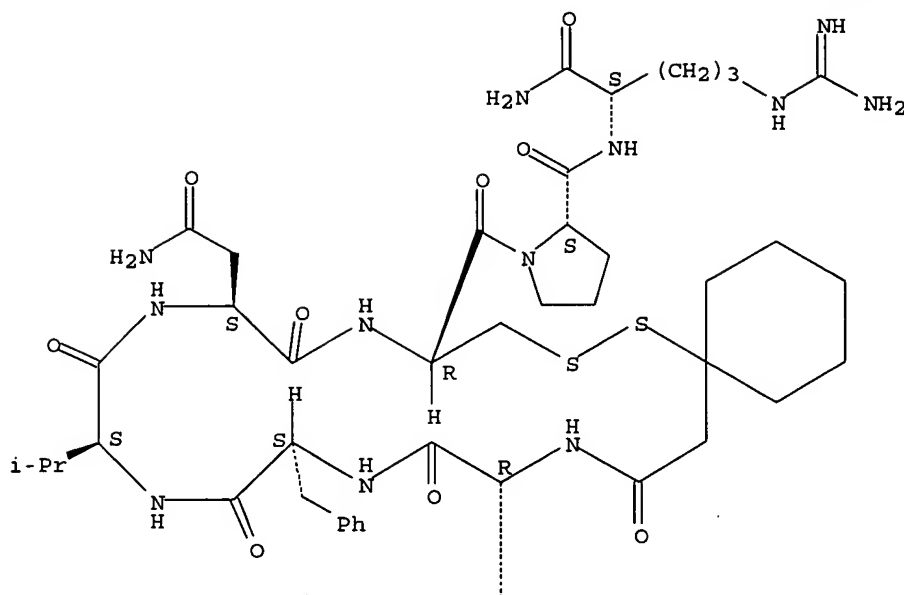
LC STN Files: CA, CAPLUS, USPATFULL

DT.CA Caplus document type: Patent

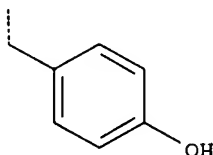
RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

Absolute stereochemistry.

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3 REFERENCES IN FILE CA (1907 TO DATE)  
 3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 62 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 90332-82-4 REGISTRY

CN L-Argininamide, O-ethyl-N-[(1-mercaptocyclohexyl)acetyl]-D-tyrosyl-L-phenylalanyl-L-valyl-L-asparaginyl-L-cysteinyl-L-prolyl-, cyclic (1→5)-disulfide (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN 7,8-Dithia-11,14,17,20,23-pentaazaspiro[5.19]pentacosane, cyclic peptide deriv.

OTHER NAMES:

CN SKF 101926

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type	location		description
terminal mod.	Arg-8	-	C-terminal amide
bridge	Mpa-1	- Cys-6	disulfide bridge
uncommon	Mpa-1	-	-
modification	Mpa-1	-	undetermined modification
modification	Tyr-2	-	ethyl<Et>

Search done by Noble Jarrell

SEQ 1 XYFVNCPR

## \*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

DR 96827-97-3

MF C51 H74 N12 O10 S2

LC STN Files: ANABSTR, BEILSTEIN\*, BIOSIS, BIOTECHNO, CA, CAPLUS, CASREACT,  
CHEMCATS, DDFU, DRUGU, EMBASE, MEDLINE, PHAR, PROUSDDR, TOXCENTER,  
USPATFULL

(\*File contains numerically searchable property data)

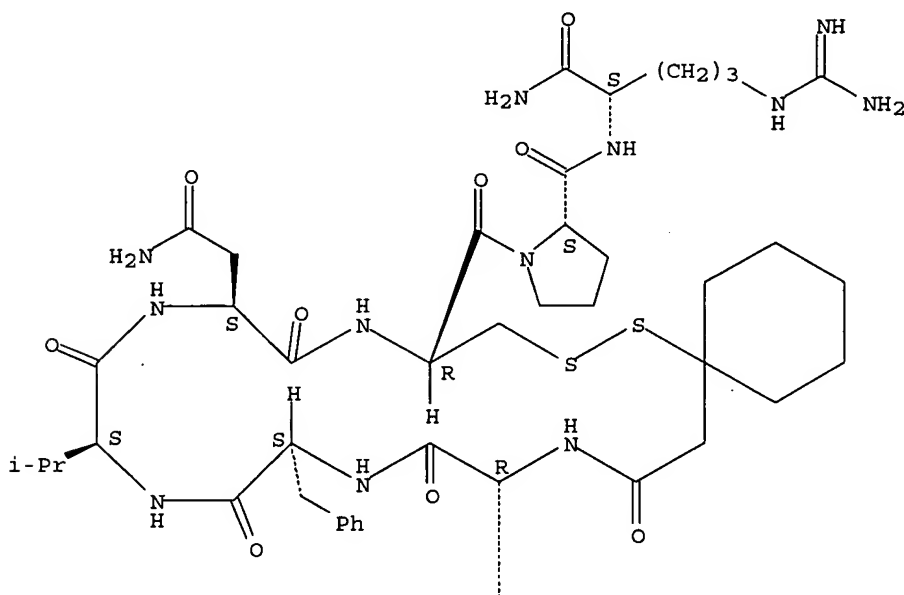
DT.CA CAplus document type: Conference; Journal; Patent

RL.P Roles from patents: PREP (Preparation)

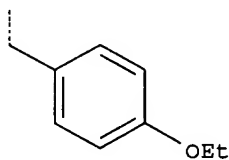
RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological  
study); PREP (Preparation); PROC (Process); PRP (Properties); RACT  
(Reactant or reagent); USES (Uses)

Absolute stereochemistry.

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PAGE 2-A



## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

52 REFERENCES IN FILE CA (1907 TO DATE)

52 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L53 ANSWER 63 OF 63 REGISTRY COPYRIGHT 2005 ACS on STN

RN 71659-01-3 REGISTRY

CN L-Argininamide, L-asparaginyL-L-seryl-L-asparaginyL-L-prolyl- (9CI) (CA  
INDEX NAME)

Search done by Noble Jarrell

FS PROTEIN SEQUENCE; STEREOSEARCH  
 SQL 5  
 NTE modified

type	location	description
terminal mod.	Arg-5	C-terminal amide

SEQ 1 NSNPR

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

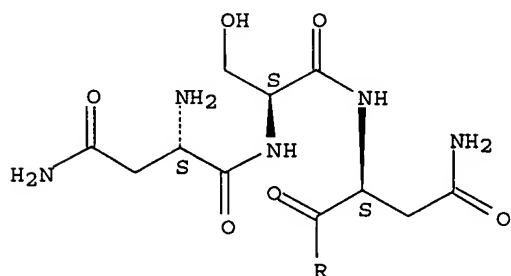
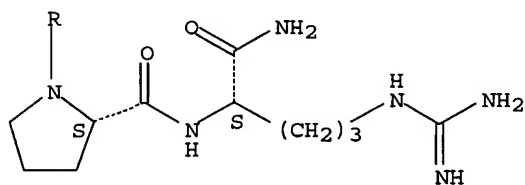
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LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, USPATFULL

DT.CA Caplus document type: Patent

RL.P Roles from patents: PREP (Preparation)

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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L12 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:467702 HCAPLUS  
 DN 141:33798  
 ED Entered STN: 10 Jun 2004  
 TI Peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, their preparation, and compositions and therapeutic uses thereof  
 IN Allan, Amy L.; Donate, Fernando; Hopkins, Stephanie A.; Gladstone, Patricia L.; Mazar, Andrew; O'Hare, Sean M.; Parry, Graham; Plunkett, Marian L.; Ternansky, Robert J.; Yoon, Won Hyung  
 PA Attenuon, LLC, USA  
 SO PCT Int. Appl., 88 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM A61K  
 CC 1-8 (Pharmacology)  
 Section cross-reference(s): 34, 63

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004047771	A2	20040610	WO 2003-US38175	20031125
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004162239	A1	20040819	US 2003-723144	20031125
	US 2005020810	A1	20050127	US 2003-722843	20031125
PRAI	US 2002-429174P	P	20021125		
	US 2003-475539P	P	20030602		

CLASS

PATENT NO.	CLASS	PATENT FAMILY CLASSIFICATION CODES
WO 2004047771	ICM	A61K
US 2004162239	NCL	514/012.000; 514/013.000; 514/014.000; 514/015.000; 514/016.000; 514/017.000; 514/018.000; 530/324.000; 530/325.000; 530/326.000
US 2005020810	NCL	530/324.000; 530/325.000; 530/326.000; 530/327.000; 530/328.000; 530/329.000

OS MARPAT 141:33798

AB The invention discloses peptides which inhibit angiogenesis, cell migration, cell invasion and cell proliferation, as well as methods of making the peptides, pharmaceutical compns. containing the peptides, and methods of using the peptides and pharmaceutical compns. to treat diseases associated with aberrant vascularization, e.g. cancer.

ST peptide cell invasion migration proliferation inhibition; antitumor aberrant vascularization disease peptide prepn

IT Sarcoma  
 (cartilage chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Cartilage, neoplasm  
 (chondrosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT Intestine, neoplasm  
 (colon; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic



- uses)
- IT Blood vessel  
(endothelium; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT Blood vessel, neoplasm  
Sarcoma  
(hemangiosarcoma; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT Angiogenesis  
Angiogenesis inhibitors  
Antitumor agents  
Brain, neoplasm  
Drug delivery systems  
Kidney, neoplasm  
Mammary gland, neoplasm  
Neoplasm  
Prostate gland, neoplasm  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT Endothelium  
(vascular; peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT 701201-26-5D, biotinylated  
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT 701200-82-0P 701201-01-6P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT 81658-55-1P 701200-81-9P 701200-83-1P 701200-84-2P 701200-85-3P  
701200-86-4P 701200-87-5P 701200-88-6P 701200-89-7P 701200-90-0P  
701200-91-1P 701200-92-2P 701200-93-3P 701200-94-4P 701200-95-5P  
701200-96-6P 701200-97-7P 701200-98-8P 701200-99-9P 701201-00-5P  
701201-02-7P 701201-03-8P 701201-04-9P 701201-05-0P  
701201-06-1P 701201-07-2P 701201-08-3P 701201-09-4P 701201-10-7P  
701201-11-8P 701201-12-9P 701201-13-0P 701201-14-1P 701201-15-2P  
701201-16-3P 701201-17-4P 701201-18-5P 701201-19-6P 701201-20-9P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT 701201-28-7  
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)
- IT 98-88-4, Benzoyl chloride 100-39-0, Benzyl bromide 106-95-6, Allyl bromide, reactions 930-69-8 1212-08-4, S-Phenyl benzenethiosulfonate 2719-27-9, Cyclohexanoyl chloride 2937-50-0, Allyl chloroformate 2949-92-0, S-Methyl methanethiosulfonate 3282-30-2, Pivaloyl chloride 5271-67-0, 2-Thiophenecarbonyl chloride 6482-24-2, 2-Bromoethyl methylether 7031-27-8, (Phenylthio)acetyl chloride 10400-19-8, Nicotinoyl chloride 25644-88-6, S-Benzyl-L-cysteine sulfone 82911-69-1 262438-43-7 475150-36-8 701201-27-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(peptide inhibitors of angiogenesis, cell migration, cell invasion and cell proliferation, preparation, and compns. and therapeutic uses)

IT 701201-02-7P

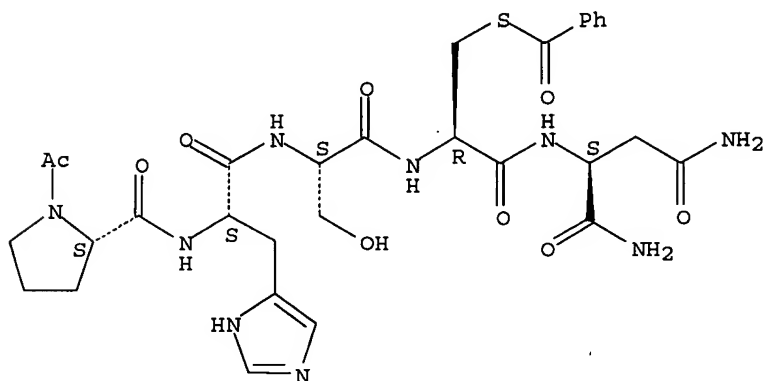
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(peptide inhibitors of angiogenesis, cell migration, cell invasion and  
cell proliferation, preparation, and compns. and therapeutic uses)

RN 701201-02-7 HCAPLUS

CN L-Aspartamide, 1-acetyl-L-prolyl-L-histidyl-L-seryl-S-benzoyl-L-cysteinyl-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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